coin 36

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NEWS 3
        Apr 09
                 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS
NEWS
        Apr 09
                 ZDB will be removed from STN
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Apr 22
      5
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        Jun 10
                MEDLINE Reload
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        Jun 10
                PCTFULL has been reloaded
NEWS 12 Jul 02
                FOREGE no longer contains STANDARDS file segment
NEWS 13
        Jul 22
                USAN to be reloaded July 28, 2002;
                 saved answer sets no longer valid
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                 Enhanced polymer searching in REGISTRY
NEWS 15
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                NETFIRST to be removed from STN
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                CANCERLIT reload
        Aug 08
NEWS 17
        Aug 08
                PHARMAMarketLetter (PHARMAML) - new on STN
NEWS 18
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                NTIS has been reloaded and enhanced
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                Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
NEWS 20
                IFIPAT, IFICDB, and IFIUDB have been reloaded
        Aug 19
NEWS 21
        Aug 19
                The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22
        Aug 26
                Sequence searching in REGISTRY enhanced
NEWS 23
        Sep 03
                JAPIO has been reloaded and enhanced
NEWS 24
        Sep 16 Experimental properties added to the REGISTRY file
NEWS 25 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27 Oct 21 EVENTLINE has been reloaded
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04
                CSA files on STN
NEWS 35
        Dec 17
                PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36
        Dec 17
                TOXCENTER enhanced with additional content
NEWS 37
        Dec 17
                Adis Clinical Trials Insight now available on STN
NEWS 38
        Dec 30
                ISMEC no longer available
NEWS 39
        Jan 21
                NUTRACEUT offering one free connect hour in February 2003
NEWS 40
        Jan 21
                PHARMAML offering one free connect hour in February 2003
NEWS 41
        Jan 29
                Simultaneous left and right truncation added to COMPENDEX,
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ENERGY, INSPEC

CANCERLIT is no longer being updated

NEWS 42

Feb 13

NEWS	43	Feb	24	METADEX enhancements								
NEWS	44	Feb	24	PCTGEN now available on STN								
NEWS	45	Feb	24	TEMA now available on STN								
NEWS	46	Feb	26	NTIS now allows simultaneous left and right truncation								
NEWS	47	Feb	26	PCTFULL now contains images								
NEWS	48	Mar	04	SDI PACKAGE for monthly delivery of multifile SDI results								
NEWS	49	Mar		APOLLIT offering free connect time in April 2003								
NEWS		Mar		EVENTLINE will be removed from STN								
NEWS	51	Mar		PATDPAFULL now available on STN								
NEWS	52	Mar	24	Additional information for trade-named substances without								
				structures available in REGISTRY								
NEWS	53	Mar	24	Indexing from 1957 to 1966 added to records in CA/CAPLUS								
NEWS	EXP	RESS	Ja	nuary 6 CURRENT WINDOWS VERSION IS V6.01a,								
				RRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),								
				D CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002								
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=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Patel <4/4/2003>

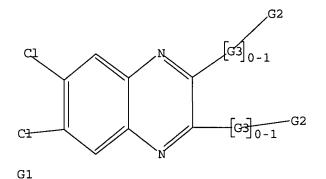
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09483504.7

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



G2 C,H,CF3,CN,NO2,Cb G3 C,S,N,P Caim 37!

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:54:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1164 TO 2276

PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.40
0.61

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09483504.7 Page 4

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL-ENTRY SESSION 0.42 1.03

FULL ESTIMATED COST

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s 11 sss full FULL SEARCH INITIATED 07:55:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1717 TO ITERATE

100.0% PROCESSED 1717 ITERATIONS SEARCH TIME: 00.00.01

235 ANSWERS

L3 235 SEA SSS FUL L1

Patel <4/4/2003>

09483504.7 Page 5

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST ENTRY SESSION 148.15 149.18

SINCE FILE

TOTAL

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FILE COVERS 1907 - 4 Apr 2003 VOL 138 ISS 15 FILE LAST UPDATED: 3 Apr 2003 (20030403/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4

=> d l4 fbib hitstr abs total

100 L3

L4 ANSWER 1 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2002:389421 CAPLUS

DN 137:126416

TI Synthesis and application of 2-styryl-6,7-dichlorothiazolo[4,5-b]quinoxaline based fluorescent dyes: part 3

AU Sonawane, N. D.; Rangnekar, D. W.

CS Dyes research laboratory, Department of Chemical Technology, University of Mumbai, Mumbai, 400 019, India

SO Journal of Heterocyclic Chemistry (2002), 39(2), 303-308 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

OS CASREACT 137:126416

IT 443795-59-3P, 6,7-Dichloro-2,3-quinoxalinediamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn., properties and application of styryl dichlorothiazoloquinoxaline fluorescent dyes)

RN 443795-59-3 CAPLUS

CN 2(1H)-Quinoxalinethione, 3-amino-6,7-dichloro- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

IT 55295-04-0, 6,7-Dichloro-2,3-quinoxalinedithiol
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn., properties and application of styryl dichlorothiazoloquinoxaline fluorescent dyes)

RN 55295-04-0 CAPLUS

CN 2,3-Quinoxalinedithione, 6,7-dichloro-1,4-dihydro- (9CI) (CA INDEX NAME)

AB A new efficient synthesis of 2-styryl-6,7-dichlorothiazolo[4,5-b]quinoxaline-based fluorescent dyes was achieved by the condensation of 2-methyl-6,7-dichlorothiazolo[4,5-b]quinoxaline with selected 4-(dialkylamino)arylaldehydes and heteroarylaldehydes in the presence of piperidine. The coloristic, fluorophoric, and polyester dyeing properties of these dyes were studied.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2002:316926 CAPLUS

DN 137:210566

TI Quinoxaline 1,4-dioxides: hypoxia-selective therapeutic agents

AU Diab-Assef, Mona; Haddadin, Makhluf J.; Yared, Pierre; Assaad, Chafika; Gali-Muhtasib, Hala U.

CS Department of Biology, American University of Beirut, Beirut, Lebanon

SO Molecular Carcinogenesis (2002), 33(4), 198-205 CODEN: MOCAE8; ISSN: 0899-1987

PB Wiley-Liss, Inc.

DT Journal

LA English

IT 60680-42-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinoxaline dioxides as hypoxia-selective antitumor agents)

RN 60680-42-4 CAPLUS

CN Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) (CA INDEX NAME)

AB A problem that confronts clinicians in the treatment of cancer is the resistance of hypoxic tumors to chemotherapy and radiation therapy. Thus, the development of new drugs that are toxic to hypoxic cells found in solid tumors is an important objective for effective anticancer chemotherapy. We recently showed that the heterocyclic arom. N-oxides, quinoxaline 1,4-dioxides (QdNOs), are cytotoxic to tumor cells cultured under hypoxia. In this study, we evaluated the hypoxia-selective toxicity of four diversely substituted QdNOs and detd. their effect on the expression of hypoxia inducible factor (HIF) 1.alpha. in the human colon cancer cell line T-84. The various QdNOs were found to possess a 50- to 100-fold greater cytotoxicity to T-84 cells cultured under hypoxia compared with oxia. Interestingly, the hypoxia cytotoxicity ratio (HCR), the ratio of equitoxic concns. of the drug under aerobic/anoxic conditions, was highly structure related and depended on the nature of the substituents on the QdNO heterocycle. The most cytotoxic 2-benzoyl-3-phenyl-6,7-dichloro deriv. of QdNO (DCQ) was potent at a dose of 1 .mu.M with an HCR of 100 and significantly reduced the levels of HIF-1.alpha. transcript and protein. The 2-benzoyl-3-Ph deriv. (BPQ) had a hypoxia potency of 20 .mu.M and an HCR of 40. By contrast, the 2-aceto-3-Me and the 2,3-tetramethylene (TMQ) derivs. of QdNO were much less cytotoxic under hypoxia (HCRs of 8.5 and 6.5, resp.) and reduced the expression of HIF-1.alpha. mRNA to a much lesser extent. Because the non-chlorinated analog BPQ did not demonstrate behavior similar to that of DCQ, we hypothesize that the C-6, C-7-chlorine of DCQ might play a significant role in the selective hypoxic cytotoxicity of the drug.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2002:246597 CAPLUS

DN 137:134476

TI Anti-Mycobacterium tuberculosis agents derived from quinoxaline-2-carbonitrile and quinoxaline-2-carbonitrile 1,4-di-N-oxide

AU Ortega, Miguel Angel; Sainz, Yolanda; Montoya, Maria Elena; Jaso, Andres; Zarranz, Belen; Aldana, Ignacio; Monge, Antonio

CS Unidad en Investigación y Desarrollo de Medicamentos, CIFA, Universidad de Navarra, Pamplona, Spain

SO Arzneimittel-Forschung (2002), 52(2), 113-119 CODEN: ARZNAD; ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

OS CASREACT 137:134476

IT 187028-94-0P 444807-89-0P 444807-90-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(quinoxaline-2-carbonitrile derivs. anti-Mycobacterium tuberculosis action)

RN 187028-94-0 CAPLUS

CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 444807-89-0 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

C1
$$NH-C-NH-CH_2-CH_2-NEt_2$$
 $C1$ CN CN

RN 444807-90-3 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

C1
$$\stackrel{\circ}{\parallel}$$
 $\stackrel{\circ}{\parallel}$ \stackrel

AB In this paper new quinoxaline derivs. with different substituents in positions 3, 6, 7 and 8 are reported. Their biol. activities against Mycobacterium tuberculosis have been assessed and most of the 1,4-di-N-oxide derivs. have been shown to strongly inhibit the bacteria growth in the first in vitro screening. One of these N-oxides (4) is a promising candidate due to its good Selectivity Index (7.95). On the other hand, those compds. without N-oxide moieties showed no or very low activity (growth inhibition: 17% and 39%).

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2001:735156 CAPLUS

DN 136:102354

TI A new convenient liquid- and solid-phase synthesis of quinoxalines from (E)-3-diazenylbut-2-enes

AU Attanasi, Orazio A.; De Crescentini, Lucia; Filippone, Paolino; Mantellini, Fabio; Santeusanio, Stefania

CS Istituto di Chimica Organica, Universita di Urbino, Urbino, I-61029, Italy

SO Helvetica Chimica Acta (2001), 84(8), 2379-2386 CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

IT 389121-66-8P 389121-67-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (liq.-phase and solid-phase prepn. of quinoxalinecarboxylates from arenediamines and (1E)-[(1E)-3-alkoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylates)

RN 389121-66-8 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 389121-67-9 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Diazenecarboxylates, e.g., (1E)-[(1E)-3-methoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester or (1E)-[(1E)-3-ethoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester, etc., react with 1,2-diamines to give 3-methylquinoxaline-2-carboxylates. These products were also obtained in solid-phase synthesis, by using polymer-bound 3-diazenylbut-2-enes, i.e., Wang resin-bound (1E)-[(1E)-3-hydroxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester or Merrifield resin-bound (1E)-[(1E)-3-hydroxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester.

RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 5 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 2001:693046 CAPLUS

DN 135:277730

TI Preparation containing quinoxaline derivatives

IN Pfluecker, Frank; Driller, Hansjuergen; Kirschbaum, Michael; Scholz, Volker; Neunhoeffer, Hans

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

FAN.	CNT	1																
	PAT	CENT 1	NO.		KI	ND	DATE			A.	PPLI	CATI	ON NO	Ο.	DATE			
ΡI	WO	2001	0680	 47	 A	 2	2001	0920		. W	20 C	 01-Е	P251	 7	2001	0306		
	WO	2001068047			A3 20		2002	0020307										
		W:	ΑE,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
			MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
			SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZW,	AM,
			ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM								
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	ΒĖ,	CH,	CY,
			•	•	•			•	•						PT,		TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
										DE 2000-10013318A 20000317								

OS MARPAT 135:277730

IT 361389-99-3P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepns. contg. quinoxaline derivs. as photostable UV filters for cosmetic and pharmaceutical use)

RN 361389-99-3 CAPLUS

CN .alpha.-D-Glucopyranoside, (2R,3S,4R)-4-(6,7-dichloro-2-quinoxalinyl)-2,3,4-trihydroxybutyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

WO 2001-EP2517 W 20010306

09483504.7

Page 11

- AB The invention relates to the use of quinoxaline derivs. as photostable UV filters in cosmetic and pharmaceutical prepns. for protecting the human epidermis or human hair against UV radiation, esp. in the 280-400 nm range.
- L4 ANSWER 6 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:340173 CAPLUS
- DN 135:313259
- TI Quinoxaline 1,4-dioxides as anticancer and hypoxia-selective drugs
- AU Gali-Muhtasib, Hala U.; Haddadin, Makhluf J.; Rahhal, Dina N.; Younes, Ihab H.
- CS Department of Biology, American University of Beirut, Beirut, Lebanon
- SO Oncology Reports (2001), 8(3), 679-684 CODEN: OCRPEW; ISSN: 1021-335X
- PB Oncology Reports
- DT Journal
- LA English
- IT 60680-42-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(quinoxaline 1,4-dioxides as anticancer and hypoxia-selective drugs)

- RN 60680-42-4 CAPLUS
- CN Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & & \\ C1 & & \\$$

AB Hypoxic cells which are found in solid tumors are resistant to anticancer drugs and radiation therapy. Thus, for effective anticancer chemotherapy, it is important to identify drugs with selective toxicity towards hypoxic cells. Quinoxaline 1,4-dioxides (QdNOs) are heterocyclic arom. N-oxides that were found to possess potent antibacterial activities (inhibit microbial DNA synthesis) esp. under anaerobic conditions; thus they are under evaluation as bioreductive drugs for the treatment of solid tumors. The authors investigated the ability of 4 differently substituted QdNOs to inhibit cell growth and induce cell cycle changes in 2 human tumorigenic epithelial cell lines under oxic conditions. The authors also evaluated the toxicity of these drugs to cancer cells cultured under hypoxic conditions. 2 Epithelial cell lines (the T-84 human colon cancer-derived cell line, and the SP-1 keratinocyte cell line) were treated with various doses of the QdNOs and harvested at different times after treatment. Proliferation and cell cycle results showed a structure-function relationship in the activity of the various QdNO compds. with the 2-benzoyl-3-phenyl-6,7-dichloro-deriv. of QdNO (DCBPQ) being the most potent cytotoxin and hypoxia-selective drug. The 2-benzoyl-3-Ph (BPQ) and the 2-acyl-3-methyl-deriv. of QdNO (AMQ) were less cytotoxic but arrested almost 50% of the cells in the G2M phase of the cell cycle at doses of 30

Patel

09483504.7 Page 12

L4 AN

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MARPAT 133:89542

and 120 .mu.M, resp. The tetramethylene deriv. of QdNO (TMQ) did not affect the growth and cycling of cells cultured in air and was the least potent cytotoxin to hypoxic cells. The authors' results indicate that the QdNOs are hypoxia-cytotoxic drugs whose activity varies according to the substituents on the quinoxaline 1,4-dioxide heterocycle. Because of their selective toxicity to hypoxic cells (cells found in human tumors), these drugs may provide useful therapeutic agents against solid tumors. THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 17 ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 7 OF 100 CAPLUS COPYRIGHT 2003 ACS 2000:493530 CAPLUS 133:89542 Preparation of quinoxalines as non-peptide GLP-1 agonists Teng, Min; Truesdale, Larry Kenneth; Bhumralkar, Dilip; Kiel, Dan; Johnson, Michael D.; Thomas, Christine; Jorgensen, Anker Steen; Madsen, Peter; Olesen, Preben Houlberg; Knudsen, Liselotte Bjerre; Petterson, Ingrid Vivika; Cornelis De Jong, Johannes; Behrens, Carsten; Kodra, Janos Tibor; Lau, Jesper Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc. PCT Int. Appl., 194 pp. CODEN: PIXXD2 Patent English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000042026 A1 20000720 WO 2000-DK14 20000114 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DK 1999-41 A 19990115 A1 20011024 EP 2000-900499 20000114 EP 1147094 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO A 19990115 DK 1999-41 W 20000114 WO 2000-DK14 JP 2002534512 T2 20021015 JP 2000-593594 20000114 DK 1999-41 A 19990115 WO 2000-DK14 W 20000114

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281208-86-4P 281208-91-1P 281208-92-2P 281209-02-7P 281209-03-8P 281209-04-9P 281209-05-0P 281209-06-1P 281209-23-2P 281209-26-5P 281209-33-4P 281209-34-5P 281209-35-6P 281209-36-7P 281209-37-8P 281209-38-9P 281209-40-3P 281209-41-4P 281209-42-5P 281209-43-6P 281209-44-7P 281209-45-8P 281209-46-9P 281209-47-0P 281209-48-1P 281209-51-6P 281209-52-7P 281209-53-8P 281209-54-9P 281209-55-0P 281209-56-1P 281209-58-3P 281209-59-4P

281209-60-7P 281209-61-8P 281209-62-9P 281209-64-1P 281209-68-5P 281209-71-0P 281209-72-1P 281209-73-2P 281209-74-3P 281209-75-4P 281209-77-6P 281209-78-7P 281209-82-3P 281209-83-4P 281209-84-5P 281209-85-6P 281209-86-7P 281209-87-8P 281209-88-9P 281209-89-0P 281209-90-3P 281209-92-5P 281209-95-8P 281209-97-0P 281209-98-1P 281209-99-2P 281210-01-3P 281210-02-4P 281210-03-5P 281210-04-6P 281210-07-9P 281210-08-0P 281210-09-1P 281210-14-8P 281210-16-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of quinoxalines as non-peptide GLP-1 agonists) RN 281208-86-4 CAPLUS Propanoic acid, 3-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]thio]-, CN ethyl ester (9CI) (CA INDEX NAME)

RN 281208-91-1 CAPLUS
CN Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-(trifluoromethyl)- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} C1 & & CF_3 \\ & & \\ C1 & & \\ & &$$

RN 281208-92-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 281209-02-7 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 281209-03-8 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)

RN 281209-04-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(2-methylpropyl)sulfonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 281209-05-0 CAPLUS

CN Carbamic acid, [2-[[6,7-dichloro-3-(trifluoromethyl)-2-quinoxalinyl]sulfonyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 281209-06-1 CAPLUS

CN Quinoxaline, 2-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-6,7-dichloro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

281209-23-2 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)- (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} C1 & O \\ \parallel & S-Me \\ \hline \\ C1 & Me \end{array}$$

RN 281209-26-5 CAPLUS

CN2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O \\ \parallel & & \parallel \\ S-Me \\ \downarrow & O \\ NH_2 \end{array}$$

RN

281209-33-4 CAPLUS Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[(1-methylethyl)sulfonyl]-CN (9CI) (CA INDEX NAME)

RN 281209-34-5 CAPLUS

Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[(1-methylethyl)sulfinyl]-CN (9CI) (CA INDEX NAME)

RN 281209-35-6 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-36-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & Pr-i \\ \hline & N & & S-Me \\ \hline & O & & \\ \end{array}$$

RN 281209-37-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & &$$

RN 281209-38-9 CAPLUS

CN Benzamide, 3-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\$$

RN 281209-40-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[[(3,5-dimethyl-4-isoxazolyl)methyl]sulfonyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O & Me \\ \hline \\ C1 & & N & Pr-i & O & Me \\ \hline \end{array}$$

281209-41-4 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[[(5-chloro-2-thienyl)methyl]sulfonyl]-3-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

RN 281209-42-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[[2-(1,3-dioxolan-2-yl)ethyl]sulfonyl]-3-(1methylethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & &$$

RN

281209-43-6 CAPLUS Quinoxaline, 6,7-dichloro-2-[(cyclopropylmethyl)sulfonyl]-3-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\$$

RN 281209-44-7 CAPLUS

Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[[[4-CN (methylsulfonyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ C1 & & \\ &$$

RN 281209-45-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-[[(1-methylethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \text{Pr-i} \\ & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} \\ & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\overset{\circ}{\underset{N}{\bigvee}} & \overset{\overset{\sim}{\underset{N}{\bigvee}} & \overset{\overset{\sim}{\underset{N}{\bigvee}} & \overset{\sim}{\underset{N}{\bigvee}} & \overset{\overset{\sim}{\underset{N}{\bigvee}} & \overset$$

RN 281209-46-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(2-methylpropyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 281209-47-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylpropyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ C1 & & \\ & & \\ C1 & & \\ & &$$

RN 281209-48-1 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{Ph} \\ \text{O} & \text{S--}\text{Me} \\ \text{O} & \text{O} \end{array}$$

RN 281209-51-6 CAPLUS

CN Ethanol, 2-[[3-[[6,7-dichloro-3-(trifluoromethyl)-2-quinoxalinyl]sulfonyl]-1-oxopropyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 281209-52-7 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & & \\ & & & \\ Cl & & & \\$$

RN 281209-53-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-methyl-N-(1-methylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O \\ \parallel & & \\ S^{-} Me \\ \downarrow & \\ C1 & & N^{-} Pr^{-}i \\ & & \\ Me \end{array}$$

RN 281209-54-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-ethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-55-0 CAPLUS

CN Ethanol, 2-[[6,7-dichloro-3-(dimethylamino)-2-quinoxalinyl]sulfonyl]-(9CI) (CA INDEX NAME)

RN 281209-56-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N,N-dimethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel & \\ S-Me \\ & \parallel & \\ C1 & & N \\ \end{array}$$

RN 281209-58-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O \\ \parallel & & \parallel \\ S-Me \\ \downarrow & O \\ C1 & & Et \end{array}$$

RN 281209-59-4 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-hexyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ & & & \\ C1 & & & \\$$

RN 281209-60-7 CAPLUS

Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-propyl- (9CI) (CA INDEX CNNAME)

RN281209-61-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(cyclopentylsulfonyl)-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN

281209-62-9 CAPLUS Quinoxaline, 6,7-dichloro-2-[(3-methylbutyl)sulfonyl]-3-(trifluoromethyl)-CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \\ \text{S} & \text{CH}_2 - \text{CH}_2 - \text{CHMe}_2 \\ \text{O} & \\ \text{CF}_3 \end{array}$$

RN281209-64-1 CAPLUS

CN Propanoic acid, 3-[[6,7-dichloro-3-(trifluoromethyl)-2quinoxalinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \begin{array}{c} \text{O} & \text{O} \\ \text{S}-\text{CH}_2-\text{CH}_2-\text{C}-\text{OMe} \\ \\ \text{O} \\ \text{CF}_3 \end{array}$$

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$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \parallel & \parallel & \parallel \\ \text{S-} & \text{CH}_2 - \text{CH}_2 - \text{C--} \text{OMe} \\ \parallel & \text{O} \\ \text{CF}_3 \end{array}$$

RN 281209-68-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel & \\ N & & \parallel & \\ S- & Pr-i \\ 0 & \\ 0 & \\ Pr-n & \end{array}$$

RN 281209-71-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281209-72-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(2-methylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ & & \\ C1 & & \\ &$$

RN 281209-73-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)- (9CI) (CA INDEX NAME)

RN 281209-74-3 CAPLUS

CN Acetamide, N-[2-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 281209-75-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methyl-1-phenylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-77-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 281209-78-7 CAPLUS

CN .beta.-Alanine, N-[[4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 281209-82-3 CAPLUS

CN Hydrazinecarboxamide, 2-[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 281209-83-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-8-nitro-(9CI) (CA INDEX NAME)

RN 281209-84-5 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 281209-85-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulfonyl]-N-(1,1-dimethylethyl)- (9CI) (CA TNDEX NAME)

RN 281209-86-7 CAPLUS

CN Propanamide, 3-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]-N-[2-(4-oxido-4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ & & \\ C1 & & \\ &$$

RN 281209-87-8 CAPLUS

CN .beta.-Alanine, N-[[4-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]butoxy]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

C1
$$N$$
 S $CH_2)_4 - O$ C N $CH_2 - CH_2 - CH$

RN 281209-88-9 CAPLUS

CN .beta.-Alanine, N-[3-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 281209-89-0 CAPLUS

CN .beta.-Alanine, N-[4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 281209-90-3 CAPLUS

CN Benzamide, 4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]-N-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 281209-92-5 CAPLUS

CN Benzamide, 4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]-N-3-pyridinyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 281209-95-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(1-methylethyl)-3-(methylsulfonyl)-

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(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & O \\ \parallel & S-Me \\ \hline \\ Cl & N & Pr-i \end{array}$$

RN 281209-97-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopropyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 281209-98-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopentyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 281209-99-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-methoxy-N-methyl-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ & & \\ C1 & & \\ &$$

RN 281210-01-3 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylpropyl)-3-(methylsulfonyl)-

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(9CI) (CA INDEX NAME)

RN 281210-02-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-03-5 CAPLÚS

CN 2H-Azepin-2-one, 3-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]hexahydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & O & H \\ N & & NH & \\ Cl & & S-Me \\ & & O \\ \end{array}$$

RN 281210-04-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-ethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

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RN 281210-07-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281210-08-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulf onyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-09-1 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)thio]-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & N & \\ & & \\ & SPr-i \end{array}$$

RN 281210-14-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-nitro-(9CI) (CA INDEX NAME)

RN 281210-16-0 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ & & & \\ C1 & & & \\$$

IT 281210-87-5 281210-94-4 281210-96-6

281210-98-8

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of quinoxalines as non-peptide GLP-1 agonists)

RN 281210-87-5 CAPLUS

CN Ethanol, 2-[[6,7-dichloro-3-(dimethylamino)-2-quinoxalinyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{NMe}_2 \\ \\ \text{C1} & \text{S-CH}_2\text{-CH}_2\text{-OH} \end{array}$$

RN 281210-94-4 CAPLUS

CN Propanoic acid, 3-[[6,7-dichloro-3-(1-methylethyl)-8-nitro-2-quinoxalinyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NO2} & \text{S-CH}_2\text{-CH}_2\text{-CO}_2\text{H} \\ \hline \\ \text{Cl} & \text{Pr-i} \end{array}$$

RN 281210-96-6 CAPLUS

CN Propanamide, 3-[[6,7-dichloro-3-(1-methylethyl)-8-nitro-2-quinoxalinyl]thio]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $NO2$
 $S-CH_2-CH_2-C-NH-CH_2-CH_2$
 $NO2$
 $Pr-i$

RN 281210-98-8 CAPLUS

CN 2,3-Quinoxalinediamine, 6,7-dichloro-N-(1-methylethyl)- (9CI) (CA INDEX

NAME)

IT 281210-58-0P 281210-60-4P 281210-62-6P

281210-64-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoxalines as non-peptide GLP-1 agonists)

RN 281210-58-0 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 281210-60-4 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-62-6 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

RN 281210-64-8 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)

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 X
 $L-A$
 $M-B$

AB The title compds. I [R1, R2, R3, R4 independently = H, halogen, CN, CF3, NO2, OR5, lower alkyl, SR5, S(O2)NR5R6, etc (a proviso is given); A, B = H, halogen, OH, CF3, CF2CF3, CN, NO2, alkyl, alkenyl, etc; L, M = (CH2)mS(CH2)n, (CH2)mO(CH2)n, (CH2)mS(O)(CH2)n, (CH2)mS(O)2(CH2)n, etc; X, V = :N or :CD; D = H, halogen, CN, CF3, NO2, etc; m, n independently = 0, 1, 2, 3, or 4] useful as non-peptide GLP-1 agonists for the treatment and/or prevention of disorders and diseases wherein an activation of the human GLP-1 receptor is beneficial, esp. metabolic disorders such as Type 1 diabetes, Type 2 diabetes and obesity (no data), are prepd. Formulations are given.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 100 CAPLUS COPYRIGHT 2003 ACS

Ι

AN 2000:11834 CAPLUS

DN 132:175202

TI Novel dichloroquinoxaline CXCR receptor antagonists

AU Anon.

CS USA

SO Expert Opinion on Therapeutic Patents (2000), 10(1), 121-123 CODEN: EOTPEG; ISSN: 1354-3776

PB Ashley Publications

DT Journal; General Review

LA English

IT 106739-62-2D, derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel dichloroquinoxaline CXCR receptor antagonists)

RN 106739-62-2 CAPLUS

CN 1,3-Propanediamine, N'-(6,7-dichloro-2-quinoxalinyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

AB A review with 9 refs. Novel 2-(alkylaminoalkyl)amino-3-aryl-6,7-dichloroquinoxalines are claimed that act as selective antagonists of IL-8. Specified examples inhibit IL-8 induced chemotaxis of human neutrophils with IC50 values in the 80 to 400 nM range. Such compds. provide a novel class of anti-inflammatory agents esp. suitable for the treatment of neutrophil mediated inflammatory diseases.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 9 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1999:784084 CAPLUS

DN 132:22977

TI Preparation of (cyanoimino)quinoxaline derivatives as antagonists of glutamate receptors

IN Takada, Susumu; Chomei, Nobuo; Kihara, Tsuyoshi

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

I'AIV.	PATENT NO.	KIND DATE	APPLICATION NO. DATE						
ΡΊ	W: AE, AL, DE, DK, JP, KE, MW, MX,	AM, AT, AU, AZ, BA, EE, ES, FI, GB, GD, KG, KR, KZ, LC, LK, NO, NZ, PL, PT, RO, UA, UG, US, UZ, VN,	WO 1999-JP2822 19990528 BB, BG, BR, BY, CA, CH, CN, CU, CZ, GE, GH, GM, HR, HU, ID, IL, IN, IS, LR, LS, LT, LU, LV, MD, MG, MK, MN, RU, SD, SE, SG, SI, SK, SL, TJ, TM, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,						
	RW: GH, GM, ES, FI,	KE, LS, MW, SD, SL,	SZ, UG, ZW, AT, BE, CH, CY, DE, DK, LU, MC, NL, PT, SE, BF, BJ, CF, CG, NE, SN, TD, TG JP 1998-151017 A 19980601						
	CA 2333515	AA 19991209	CA 1999-2333515 19990528 JP 1998-151017 A 19980601 WO 1999-JP2822 W 19990528						
	AU 9939553 AU 744274	A1 19991220 B2 20020221							
	BR 9910859	A 20010313	JP 1998-151017 A 19980601						
			WO 1999-JP2822 W 19990528 EP 1999-922540 19990528 GB, GR, IT, LI, LU, NL, SE, MC, PT,						
	JP 3231338	B2 20011119	JP 1998-151017 A 19980601 WO 1999-JP2822 W 19990528 JP 1999-556548 19990528						

Patel

JP 1998-151017 A 19980601

			WO 1999-JP2822 W 19990528
NZ 508280	Α	20020927	NZ 1999-508280 19990528
			JP 1998-151017 A 19980601
			WO 1999-JP2822 W 19990528
NO 2000006065	Α	20010131	NO 2000-6065 20001129
			JP 1998-151017 A 19980601
			WO 1999-JP2822 W 19990528
US 6525054	B1	20030225	US 2000-701383 20001201
			JP 1998-151017 A 19980601
			WO 1999-JP2822 W 19990528

OS MARPAT 132:22977

IT 251918-96-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (cyanoimino)quinoxaline derivs. as antagonists of glutamate receptors for treatment of cerebral apoplexy)

RN 251918-96-4 CAPLUS

CN Cyanamide, (6,7-dichloro-5-nitro-2,3-quinoxalinediyl)bis- (9CI) (CA INDEX NAME)

GI

AB Cyanoiminoquinoxaline derivs. represented by general formula (I; wherein X and Y are each independently O or :NCN, provided at least either of X and Y is :NCN; R1, R2, R3 and R4 are each independently hydrogen, halogeno, nitro, an optionally substituted heterocyclic group or the like; and R5 is hydrogen or the like, or alternatively R1 and R2, R2 and R3, R3 and R4, and R4 and R5 each together with the atoms adjacent thereto may form a carbocycle which may be substituted or contain a heteroatom), which exhibit antagonism against glutamate receptors, in particular NMDA (N-methyl-D-aspartic acid) receptor and AMPA [2-amino-3-(3-hydroxy-5-methylisoxazol-4-yl)propanoic acid] receptor without kidney toxicity and are useful as preventive or therapeutic agents for diseases due to hyperexcitation of glutamate receptors (in particular cerebral apoplexy) are prepd. Thus, 2-(cyanoimino)-1,4-dihydro-7-fluoro-6-nitro-3-quinoxaline disodium salt and 4-hydroxypyridine were added to DMSO and

heated with stirring at 130.degree. for 3 h and dild. with water under ice-cooling and acidified to pH 3 with 1 N HCl to give the title compd. (II) which was converted to the Na salt. II.Na in vitro inhibited the binding of 3H-AMPA and 3H-glycine to homogenized rat cerebral cortex with IC50 of 0.034 and $7.5\,$.mu.M, resp.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 10 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
    1999:549272 CAPLUS
DN
    131:170359
    Preparation of substituted quinoxaline derivatives as interleukin-8
TI
    receptor antagonists
    Carson, Kenneth G.; Connor, David Thomas; Li, Jie Jack; Low, Joseph Edwin;
IN
    Luly, Jay R.; Miller, Steven Robert; Roth, Bruce David; Trivedi, Bharat
    Kalidas
PA
    Warner-Lambert Company, USA
SO
    PCT Int. Appl., 200 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO.
                 KIND DATE
                                        APPLICATION NO. DATE
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                                         ______
    WO 9942463
                                    WO 1999-US2581 19990205
                    A1 19990826
PΤ
        W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID,
            IL, IN, IS, JP, KP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ,
            PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1998-75551P P 19980223
                                         AU 1999-26603
    AU 9926603
                      Α1
                           19990906
                                                          19990205
                                         US 1998-75551P P 19980223
                                         WO 1999-US2581 W 19990205
    ZA 9901413
                           19990830
                                         ZA 1999-1413
                                                          19990222
                                         US 1998-75551P P 19980223
PATENT FAMILY INFORMATION:
FAN 1999:549270
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                    ____
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PΙ
    WO 9942461
                    A1 19990826
                                        WO 1998-US26707 19981215
        W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,
            IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL,
            RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1998-75551P P 19980223
    AU 9919182
                      Α1
                           19990906
                                         AU 1999-19182
                                                          19981215
                                         US 1998-75551P P 19980223
                                         WO 1998-US26707W 19981215
    ZA 9901413
                           19990830
                                         ZA 1999-1413
                                                         19990222
                                         US 1998-75551P P 19980223
OS
    MARPAT 131:170359
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239094-95-2P 239095-04-6P 239095-38-6P

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted quinoxaline derivs. as interleukin receptor antagonists)

RN 239094-95-2 CAPLUS

CN 1,4-Butanediamine, N'-[6,7-dichloro-3-(1-ethoxyethenyl)-2-quinoxalinyl]-N,N-diethyl-(9CI) (CA INDEX NAME)

RN 239095-04-6 CAPLUS

CN 1,3-Propanediamine, N'-[6,7-dichloro-3-(2-naphthalenyl)-2-quinoxalinyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 239095-38-6 CAPLUS

CN 1,3-Propanediamine, N'-[6,7-dichloro-3-(2-naphthalenyl)-2-quinoxalinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

GI

AB Title compds. [I; R = H, Cl, F; R-R3 = CH2CH2CH2; R1 = 2-pyridyl, 2-thienyl, 2-furyl, 5-methyl-2-furyl, C(:CH2)OEt, 2-thienyl-2-thienyl, 5-chloro-2-thienyl, 5-methoxy-2-thienyl, 5-propyl-2-thienyl, 2-naphthyl, 5-phenyl-2-thienyl, OMe; R2 = 4-HNCH(CH2)2CH(CH2CH2)NMe2, 4-Et2NCH2C6H4NH, Me2N(CH2)3NH, Me2(CH2)4NH, Et2(CH2)4NH; R3 = C1, F, H, CF3; R4 = H, NO2; R5 = H, C1] are described as well as methods for the prepn. and pharmaceutical compns. of same, which are useful as interleukin-8 (IL-8) receptor antagonists and can be used in the treatment of a chemokine-mediated disease wherein the chemokine binds to an IL-8a (CXCR1) or b (CXCR2) receptor such as a chemokine-mediated disease selected from psoriasis, or atopic dermatitis, disease assocd. with pathol. angiogenesis (i.e. cancer), asthma, chronic obstructive pulmonary disease, adult respiratory distress syndrome, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, gastric ulcer, septic shock, endotoxic shock, gram-neg. sepsis, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, glomerulo-nephritis, or thrombosis, Alzheimer's disease, graft vs. host reaction, allograft rejections, or allergic diseases. The title compd. I () was prepd.

ΙI

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1999:363560 CAPLUS

DN 131:116212

TI Synthesis of 3-aryl and 3-heterocyclic quinoxalin-2-ylamines via Pd-catalyzed cross-coupling reactions

AU Li, Jie Jack; Yue, Wen Song

CS Medicinal Chemistry Department, Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, MI, 48105, USA

SO Tetrahedron Letters (1999), 40(24), 4507-4510 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

IT 232604-15-8P 232604-16-9P 232604-18-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (3-aryl and 3-heterocyclic quinoxalin-2-ylamines via Pd-catalyzed

Patel <4/4/2003>

cross-coupling reactions)

RN 232604-15-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 232604-16-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-phenyl- (9CI) (CA INDEX NAME)

RN 232604-18-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

AB Facile and high yielding Suzuki and Stille cross-coupling reactions of 3-bromoquinoxalin-2-ylamines were developed to synthesize a variety of novel and diversely functionalized 3-aryl and 3-heterocyclic quinoxalin-2-ylamines. The prepn. of the substrates and the remarkable impact that substituents have on the regiochem. outcome are discussed.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1999:206733 CAPLUS

DN 131:18980

TI Elemental fluorine. Part 10. Selective fluorination of pyridine, quinoline and quinoxaline derivatives with fluorine-iodine mixtures

AU Chambers, Richard D.; Parsons, Mandy; Sandford, Graham; Skinner, Christopher J.; Atherton, Malcolm J.; Moilliet, John S.

CS Department of Chemistry, University of Durham, Durham, DH1 3LE, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (7), 803-810 CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 131:18980

1T 19853-64-6P, 6,7-Dichloroquinoxaline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and attempted fluorination of)

RN 19853-64-6 CAPLUS

CN Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME)

AB Selective fluorination of a range of pyridine and quinoline substrates to give corresponding 2-fluoro derivs. can be readily achieved in high yield at room temp. using elemental fluorine-iodine mixts. Reaction of fluorine with iodine forms, in situ, systems that function like sources of both iodonium and fluoride ions and fluorination of heterocyclic derivs. is suggested to proceed by fluoride ion attack on intermediate N-iodo heterocyclic species. Quinoxaline derivs. react under similar conditions to give either the 2-fluoro- or 2,3-difluoroquinoxaline derivs., depending on the ratio of fluorine passed through the soln. In related processes, pyridine can be alkoxylated upon reaction of an appropriate alc. and fluorine.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1999:142480 CAPLUS

DN 130:276242

TI New quinoxaline 1,4-di-N-oxides for treatment of tuberculosis

AU Sainz, Yolanda; Montoya, Maria Elena; Martinez-Crespo, Francisco Javier; Ortega, Miquel Angel; Lopez de Cerain, Adela; Monge, Antonio

CS Centro Investigacion Farmacobiologia Aplicada, Universidad Navarra, Pamplona, E-31080, Spain

SO Arzneimittel-Forschung (1999), 49(1), 55-59 CODEN: ARZNAD; ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

IT 222846-29-9P 222846-37-9P 222846-43-7P

222846-61-93

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (new quinoxaline 1,4-di-N-oxides for treatment of tuberculosis)

RN 222846-29-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

Patel <4/4/2003>

RN 222846-37-9 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, ethyl ester, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 222846-43-7 CAPLUS

CN Acetamide, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)- (9CI) (CA INDEX NAME)

RN 222846-61-9 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ NH-C-NH-CH_2-CH_2-NMe_2 \\ \hline \\ C1 & O \end{array}$$

AB Some quinoxaline 1,4-di-N-oxides derivs. with very different substituents in 2, 3, 6, and 7 positions were synthesized to obtain new hypoxia selective agents. Some of these products were tested as antituberculosis agents and very interesting results were obtained from the 1st screening.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 14 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:550899 CAPLUS
- DN 129:276185
- TI Synthesis of imidazo[4,5-b]quinoxaline ribonucleosides as linear dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides
- AU Zhu, Zhijian; Saluja, Sunita; Drach, John C.; Townsend, Leroy B.
- CS Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1065, USA
- SO Journal of the Chinese Chemical Society (Taipei) (1998), 45(4), 465-474 CODEN: JCCTAC; ISSN: 0009-4536
- PB Chinese Chemical Society
- DT Journal
- LA English
- IT 192075-86-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazoquinoxaline ribonucleosides as linear dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides)

RN 192075-86-8 CAPLUS

CN 2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

AB We have recently found that 2,5,6-trichloro-1-(.beta.-Dribofuranosyl)benzimidazole (TCRB) and the corresponding 2-bromo analog have better in vitro activities against HCMV than the clin. used agents ganciclovir and foscarnet. These benzimidazole nucleosides act by a unique mechanism, however, their biol. target has not been completely identified. As an approach to probing the target, we have designed imidazo[4,5-b]quinoxaline nucleosides as linear dimensional analogs of the benzimidazole nucleosides to study the spatial limitation of the binding site in the target enzyme. A convenient route was developed for the synthesis of 2-substituted 6,7-dichloroimidazo[4,5-b]quinoxalines involving a reaction of 2,3,6,7- tetrachloroquinoxaline with ammonia followed by a ring annulation as the key step. This furnished the versatile heterocycle 6,7-dichloroimidazo[4,5-b]quinoxalin-2-one. Ribosylation of 2-substituted imidazo[4,5-b]quinoxalines was influenced by the functional group at the 2-position and the 2-one compd. was found to smoothly undergo ribosylation. The 2-one group of the nucleoside was converted into specifically selected 2-substituted compds. Evaluation of the compds. for activity against two herpes viruses and for cytotoxicity showed they were less active and/or more cytotoxic than TCRB. We conclude therefore, that the binding pocket on the protein target of TCRB will tolerate some electronic and size changes.

Patel <4/4/2003>

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 15 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:534888 CAPLUS
- DN 129:156926
- TI Methods and compositions using receptor tyrosine kinase inhibitors for inhibiting cell proliferative disorders, and inhibitor preparation
- IN Chen, Hui; Gazit, Aviv; Hirth, Klaus Peter; Mann, Elaina; Shawver, Laura
 K.; Tsai, Jianming; Tang, Peng Cho
- PA Sugen, Inc., USA; Yissum Research & Development Company of the Hebrew University of Jerusalem
- SO U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 207,933, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡΙ	US 5789427	 А	19980804	US 1995-399967	19950307
				US 1994-207933	19940307
	US 5773476	Α	19980630	US 1995-486775	19950607
				US 1994-207933	19940307
				US 1995-399967	19950307

PATENT FAMILY INFORMATION:

FAN 1995:926425

	PATENT NO.				KIND DATE			APPLICATION NO.				Ο.	DATE						
	- - -							-		_									
ΡI	WO	9524	190		A.	2	1995	0914		W	O 19:	95-U	5282	5	1995	0306			
	WO	9524	190		A.	3	1995	1109											
		W :	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,	
			GB,	GE,	HU,	JP,	KΕ,	KG,	ΚP,	KR,	ΚŻ,	LK,	LR,	LT,	LU,	LV,	MD,	MG,	
			MN,	MW,	MX,	NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	
			TT,	UA															
		RW:	KΕ,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	
			LU,	MC,	ΝL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	ΝE,	
			SN,	TD,	TG														
										U:	5 19:	94-2	0793	3	1994	0307			
	ΑU	9520	968		A:	1	1995	0925		A	J 19	95-2	0968		1995	0306			

OS MARPAT 129:156926

IT 71896-95-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(receptor tyrosine kinase inhibitors, and prepn. thereof, for inhibiting cell proliferative disorders)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

Patel

US 1994-207933

WO 1995-US2826

19940307

19950306

09483504.7

AB The invention concerns compds. and their use to inhibit the activity of a receptor tyrosine kinase. The invention is preferably used to treat cell proliferative disorders, e.g. cancers characterized by over-activity or inappropriate activity HER2 or EGFR.

RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 16 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
    1998:424230 CAPLUS
AN
    129:81730
DN
    Preparation of (hetero)arylacrylates as modulators of proteins with
TI
    phosphotyrosine recognition units.
    Mjalli, Adnan; Sarshar, Sepehr; Cao, Xiaodong; Bakir, Farid
IN
PΑ
    Ontogen Corp., USA
SO
    PCT Int. Appl., 202 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 3
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
                                                        -----
    ______
                    _ _ _ _
                          -----
                                        -----
                          19980625
    WO 9827065
PΤ
                    A1
                                        WO 1996-US20508 19961216
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    AU 9715667
                   A1 19980715
                                        AU 1997-15667 19961216
    AU 740425
                    B2
                          20011101
                                        US 1995-543630 A 19951016
                                        WO 1996-US20508W 19961216
                                        EP 1996-945409 19961216
    EP 946518
                    A1 19991006
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        WO 1996-US20508W 19961216
    JP 2001506997
                    T2 20010529
                                        JP 1998-527650 19961216
                                        WO 1996-US20508W 19961216
PATENT FAMILY INFORMATION:
FAN 1997:299627
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
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                                        WO 1996-US18401 19960619
ΡI
    WO 9708934
                     A2
                          19970313
    WO 9708934
                    A3
                          19970424
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        US 1995-17610P P 19950619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    US 5770620
                     Α
                          19980623
                                        US 1995-543630
                                                       19951016
    CA 2224874
                     AA
                          19970313
                                        CA 1996-2224874 19960619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    EP 833629
                    A2
                          19980408
                                        EP 1996-940489 19960619
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
    JP 11508919
                     T2
                          19990803
                                        JP 1996-511473 19960619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
    AU 9677358
                    A1
                          19970327
                                        AU 1996-77358
                                                        19961024
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Patel

	AU 713863	B2	19991209	
				US 1995-492264 A 19950619
				US 1995-543630 A 19951016
				WO 1996-US18401W 19960619
	US 6388076	B1	20020514	
				US 1995-17610P P 19950619
				US 1995-543630 A319951016
FAN	1998:324829			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5753687	 А	19980519	US 1996-766114 19961216
	05 3733007	**	10000310	US 1995-543630 A219951016
	US 5770620	Α	19980623	
	US 5965558	A	19991012	US 1997-960637 19971029
				US 1995-543630 A219951016
				US 1996-766114 A319961216
	US 6150532	Α	20001121	US 1998-210076 19981211
				US 1995-17610P P 19950619
				US 1995-543630 A219951019
				US 1996-766114 A319961216
				US 1997-960637 A319971029
	US 2002183518	A1	20021205	US 2001-995550 20011127
				US 1995-17610P P 19950619
				US 1995-543630 A319951016
				US 1996-766114 A219961216
				US 1997-960637 A319971029
				US 1998-210076 A319981211
				US 2000-645785 A120000824

OS MARPAT 129:81730

IT 207866-13-5P 207866-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (hetero)arylacrylates as modulators of proteins with phosphotyrosine recognition units)

RN 207866-13-5 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis- (9CI) (CA INDEX NAME)

RN 207866-14-6 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 207866-13-5

CMF C26 H16 C12 N2 O4

$$C1$$
 $C1$
 CH
 CH
 CH
 CH
 CH

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GI

AB YXC(R'):C(R")CO2R''' [R', R'' = H, halo, cyano, NO2, trihalomethyl, alkyl, arylalkyl; R''' = H, (substituted) alkyl, aryl, arylalkyl; X = aryl; Y = H, (substituted) CO2CHCO, COCO, COCHOH, imidazolyl, thiazolyl, oxazolyl, quinoxalinyl, pyridopyrazinyl, etc.], were prepd. Thus, title compd. (I) (general prepn. given) inhibited protein tyrosine phosphatase 1B with IC50 = 0.072 .mu.M.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 17 OF 100 CAPLUS COPYRIGHT 2003 ACS
T.4
AN
    1998:324829 CAPLUS
DN
    129:27943
    Preparation of heterocyclic compounds as modulators of proteins with
ΤI
    phosphotyrosine recognition units
    Mjalli, Adnan; Sarshar, Sepehr; Cao, Xiaodong; Bakir, Farid
ΙN
    Ontogen Corp., USA
PA
    U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 543,630.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 3
    PATENT NO.
                KIND DATE
                                        APPLICATION NO. DATE
    _____
                    ____
                          _____
                                        _____
    US 5753687
                    Α
                          19980519
                                        US 1996-766114 19961216
PΤ
                                        US 1995-543630 A219951016
                Α
    US 5770620
                          19980623
                                        US 1995-543630 19951016
    US 5965558
                     Α
                          19991012
                                        US 1997-960637
                                                         19971029
                                        US 1995-543630 A219951016
                                        US 1996-766114 A319961216
    US 6150532
               Α
                          20001121
                                        US 1998-210076 19981211
                                        US 1995-17610P P 19950619
                                        US 1995-543630 A219951019
                                        US 1996-766114 A319961216
                                        US 1997-960637 A319971029
    US 2002183518
                    A1
                          20021205
                                        US 2001-995550 20011127
                                        US 1995-17610P P 19950619
                                        US 1995-543630 A319951016
                                        US 1996-766114 A219961216
                                        US 1997-960637 A319971029
                                        US 1998-210076 A319981211
                                        US 2000-645785 A120000824
PATENT FAMILY INFORMATION:
FAN 1997:299627
                                       APPLICATION NO. DATE
    PATENT NO.
                    KIND DATE
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                                        _____
    WO 9708934
PΙ
                     A2
                          19970313
                                        WO 1996-US18401 19960619
    WO 9708934
                    A3
                          19970424
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        US 1995-17610P P 19950619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    US 5770620
                          19980623
                                        US 1995-543630
                     Α
                                        CA 1996-2224874 19960619
    CA 2224874
                    AΑ
                          19970313
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    EP 833629
                    A2 19980408
                                        EP 1996-940489 19960619
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
                                        JP 1996-511473 19960619
    JP 11508919
                    T2
                          19990803
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US 1995-492264 A 19950619 US 1995-543630 A 19951016

							WO 1996-US18401W 19960619	
	AU	9677358		A1	19970327		AU 1996-77358 19961024	
		713863			19991209			
							US 1995-492264 A 19950619	
							US 1995-543630 A 19951016	
							WO 1996-US18401W 19960619	
	US	6388076		B1	20020514		US 2000-645785 20000824	
							US 1995-17610P P 19950619	
							US 1995-543630 A319951016	
FAN	199	8:424230						
	PAT	TENT NO.		KIND	DATE		APPLICATION NO. DATE	
ΡI	WO	9827065		A1	19980625		WO 1996-US20508 19961216	
		W: AU, (CA,	JP				_
		RW: AT,	ΒE,	CH, DE	, DK, ES,	FI,	FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	3
							AU 1997-15667 19961216	
	AU	740425		B2	20011101			
							US 1995-543630 A 19951016	
							WO 1996-US20508W 19961216	
	ΕP						EP 1996-945409 19961216	
		R: CH,	DE,	ES, FR	, GB, IT,	LI,	SE	
							WO 1996-US20508W 19961216	
	JP	200150699	7	T2	20010529		JP 1998-527650 19961216	
							WO 1996-US20508W 19961216	

OS MARPAT 129:27943

IT 207866-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as modulators of proteins with phosphotyrosine recognition units)

RN 207866-14-6 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 207866-13-5 CMF C26 H16 Cl2 N2 O4

$$C1$$
 CH CH CH CH CH

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GI

$$\begin{array}{c}
\mathbb{R}^{3} & \mathbb{R}^{4} \\
\mathbb{N} & \mathbb{N}_{\mathbb{R}^{2}}
\end{array}$$

AB The title compds. I [at least one of R1 - R4 is XC(R'):C(R'')CO2R'''; R', R'' = H, halo, etc.; R''' = H, alkyl, etc.; X = mono-, di-, or trisubstituted aryl; the remaining of R1, R2, R3, R4 are independently selected from H, alkyl, etc.] are prepd. The title compds. in vitro showed IC50 values of 0.072 .mu.M to 31 .mu.M against PTP1B.

RE.CNT 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1998:258146 CAPLUS

DN 129:27125

TI Quantitative analysis of diacetyl, pentanedione and their precursors during beer fermentation by an accurate GC/MS method

AU Landaud, Sophie; Lieben, Pascale; Picque, Daniel

CS Laboratoire de Genie et Microbiologie des Procedes Alimentaires INRA, Thiverval-Grignon, F-78850, Fr.

SO Journal of the Institute of Brewing (1998), 104(2), 93-99 CODEN: JINBAL; ISSN: 0046-9750

PB Institute of Brewing

DT Journal

LA English

IT 208117-51-5

RL: ARU (Analytical role, unclassified); ANST (Analytical study) (quant. anal. of diacetyl, pentanedione and their precursors during beer fermn. by an accurate GC/MS method)

RN 208117-51-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-methyl- (9CI) (CA INDEX NAME)

AB A GC/MS method previously described for diacetyl was developed for the

quantification of 2,3-pentanedione, and the derivatization procedure was modified for the detn. of .alpha.-acetohydroxy acid. The reaction of 2,3-pentanedione with 4,5-dichloro-1,2-diaminobenzene produced 6,7-dichloro-2-methyl-3-ethylquinoxaline (DCMEQ), which was extd. with toluene and detd. by gas chromatog. using a mass selective detector. The formation of DCMEQ was linearly correlated with the 2,3-pentanedione concn. The method was very simple and sensitive. The detection limit of the 2,3-pentanedione deriv. and diacetyl deriv. was 0.0007 mg/L and 0.0002 mg/L of the toluene ext. resp., and the detn. limit was 0.001 mg/L and 0.0007 mg/L, resp. Cautious sample treatment led to a low (10%) and controlled conversion of .alpha.-acetohydroxy acids to vicinal diketones. This reproducible percentage of conversion made it possible to det. precisely free vicinal diketones and .alpha.-acetohydroxy acids. The method was applied to the detn. of precursors and vicinal diketones concns. during beer fermn.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 19 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1997:467735 CAPLUS

DN 127:95295

- TI Preparation of 3-aminoquinoxaline-2-one compounds having activity at the glycine binding site of the N-methyl-D-aspartate (NMDA)-receptor
- IN Bata, Imre; Batori, Sandor; Bence, Judit; Bocskei, Zsolt; Csikos, Eva; Erdo, Sandor; Gonczi, Csaba; Hermecz, Istvan; Heja, Gergely; Lakics, Viktor; Majlath, Csilla; Molnar, Peter; Podanyi, Benjamin; Ritz, Imola; Santane, Csutor Andrea; Szokene, Szappanos Andrea; Szvoboda, Gyorgyne; et al.
- PA Chinoin Gyogyszer Es Vegyeszeti Termekek Gyara Rt.To U. 1-5h-1045 Budapest, Hung.; Batori, Sandor; Bence, Judit
- SO PCT Int. Appl., 30 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

ran.	PATENT NO. KIND DATE						APPLICATION NO. DATE											
ΡI	WO	9719	 934		 A	 1	 1997	 0605		- W	 0 19	 96-H	- U72		 1996:	1128		
		W :					AZ,											
							GB,											
			LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM							
		RW:	KΕ,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
							NL,											
				ΝE,				•		,			•	•	•	•	•	•
										H	U 19	95-3	422	Α	1995	1130		
	HU	7630	2		A.	2	1997	0728		H	U 19	95-3	422		1995	1130		
	ZA	9610	002		Α		1997	0613		\mathbf{z}_{i}	A 19	96-1	0002		1996	1128		
															1995			
	ΑU	9677	053		Α	1	1997	0619							1996			
															1995:			
															1996:			
										• • • •				• •		0		

OS MARPAT 127:95295

IT 192075-86-8P 192075-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinoxalineone compds. having activity at glycine binding site of NMDA receptor as disease therapy)

192075-86-8 CAPLUS RN

CN 2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

RN 192075-93-7 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(phenylamino)- (9CI) (CA INDEX NAME)

GI

Ι

The invention relates to compds. of general formula (I; Z1 = hydrogen, AB hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, CO2-C1-4 alkyl, C2-14 acyl, C1-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; Y1 = hydrogen, or optionally substituted amino group, or Y1 and Z1 form together a CO2 group, where Y2 and Z2 mean together a valency bond, or Y1 and Z2 mean together a valency bond, or Y1 and Y2 mean together a valency bond, and at the same time Z2 = hydrogen, hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, CO2C1-4 alkyl, C2-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; X1 and X2 mean together O. or S, or X1 = hydrogen, NHR4 or WR5 groups, and at the same time X2 = hydrogen, or X2 and X3 together form a valency bond; X3 = hydrogen, C1-4, C7-9 phenylalkyl, optionally substituted Ph; R1, R2 = hydrogen, halogen, C1-4 alkyl, trifluoromethyl, cyano, mercapto or sulfonylamido group, R3 = hydrogen or nitro group; R4 = hydrogen or hydroxy group; R5 = hydrogen, C1-4 alkyl, C7-9 phenylalkyl group; W = oxygen or sulfur; some proviso given) and salts, tautomeric forms and N-oxides thereof. They show a significant activity at the glycine binding site of the NMDA-receptor and

therefore may have a significant neuroprotective effect which may play a therapeutic role in the treatment of Alzheimer disease, stroke, epilepsy, AIDS, and Parkinson's disease. 3-Lauroylamino-6,7-dichloro-8-nitroquinoxaline-2-one showed 54 IC50 of .mu.g/mL for inhibiting the . binding of [3H]dichlorokinurenic acid (DCK) to homogenized rat cerebellum and brain stem (J. Pharma. Pharmacol., 44, 812-816, 1992) vs. 4,000 nM for 6-trifluoromethylquinoxaline-2,3-dione.

L4 ANSWER 20 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1997:120107 CAPLUS

DN 126:225271

TI Quinoxalino-fused sultines and their application in Diels-Alder reactions

AU Chung, Wen-Sheng; Liu, Jing-Horng

CS Dep. Appl. Chem., Natl. Chiao Tung Univ., Taichung, 30050, Taiwan

SO Chemical Communications (Cambridge) (1997), (2), 205-206 CODEN: CHCOFS; ISSN: 1359-7345

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 126:225271

IT 52736-71-7P

RL: BYP (Byproduct); PREP (Preparation)

(prepn. and Diels-Alder reactions of quinoxalino-fused sultines)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

IT 3298-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Diels-Alder reactions of quinoxalino-fused sultines)

RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

GI

- AB The synthesis of 7,8-disubstituted quinoxalino[2,3-d]-[1,2-.lambda.4]oxathiine 2-oxides I (R = H, Me, Cl), precursors for quinoxalino-o-quinodimethanes, and their application in the Diels-Alder reactions are reported.
- L4 ANSWER 21 OF 100 CAPLUS COPYRIGHT 2003 ACS

Ι

- AN 1997:81442 CAPLUS
- DN 126:157473
- TI 4-Cyano-2-oxo-1,2,4-oxadiazolo[2,3-a]quinoxaline 5-N-oxides. New synthetic method and reaction with alcohols. Potential cytotoxic activity
- AU Martinez Crespo, F. J.; Palop, J. A.; Sainz, Y.; Narro, S.; Senador, V.; Gonzalez, M.; Lopez de Cerain, A.; Monge, A.; Hamilton, E.; Barker, A. J.
- CS CIFA, Univ. Navarra, Pamplona, 31080, Spain
- SO Journal of Heterocyclic Chemistry (1996), 33(6), 1671-1677 CODEN: JHTCAD; ISSN: 0022-152X
- PB HeteroCorporation
- DT Journal
- LA English
- IT 187028-88-2P 187028-94-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of cytotoxic oxadiazolo[2,3-a]quinoxaline oxides)

- RN 187028-88-2 CAPLUS
- CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 187028-94-0 CAPLUS
- CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

IT 163777-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cytotoxic oxadiazolo[2,3-a]quinoxaline oxides)

RN 163777-36-4 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

AB Several quinoxaline 1,4-di-N-oxides have been shown to be efficient and selective cytotoxins for hypoxic cells. A series of 4-cyano-2-oxo-1,2,4-oxadiazolo[2,3-a]quinoxaline 5-N-oxides (2) were prepd. starting from 3-amino-2-quinoxalinecarbonitrile 1,4-di-N-oxides and 2-chloroethyl isocyanate in dry dioxane at 100-110.degree. Compds. 2 were heated in the presence of ethanol and 2-propanol giving the corresponding carbamates. Quinoxalines were tested as cytotoxic agents both in oxic and hypoxic cells. Electron-withdrawing substituents increased the potency and selectivity of the quinoxalines.

L4 ANSWER 22 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1996:618722 CAPLUS

DN 125:247851

TI Preparation of quinoxaline 1,4-dioxides as cytotoxic agents

IN Barker, Andy J.; Vega, Antonio Monge; Hamilton, Elizabeth

PA Zeneca Farma, S.A., Spain

SO Brit. UK Pat. Appl., 99 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2297089	A1	19960724	GB 1996-963	19960117
	GB 2297089	B2	19980826		
				ES 1995-76	19950117
	ES 2105959	A1	19971016	ES 1995-76	19950117

Patel <4/4/2003>

09483504.7

Page 54

ES 2105959 B1 19980701

OS CASREACT 125:247851; MARPAT 125:247851

IT 170806-10-7P 170806-11-8P 170806-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of quinoxaline 1,4-dioxides as cytotoxic agents)

RN 170806-10-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 170806-11-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 170806-18-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ N & \parallel & S-Me \\ \hline N & Me \\ O & Me \end{array}$$

IT 163777-36-4P 170806-13-0P 170806-15-2P 170806-16-3P 170806-19-6P 170806-22-1P

170806-24-3P 171880-71-0P 181758-51-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinoxaline 1,4-dioxides as cytotoxic agents)

RN 163777-36-4 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc \\ & & \\ N & \\ NH_2 \\ & & \\ \end{array}$$

RN 170806-13-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-[(4-nitrophenyl)thio]-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 170806-15-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfinyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & \bigcirc & \bigcirc & \bigcirc \\ \parallel & \parallel & \square \\ N & & S-Me \\ \hline \\ Cl & & \parallel & Me \\ \end{array}$$

RN 170806-16-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfinyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \hline & N & S-Ph \\ \hline & N & Me \\ \hline & O & \\ \end{array}$$

RN 170806-19-6 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc & \bigcirc \\ \parallel & \parallel \\ N & & \parallel \\ 0 & & \\ C1 & & Me \end{array}$$

RN 170806-22-1 CAPLUS

CN 1,3-Propanediamine, N'-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

C1 NH- (CH₂)₃-NMe₂

$$NH- (CH2)3-NMe2$$

$$NH- (CH2)3-NMe2$$

RN 170806-24-3 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 171880-71-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 181758-51-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

GΙ

The title compds. [I; R1 = H, CN, C1-4 alkyl, etc.; R2 = NH-C1-6 alkyl-N(A1)(A2) (wherein A1, A2 = H, C1-4 alkyl, etc.), etc.; R3, R4 = H, halo, CF3, etc.; R5 = H, NO2], useful as cytotoxic agents with selective activity in hypoxic cells, both in vitro and in vivo, were prepd. Reaction of the quinoxalinecarbonitrile 1,4-dioxide II with H2N(CH2)3NMe2 in the presence of K2CO3 in CH2Cl2 afforded 85% I.HCl [R1 = CN; R2 = NH(CH2)3NMe2; R3 = R5 = H; R4 = Cl] which, in hypoxia, kills 99% of the cells (Potency = 0.4) at 0.4 .mu.M while under odic conditions, a 250 fold greater concn. is needed to obtain the same percentage of cell damage (HCR = 250).

L4 ANSWER 23 OF 100 CAPLUS COPYRIGHT 2003 ACS

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AN
     1996:485780 CAPLUS
DN
     125:142763
ΤI
     Heterocyclyl substituted hydroxyacetamide derivatives as fungicides
IN
     Doeller, Uwe; Braun, Peter; Sachse, Burkhard; Reissel, Willy; Ort, Oswald
     Peter Gerald; Hough, Thomas Lawley; Simpson, Donald James; Lindner,
     Kerstin; Lindell, Stephen David
PA
     Agrevo UK Ltd., UK
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
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     WO 9617840
PΙ
                      A1
                            19960613
                                           WO 1995-GB2849
                                                             19951206
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         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
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             NE, SN, TD, TG
                                           GB 1994-24553
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                                           GB 1995-2865
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OS
    MARPAT 125:142763
IT
    179759-02-5P
    RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of heterocyclyl substituted hydroxyacetamide derivs. as
        fungicides)
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RN 179759-02-5 CAPLUS
CN 2-Quinoxalineacetamide, .alpha.-(acetyloxy)-5,6,7,8-tetrachloro-N-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \text{AcO} & \text{O} \\ & \text{C1} & \text{N} & \text{CH-C-NH-CH}_2\text{--CH}_2 \\ & \text{C1} & \text{C1} & \text{C1} \end{array}$$

AB Title compds. QZR1CEWY (Q = optionally substituted heterocyclyl; Z = optionally substituted hydroxy or mercapto; E = CONR2, CSNR2, C(:N)SR2; W = O, NR3, optionally substituted methylene or ethylene; R1, R2, R3 = Ph or alkyl, each of which is optionally substituted, or hydrogen; Y = Ph, heteroaryl or alkyl, each of which is optionally substituted, or hydrogen), useful as fungicides, were prepd. Thus, redn. of 2-(3,5-dichloro-2-thienyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-2-oxoacetamide with NaBH4 gave 2-(3,5-dichloro-2-thienyl)-N-[2-(3,4-

dimethoxyphenyl)ethyl]-2-hydroxyacetamide. N-[2-(2-bromo-4,5-dimethoxyphenyl)ethyl]-2-(2-bromo-3-thienyl)-2-hydroxyacetamide showed fungicidal activity against Pyricularia oryzae.

- L4 ANSWER 24 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:271491 CAPLUS
- DN 124:306493
- TI Tyrphostins. 5. Potent Inhibitors of Platelet-Derived Growth Factor Receptor Tyrosine Kinase: Structure-Activity Relationships in Quinoxalines, Quinolines, and Indole Tyrphostins
- AU Gazit, Aviv; App, Harald; McMahon, Gerald; Chen, Jefferey; Levitzki, Alexander; Bohmer, Frank D.
- CS Alexander Silverman Institute of Life Sciences, Hebrew University of Jerusalem, Jerusalem, 91904, Israel
- SO Journal of Medicinal Chemistry (1996), 39(11), 2170-7 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 71896-95-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relations of quinoxalines and quinolines and indole tyrphostins as tyrosine kinase inhibitors)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

- AB A series of 3-indoleacrylonitrile tyrphostins, 2-chloro-3-phenylquinolines, and 3-arylquinoxalines were prepd. and tested for inhibition of platelet-derived growth factor receptor tyrosine kinase (PDGF-RTK) activity. The potency of the inhibitors was quinoxalines >quinolines >indoles. Lipophilic groups (Me, methoxy) in the 6 and 7 positions and Ph at the 3 position of quinoxalines and quinolines were essential for potency, in contrast to the hydrophilic catechol group in tyrphostins active against EGFR kinase inhibition at different sites. The inhibitors showed selectivity for PDGF and were not active against EGF receptor and HER-2/c-ErbB-2 receptor.
- L4 ANSWER 25 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:252055 CAPLUS
- DN 125:3259
- TI Relative hepatotoxicity of 2-(substituted phenyl)thiazoles and substituted thiobenzamides in mice: evidence for the involvement of thiobenzamides as ring cleavage metabolites in the hepatotoxicity of 2-phenylthiazoles
- AU Mizutani, Tamio; Suzuki, Kiyomi
- CS Department of Food Science and Nutrition, Kyoto Prefectural University, Kyoto, 606, Japan
- SO Toxicology Letters (1996), 85(2), 101-5. CODEN: TOLED5; ISSN: 0378-4274

PB Elsevier

DT Journal

LA English

IT 108653-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of dichloromethylquinoxaline)

RN 108653-55-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl- (9CI) (CA INDEX NAME)

AB The hepatotoxicity of the 3 isomers of para-substituted thiobenzamides and the 3 isomers of 2-(para-substituted phenyl)-4-methylthiazoles was evaluated in mice depleted of glutathione (GSH) by pretreatment with buthionine sulfoximine (BSO). In accordance with previous studies with the rat, p-methoxythiobenzamide was more toxic than thiobenzamide, and conversely p-chlorothiobenzamide was markedly less toxic as assessed by serum alanine aminotransferase (ALT) activity. The hepatotoxicity of 2-phenyl-4-methylthiazole was also altered by the addn. of para-substituents to the Ph ring in the same way as obsd. for thiobenzamide derivs.: the rank order of toxicity was 4-methylthiazoles having p-methoxyphenyl > Ph >> p-chlorophenyl at the 2-position. This good correlation of the rank order of hepatotoxicity between series of 2-(para-substituted phenyl)-4-methylthiazoles and para-substituted thiobenzamides supports the concept that thiobenzamides as ring cleavage metabolites play a role in the hepatotoxicity of 2-phenylthiazole derivs.

L4 ANSWER 26 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1996:71553 CAPLUS

DN 124:261073

TI Bis mono- and bicyclic aryl and heteroaryl compounds which inhibit EGF and/or PDGF receptor tyrosine kinase

IN Spada, Alfred P.; Myers, Michael R.; Maguire, Martin P.; Persons, Paul E.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 988,515, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5480883	 A	19960102	UC 1002 166100 10021010
• •	05 3400003	Α	19900102	US 1993-166199 19931210 US 1991-698420 B219910510
				US 1992-988515 B219921210
	US 5710158	Α	19980120	US 1994-229886 19940419
				US 1991-698420 B219910510 US 1992-988515 B219921210
				US 1993-166199 A219931210
	WO 9515758	A1	19950615	WO 1994-US14180 19941208
	W: AM, AT	, AU, BB	, BG, BR, BY,	CA, CH, CN, CZ, DE, DK, ES, FI, GB,
	GE, HU	, JP, KE	, KG, KP, KR,	KZ, LK, LT, LU, LV, MD, MG, MN, MW,
	NL, NO	, NZ, PL	, PT, RO, RU,	SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN

	RW:		NL,	SD, PT,												
AU	9513	050		A.	1	1995	0627	US AU US	S 199 U 199 S 199	93-16 94-22 95-13 93-16 94-22	29886 3050 56199	5 A :	1994 1994 1993	0419 1208 1210		
EP	8714 R:			A1 CH,				GB, US US	P 199 GR, S 199 S 199	93-16 94-22	04308 LI, 56199 29886	LU, A :	1994 NL, 1993 1994	1208 SE, 1210 0419	PT,	IE
US	5656	643		A		1997	0812	US	5 199	94 - US 95 - 38	35258	3 :	1995	0208		
US	5795	889		A		1998	0818	U: U: U:	S 199 S 199 S 199	93-14 95-38 91-69 92-98	36271 98420 38515	B2: B2:	1995 1991 1992	0209 0510 1210		
US	5646	153		A		1997	0708	US US US	5 199 5 199 5 199	95-43 91-69 92-98	39027 98420 38515	B2: B2:	1995 1991 1992	0511 0510 1210		
US	5721:	237		А		1998(0224	US US US	5 199 5 199 5 199	95-46 91-69 92-98	59147 98420 38515	7 : 3 B2: 5 B2:	1995 1991 1992	0606 0510 1210		
US	5714	493		A		1998(0203	US US US	5 199 5 199 5 199 5 199	96-65 91-69 92-98 93-16 94-22	98420 88515 56199 29886	B2: B2: B2: A2: A2:	1991 1992 1993 1994	0510 1210 1210 0419		
US	6057:	320		Α	:	2000(0502	US US US	5 199 5 199 5 199 5 199	97-88 91-69 92-98 93-16	31991 98420 88515 56199	B2: B2: B2: A3:	1997 1991 1992 1993	0625 0510 1210 1210		
US	3625	6		E		1999(0720	20 20 W(20 20	5 199 5 199 5 199 5 199 5 199	97-98 91-69 92-US 92-98 93-14	88005 88420 83736 88515 86072	B2: B2: B2: B2: A2:	1997: 1991: 1992: 1992: 1993:	1210 0510 0506 1210 1108		
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PATENT FAMILY INFORMATION:

FAN 1993:191764

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	WO 9220642 W: AT, AU, KR, LK, RW: AT, BE,	Al 19921126 BB, BG, BR, CA, LU, MG, MN, MW, BF, BJ, CF, CG,	WO 1992-US3736 19920506 CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, NL, NO, PL, RO, RU, SD, SE, US CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, NL, SE, SN, TD, TG
		A1 19921230 B2 19950427	
	EP 584222	A1 19940302 B1 19971008 CH, DE, DK, ES,	US 1991-698420 A 19910510 WO 1992-US3736 A 19920506 EP 1992-912051 19920506 FR, GB, GR, IT, LI, LU, NL, SE US 1991-698420 A 19910510
	JP 06507643	T2 19940901	WO 1992-US3736 W 19920506 JP 1992-500068 19920506 US 1991-698420 A 19910510
	AT 159009	E 19971015	WO 1992-US3736 W 19920506 AT 1992-912051 19920506 US 1991-698420 A 19910510
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	CN 1187129	A 19970812 A 19980708	US 1995-385258 19950208 US 1993-146072 A319931108 CN 1996-194512 19960606
	CN 1100540 US 36256	B 20030205 E 19990720	US 1991-698420 A 19910510 US 1997-988005 19971210
			US 1991-698420 B219910510 WO 1992-US3736 B219920506 US 1992-988515 B219921210 US 1993-146072 A219931108 US 1993-166199 A519931210
	US 37650	E 20020409	US 2000-496399 20000202 US 1991-698420 B219910510 WO 1992-US3736 A219920506 US 1992-988515 B219921210 US 1993-166199 A219931210 US 1994-229886 A219940419 WO 1994-US14180W 19941208 US 1996-652444 A519960604
FAN	1995:780431 PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	WO 9515758 W: AM, AT, GE, HU, NL, NO, RW: KE, MW,	A1 19950615 AU, BB, BG, BR, JP, KE, KG, KP, NZ, PL, PT, RO, SD, SZ, AT, BE,	WO 1994-US14180 19941208 BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, US 1993-166199 A 19931210 US 1994-229886 A 19940419

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	AU	9513	050		A	1	1995	0627		A U U	U 19 S 19 S 19	93-16 95-13 93-16 94-22 94-US	3050 56199 29886	9 A 5 A	1994 1993 1994	1208 1210 0419			
	ΕP	8714	48		А	1	1998	1021											
							, DK,			GB, U: U:	GR, S 19 S 19		LI, 56199 29886	LU, Ə A 5 A	NL, 1993 1994	SE, 1210 0419	PT,	ΙE	
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		RW:	KE,	LS,	MW, LU,	SD, MC,	SZ, NL,	UG, PT,	AT, SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GB, GN,	GR, ML	
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US 1995-469147 A 19950606
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                               19970812
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                               20020409
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              MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
              TD, TG
                                               US 1993-166199 A 19931210
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Patel

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	EP									US	GR, 199 199	IT, 93-16 94-22	LI, 6199 9886	LU, A A		SE, 1210 0419	PT,	ΙE	
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		3765			Е		2002	0409		US WO US US US WO	200 199 199 199 199	00-49 01-69 02-US 02-98 03-16 04-22	6399 8420 3736 8515 6199 9886	B2 A2 B2 A2 A2 A2	1994 1991 1992 1992 1993 1994 1994	0202 0510 0506 1210 1210 0419 1208			
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	US	5656	643		A		1997	0812		US	199	5-38	5258		1995 1993	0208			
	CA	2223	016		A	4 .	1996	1212		CA	199	6-22	2301	.6	1996 1995	0606			
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		RW:	KE,	LS,	MW, LU,	SD, MC,	SZ, NL,	UG, PT,	AT, SE,	BE, (ВJ,	CF,	CG,	CI,	CM,	GA,	GB, GN,	GR, ML	
		9661 6964			A: B2		1996 1998			AU	199	6-61	044		19950 19960	0606			
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	BR	96086	538		A		1999	0629		BR	199	6-86	38		1996(1995(0606			

JP	11507355	T 2	19990629	JP	1996-US9606 1996-501889		19960606
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				WO	1996-US9606	W	19960606
CZ	289338	B6	20020116	CZ	1997-3503		19960606
				US	1995-469147	Α	19950606

OS MARPAT 124:261073

IT 71896-95-2P, 2-Phenyl-6,7-dichloroquinoxaline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bis mono- and bicyclic aryl and heteroaryl compds. as protein tyrosine kinase inhibitors)

RN 71896-95-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GI

The invention relates to bis mono- and/or bicyclic aryl and/or heteroaryl compds. ArlXAr2 [I; Arl, Ar2 = (un)substituted mono- or bicyclic rings with 0-3 substituents; X = (CHR1)0-4 or (CHR1)mZ(CHR1)n; Z = 0, NR2, S, SO, SO2; m, n = 0-3; R1, R2 = H, alkyl] exhibiting protein tyrosine kinase inhibition activity. I inhibit abnormal cell proliferation in proliferative disorders by selectively inhibiting EGF and/or PDGF receptor. Approx. 300 compds. I are listed with characterizing data, and biol. data for selected compds. are given. For example, m-ClC6H4OH was treated with NaH in THF, followed by 4-chloro-6,7-dimethoxyquinazoline, to give title compd. II. The claimed quinoxaline deriv. III inhibited PDGF-R cell-free autophosphorylation with an IC50 of 0.02-0.05 .mu.M.

- L4 ANSWER 27 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:994439 CAPLUS
- DN 124:55985
- TI Preparation of 2-(sulfonamido)quinoxaline antitumor agents
- IN Ray, James Edward; Toth, John Eldon
- PA Lilly, Eli, and Co., USA
- SO Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DT Patent LA English

FAN.CNT 1

11111 0111 1				
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	EP 672662	A1 19950920	EP 1995-301292	19950228
•	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
		•	US 1994-206806	19940304
	US 5529999	A 19960625	US 1994-206806	19940304
	CA 2143514	AA 19950905	CA 1995-2143514	19950227
			US 1994-206806	19940304
	JP 07267936	A2 19951017	JP 1995-43883	19950303
			US 1994-206806	19940304

OS MARPAT 124:55985

IT 171967-51-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2-(sulfonamido)quinoxaline antitumor agents)

RN 171967-51-4 CAPLUS

CN Benzenesulfonamide, N-(6,7-dichloro-2-quinoxalinyl)-4-(dimethylamino)-(9CI) (CA INDEX NAME)

GI

The title compds [I; A = (un) substituted Ph, (un) substituted naphthyl, (un) substituted (un) satd. heterocyclic; R1, R2 = H, trifluoromethyl, halogen, C1-6 alkyl; such that R1 and R2 cannot both be H, etc.], useful in the treatment of susceptible neoplasms, are prepd. and I-contg. formulations presented. Thus, NaH and DMF were reacted with (4-dimethylamino) benzenesulfonamide and 2,5-dichloroquinoxaline added after 1 h, producing 4-(N',N'-dimethylamino)-N-(5-chloro-2-quinoxalinyl) benzenesulfonamide, which demonstrated a IC50 against CCRF-CEM human leukemia cells of 0.1 .mu.g/mL, vs. 0.8 .mu.g/mL for 4-amino-N-(5-chloro-2-quinoxalinyl) benzenesulfonamide.

L4 ANSWER 28 OF 100 CAPLUS COPYRIGHT 2003 ACS

```
AN
     1995:926425 CAPLUS
DN
     123:329984
TI
     Receptor tyrosine kinase inhibitors for inhibiting cell proliferative
     disorders
IN
     Chen, Hui; Gazit, Aviv; Hirth, Klaus Peter; Levitzki, Alex; Mann, Elaina;
     Shawver, Laura K.; Tsai, Jianming; Tang, Peng Cho
     Sugen, Inc., USA; Yissum Research Development Co.
PA
SO
     PCT Int. Appl., 121 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 2
     PATENT NO.
                  KIND DATE
                                           APPLICATION NO. DATE
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                            _____
                                            -----
PΙ
     WO 9524190
                      A2
                             19950914
                                           WO 1995-US2826
                                                             19950306
     WO 9524190
                      A3
                            19951109
         W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TT, UA
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
                                           US 1994-207933
                                                             19940307
     AU 9520968
                       A1
                            19950925
                                           AU 1995-20968
                                                             19950306
                                           US 1994-207933
                                                             19940307
                                           WO 1995-US2826
                                                             19950306
PATENT FAMILY INFORMATION:
FAN 1998:534888
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                             DATE
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                                           -----
PΙ
     US 5789427
                      Α
                            19980804
                                           US 1995-399967
                                                             19950307
                                           US 1994-207933
                                                             19940307
     US 5773476
                     Α
                            19980630
                                           US 1995-486775
                                                             19950607
                                           US 1994-207933
                                                             19940307
                                           US 1995-399967
                                                             19950307
     MARPAT 123:329984
OS
IT
     71896-95-2P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (receptor tyrosine kinase inhibitors for inhibiting cell proliferative
```

disorders)

71896-95-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GΙ

RN

$$\begin{array}{c|c}
R^6 & R^4 \\
 & | & | \\
 & C \longrightarrow CCN
\end{array}$$

$$\begin{array}{c|c}
R^2 & R^4 & R^4$$

AB Receptor tyrosine kinase inhibitors I [R1-R3, R6 = alkyl, alkenyl, alkynyl, alkoxy, OH, amino, SH, alkylthio, halo, H, NO2, etc.; R4 = C(S)NHR5, C(O)NHR5, SO2YR5; Y = single bond, C(CN):CH:CH, azaalkyl; R5 = (substituted) aralkyl, CN] and II [R7-R10 = R1-R3 above; R12 = C(O)Me, C(S)Me, C(O)CF3, C(S)CF3; R13 = aryl, alkylaryl] are prepd. for use in treating cell proliferative disorders such as cancers characterized by overactivity or inappropriate activity of HER2 receptors or EGF receptors. Thus, I [R1, R2 = OH, R3 = I, R4 = C(O)NH(CH2)3Ph, R6 = H] (III) was prepd. in 2 steps by condensation of 5-iodovanillin with N-(3-phenylpropyl)cyanoacetamide. III inhibited EGF receptor kinase activity in EGC7 cells, HER2 kinase activity in BT-474 cells, and platelet-derived growth factor receptor kinase .beta. activity with an IC50 of 4, 18, and 35 .mu.M, resp., and inhibited growth of SKBR3 and SKOV3 cells in vitro at IC50 9 and 4.5 .mu.M, resp.

L4 ANSWER 29 OF 100 CAPLUS COPYRIGHT 2003 ACS

Ι

- AN 1995:849931 CAPLUS
- DN 124:55903
- TI Hypoxia-Selective Agents Derived from 2-Quinoxalinecarbonitrile 1,4-Di-N-oxides. 2
- AU Monge, Antonio; Martinez-Crespo, Francisco J.; Lopez de Cerain, Adela; Palop, Juan A.; Narro, Susana; Senador, Virginia; Marin, Ana; Sainz, Yolanda; Gonzalez, Mercedes; et al.
- CS Department of Medicinal Chemistry, Universidad de Navarra, Pamplona, 31080, Spain
- SO Journal of Medicinal Chemistry (1995), 38(22), 4488-94 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 163777-36-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (hypoxia-selective agents derived from 2-quinoxalinecarbonitrile dioxides)

- RN 163777-36-4 CAPLUS
- CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CF INDEX NAME)

IT 171880-71-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (hypoxia-selective agents derived from 2-quinoxalinecarbonitrile dioxides)

RN 171880-71-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

AB Hypoxic cells are an important target for antitumor therapy because tumors are typically characterized by such cells. Virtually all tumors which are present as solid masses contain hypoxic cells, while normal cells generally have an adequate supply of oxygen. Accordingly, antitumor agents can be made selective for tumors by virtue of high activity under hypoxic conditions. The initial purpose of this work was to det. the influence of different groups in position 3. Thus, the synthesis of some 3-NH-substituted derivs. starting from 3-amino-2-quinoxalinecarbonitrile 1,4-di-N-oxide is described.

L4 ANSWER 30 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:796557 CAPLUS

DN 124:8751

TI New derivatives of quinoxaline 1,4-dioxide: synthesis and antibacterial activity

AU Glushkov, R. G.; Vozyakova, T. I.; Adamskaya, Ye. V.; Aleinikova, S. A.; Radkevich, T. P.; Shepilova, L. D.; Padeiskaya, Ye. N.; Guskova, T. A.

CS Khim.-Farm. Inst. im. S. Ordzhonikidze, Russia

SO Khimiko-Farmatsevticheskii Zhurnal (1994), 28(1), 15-17 CODEN: KHFZAN; ISSN: 0023-1134

PB Meditsina

DT Journal

LA Russian

IT 62018-39-7P 171111-77-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RN 62018-39-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 171111-77-6 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} \\ & \text{N} & \text{CH}_2\text{Br} \\ & \text{Cl} & \text{CH}_2\text{Br} \end{array}$$

IT 171111-83-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antimicrobial activity of quinoxaline dioxides)

RN 171111-83-4 CAPLUS

CN 2,3-Quinoxalinedimethanol, 6,7-dichloro-, diacetate (ester), 1,4-dioxide (9CI) (CA INDEX NAME)

C1
$$\sim$$
 CH₂-OAC \sim CH₂-OAC

AB The title compds. were prepd. from o-nitroanilines via benzofuroxans. Some of the compds. synthesized showed marked activity against gram-pos.

bacteria and pathogenic fungi.

L4ANSWER 31 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:788055 CAPLUS

DN 123:340002

New hypoxia-selective cytotoxins derived from quinoxaline 1,4-dioxides ΤI

Monge, A.; Palop, J. A.; Gonzalez, Mercedes; Martinez-Crespo, F. J.; Lopez ΑU de Cerain, Adela; Sainz, Yolanda; Narro, Susana; Barker, A. J.; Hamilton,

CS CIFA, Universidad Navarra, Pamplona, 31080, Spain

SO Journal of Heterocyclic Chemistry (1995), 32(4), 1213-17 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DTJournal

LΑ English

ΙT 170806-10-7P 170806-11-8P 170806-12-9P

170806-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of cytotoxic quinoxaline dioxides)

RN

170806-10-7 CAPLUS
Quinoxaline, 6,7-dichloro-2-methyl-3-(methylthio)-, 1,4-dioxide (9CI) CN INDEX NAME)

RN 170806-11-8 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylthio)-, 1,4-dioxide (9CI) (CA CN INDEX NAME)

RN170806-12-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-[(4-chlorophenyl)thio]-3-methyl-, 1,4-dioxide CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & Cl \\ \hline \\ Cl & & Me \end{array}$$

RN 170806-18-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc & \bigcirc & \bigcirc \\ \parallel & \parallel & \parallel \\ N & & \parallel & \bigcirc \\ C1 & & Me \\ & & \bigcirc & Me \\ & & & \bigcirc & \end{array}$$

IT 170806-13-0P 170806-14-1P 170806-15-2P

170806-16-3P 170806-17-4P 170806-19-6P

170806-22-1P 170806-23-2P 170806-24-3P

170806-26-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of cytotoxic quinoxaline dioxides)

RN 170806-13-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-[(4-nitrophenyl)thio]-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ & & \\ C1 & & \\ & &$$

RN 170806-14-1 CAPLUS

CN Ethanamine, 2-[(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)thio]-N,N-diethyl- (9CI) (CA INDEX NAME)

C1
$$N$$
 $S-CH_2-CH_2-NEt_2$ N Me

RN 170806-15-2 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfinyl)-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ N & S-Me \\ \hline N & Me \\ O & \end{array}$$

RN

170806-16-3 CAPLUS Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfinyl)-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc & \bigcirc \\ \parallel & \parallel \\ N & S-Ph \\ \hline \\ C1 & & Me \\ \end{array}$$

RN170806-17-4 CAPLUS

Quinoxaline, 6,7-dichloro-2-[(4-chlorophenyl)sulfinyl]-3-methyl-, CN1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & 0 & Cl \\ N & S & Cl \\ Me & Me \end{array}$$

RN

170806-19-6 CAPLUS Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfonyl)-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O & O \\ \parallel & \parallel & \parallel \\ N & \parallel & O \\ \hline N & Me \\ O & Me \end{array}$$

RN 170806-22-1 CAPLUS

1,3-Propanediamine, N'-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)-CNN, N-dimethyl- (9CI) (CA INDEX NAME)

C1
$$NH-(CH_2)_3-NMe_2$$
 $NH-(CH_2)_3-NMe_2$

RN 170806-23-2 CAPLUS

Quinoxaline, 2,2'-hydrazobis[6,7-dichloro-3-methyl-, 1,1',4,4'-tetraoxide (9CI) (CA INDEX NAME)

RN 170806-24-3 CAPLUS

2-Quinoxalinamine, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{\text{N}}{\bigcap}} & \text{Me} \\ \\ \text{Cl} & \overset{\text{N}}{\underset{\text{O}}{\bigcap}} & \text{NH}_2 \\ \end{array}$$

RN 170806-26-5 CAPLUS

CN Ethanol, 2-[[[6,7-dichloro-3-[(2-hydroxyethyl)amino]-1,4-dioxido-2-quinoxalinyl]methyl]amino]- (9CI) (CA INDEX NAME)

C1
$$N$$
 $CH_2-NH-CH_2-CH_2-OH$ $NH-CH_2-CH_2-OH$

IT 170806-25-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cytotoxic quinoxaline dioxides)

RN 170806-25-4 CAPLUS

CN Quinoxaline, 2-(bromomethyl)-6,7-dichloro-3-(phenylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

GI

- AB A new series of quinoxaline 1,4-dioxides, e.g., I (R = p-O2NC6H4S, p-ClC6H4SO, MeSO2, Cl, Br) structurally related to the benzotriazine tirapazamine II were prepd. starting from 5,6-dichlorobenzofuroxane. The compds. were tested (some data given) as cytotoxic agents both in oxic and in hypoxic cells.
- L4 ANSWER 32 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:540023 CAPLUS

DN 123:55806

TI Titanium trichloride-promoted reductive cyclization of ketones and nitro compounds

AU Zhou, Long-Hu; Dai, Guai-Yuan; Shi, Da-Qing; Chen, Wei-Xing

- CS Department Chemistry, Xuzhou Teachers College, Xuzhou, 221009, Peop. Rep. China
- SO Youji Huaxue (1995), 15(2), 209-11 CODEN: YCHHDX; ISSN: 0253-2786

PB Kexue

DT Journal

LA Chinese

IT 52736-71-7P 164471-02-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (titanium trichloride-promoted reductive cyclization of ketones and nitro compds.)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 164471-02-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-diphenyl- (9CI) (CA INDEX NAME)

- AB Aq. titanium trichloride promoted intermol. reductive cyclization of 1,2-diketones and o-nitroanilines in basic media provides a convenient method for the synthesis of quinoxaline derivs. E.g., 2,3-dimethylquinoxaline was prepd. in 60.1% from 2,3-butanedione and o-nitroaniline.
- L4 ANSWER 33 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:538899 CAPLUS

DN 123:265

TI Hypoxia-Selective Agents Derived from Quinoxaline 1,4-Di-N-oxides

AU Monge, Antonio; Palop, Juan A.; de Cerain, Adela Lopez; Senador, Virginia; Martinez, Francisco J.; Sainz, Yolanda; Narro, Susana; Garcia, Estrella;

Page 78

de Miguel, Carlos; et al.

- CS Department of Medicinal Chemistry, Universidad de Navarra, Pamplona, 31080, Spain
- SO Journal of Medicinal Chemistry (1995), 38(10), 1786-92 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 163777-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(hypoxia-selective agents derived from quinoxaline di-N-oxides)

- RN 163777-36-4 CAPLUS
- CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc \\ & & \\ C1 & & \\ &$$

GI

Page 79

AΒ Hypoxic cells, which are a common feature of solid tumors, but not normal tissues, are resistant to both anticancer drugs and radiation therapy. Thus the identification of drugs with selective toxicity toward hypoxic cells is an important objective in anticancer chemotherapy. The benzotriazine di-N-oxide (SR 4233, Tirapazamine) has been shown to be an efficient and selective cytotoxin for hypoxic cells. Since the bioreductive activation of Tirapazamine is thought to be due to the presence of the 1,4-di-N-oxide moiety, a series of 3-aminoquinoxaline-2carbonitrile 1,4-di-N-oxides with a range of electron-donating and -withdrawing substituents in the 6- and/or 7- positions has been synthesized and evaluated for toxicity to hypoxic cells. Electrochem. studies of the quinoxaline di-N-oxides and Tirapazamine showed that as the electron-withdrawing nature of the 6(7)-substituent increases, the redn. potential becomes more pos. and the compd. is more readily reduced. Apart from the unsubstituted deriv. and the 6,7-di-Me deriv. I, the quinoxaline di-N-oxides have redn. potentials significantly more pos. than Tirapazamine (Epc -0.90 V). The most potent cytotoxins to cells in culture were the 6,7-dichloro and 6,7-difluoro derivs. II and III, which were 30-fold more potent than Tirapazamine. The 6(7)-fluoro and 6(7)-chloro compds., IV and V, showed the greatest hypoxia selectivity. Four of the compds., IV, VI, III and II, killed the inner cells of multicellular tumor spheroids in vitro. In vivo Balb/c mice tolerated a dose of these four compds. twice the size of that of Tirapazamine. This study demonstrates that quinoxaline 1,4-di-N-oxides could provide useful hypoxia-selective therapeutic agents.

L4 ANSWER 34 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:137643 CAPLUS

DN 122:56002

Patel

<4/4/2003>

Page 80

- TI Polyaza heterocycles. Part 2. Nucleophilic substitution of halogens in halogenoquinoxalino[2,3-c]cinnolines
- AU Ahamd, Arshad; Dunbar, Linda J.; Green, Iain G.; Harvey, Ian W.; Shepherd, Thomas; Smith, David M.; Wong, Robert K. C.
- CS Sch. Chem., Univ. St. Andrews, Fife, KY16 9ST, UK
- Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (19), 275-18 CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- IT 71896-95-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and attempted methoxydechlorination of)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

- AB 10-Chloroquinoxalino[2,3-c]cinnoline readily undergoes methoxydechlorination when treated with sodium methoxide. The 1-, 2-, 3-, 4-, and 9-chloro isomers are unreactive towards this reagent, but the 9,10-dichloro deriv. undergoes substitution of both chlorines (the 10-position being much more reactive). The 9- and 10-bromo analogs are both unreactive towards sodium methoxide, but the 9- and 10-fluoro analogs are both highly reactive, to the extent that it has not been possible even to isolate the 10-fluoro compd. Routes to 9- and 10-piperidinoquinoxalino[2,3-c]cinnolines are described.
- L4 ANSWER 35 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1994:263159 CAPLUS
- DN 120:263159
- Simple and sensitive determination of 2,3-butanediol in biological samples by gas chromatography with electron-capture detection
- AU Otsuka, Masato; Ohmori, Shinjii
- CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
- Journal of Chromatography, B: Biomedical Sciences and Applications (1994), 654(1), 1-7
 CODEN: JCBBEP; ISSN: 1387-2273
- DT Journal
- LA English
- IT 52736-71-7, 6,7-Dichloro-2,3-dimethylquinoxaline RL: ANST (Analytical study)

(in detn. of butanediol in biol. samples by gas chromatog. with electron-capture detection)

- RN 52736-71-7 CAPLUS
- CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{Me} \\ \\ \text{Cl} & \text{Me} \end{array}$$

2,3-Butanediol was quant. oxidized into diacetyl by reaction with MnO4- at 20.degree. for 30 min under neutral conditions. The reaction of diacetyl with 4,5-dichloro-1,2-diaminobenzene afforded 6,7-dichloro-2,3-dimethylquinoxaline (DCDMQ), which was extd. with n-hexane and detd. by gas chromatog. with electron-capture detection. As an internal std. 1,2-cyclohexanediol was used. The detection limit of DCDMQ (or 2,3-butanediol) was 10 fmol/.mu.L in the ext., and the detn. limit of DCDMQ (or 2,3-butanediol) was at least from 50 fmol/.mu.L to 20 pmol/.mu.L in the ext. Recoveries from normal rat urine and rat liver homogenate were 97.8 .+-. 3.4% and 98.4 .+-. 2.9%, resp. The method is very simple and sensitive and is applicable to the detn. of 2,3-butanediol in normal

L4 ANSWER 36 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1993:531283 CAPLUS

DN 119:131283

TI CP-99,711: A nonpeptide glucagon receptor antagonist

AU Collins, Judith L.; Dambek, Paul J.; Goldstein, Steven W.; Faraci, W. Stephen

CS Cen. Res. Div., Pfizer Inc., Groton, CT, 06340, USA

SO Bioorganic & Medicinal Chemistry Letters (1992), 2(9), 915-18 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

IT 149366-39-2P 149839-55-4P, CP 99711

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and glucagon receptor antagonist properties of)

RN 149366-39-2 CAPLUS

CN 1,3-Propanediamine, N-[6,7-dichloro-3-(2-phenylethenyl)-2-quinoxalinyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

RN 149839-55-4 CAPLUS

CN 1,3-Propanediamine, N-[6,7-dichloro-3-(2-phenylethenyl)-2-quinoxalinyl]-N,N',N'-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

GI

C1 NMe (CH₂) 3NMe₂ @ HC1
$$CH = CHPh$$
 I

CP-99,711 (I), identified in a screening program, displaces AΒ [125I]-glucagon from its rat liver receptor. The synthesis of I is described and is characterized as a functional glucagon receptor antagonist.

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L4
    ANSWER 37 OF 100 CAPLUS COPYRIGHT 2003 ACS
```

AN 1993:472621 CAPLUS

DN 119:72621

Preparation of nematocidal quinoxaline derivatives ΤI

IN Turnbull, Michael Drysdale; Finney, John

PAImperial Chemical Industries PLC, UK

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LА English

FAN.	CNT 1		·
	PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	RW: AT, BE,	CH, DE, DK, ES,	FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, FR, GB, GR, IT, LU MC, NI, SE BE BI
	CF, CG,	CI, CM, GA, GN,	ML, MR, SN, TD, TG
	AU 9223694	A1 19930316	GB 1991-17987 19910820 AU 1992-23694 19920728 GB 1991-17987 19910820
	US 5246933	A 19930921	WO 1992-GB1397 19920728 US 1992-926012 19920806 GB 1991-17987 19910820
0S	MARPAT 119:7262	1	GB 1991-17987 19910820

IT 148515-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as nematocide)

148515-99-5 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[(3,4,4-trifluoro-3-butenyl)thio]- (9CI) CN

Patel

INDEX NAME)

GI

$$R^{2}$$
 N
 R^{1}
 R^{3}
 N
 $S(0)_{n}CH_{2}CH_{2}CF = CF_{2}$
 R^{3}

AB Title compds. I (R1-R5 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, halo, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, R602C wherein R6 = H, C1-4 alkyl, R7R8N wherein R7 = C1-4 alkyl, R8 = R6, etc., n = 0-2), are prepd. 2-Chloroquinoxaline and NaSH were reacted to give 2-mercaptoquinoxaline which was treated with CF2:CFEt to give I (R1-R5 = H, n = 0). A similar prepd. title compd. I (R1 = R3 = R4 = R5 = H, R2 = Cl, n = 0) at 10 and 20 ppm gave 100% control of Globodera rostochiensis on tomato plants.

L4 ANSWER 38 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1993:428549 CAPLUS

DN 119:28549

Potent quinoxaline-spaced phosphono .alpha.-amino acids of the AP-6 type as competitive NMDA antagonists: synthesis and biological evaluation

AU Baudy, Reinhardt B.; Greenblatt, Lynne P.; Jirkovsky, Ivo L.; Conklin, Mary; Russo, Ralph J.; Bramlett, Donna R.; Emrey, Tracy A.; Simmonds, Joanne T.; Kowal, Dianne M.; et al.

CS Div. CNS Chem., Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA

SO Journal of Medicinal Chemistry (1993), 36(3), 331-42 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

IT 143154-12-5P

RN 143154-12-5 CAPLUS

CN Propanedioic acid, (acetylamino) [[6,7-dichloro-3-[(dimethoxyphosphinyl)methyl]-2-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

IT 3298-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and phosphonylation of, with tri-Me phosphite)

RN3298-96-2 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX CN

IT 147708-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 147708-29-0 CAPLUS

2-Quinoxalinepropanoic acid, .alpha.-amino-6,7-dichloro-3-CN (phosphonomethyl) -, monohydrochloride (9CI) (CA INDEX NAME)

$$C1$$
 NH_2
 $CH_2-CH-CO_2H$
 $CH_2-PO_3H_2$

● HCl

143154-11-4P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., crystal, and condensation reaction of, with acetamidomalonate)

RN 143154-11-4 CAPLUS

Phosphonic acid, [[3-(bromomethyl)-6,7-dichloro-2-quinoxalinyl]methyl]-, CN dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{Cl} & \text{CH}_2 - \text{P-OMe} \\ \text{OMe} & \text{CH}_2 \text{Br} \end{array}$$

GI

$$C1$$
 N
 $CH_2P(O)(OH)_2$
 $CH_2CH(NH_2)CO_2H$

AB A series of .alpha.-amino-3-(phosphonoalkyl)-2-quinoxalinepropanoic acids, e.g. I [R = H (II); R = Cl (III)] were synthesized and evaluated for NMDA receptor affinity using a [3H]CPP binding assay. Functional antagonism of the NMDA receptor complex was evaluated in vitro using a stimulated [3H]TCP binding assay and in vivo by employing an NMDA-induced seizure model. Some analogs also were evaluated in the [3H]-glycine binding assay. Several compds. of the AP-6 type show potent and selective NMDA antagonistic activity both in vitro and in vivo. In particular II displayed an ED50 of 1.1 mg/kg i.p. in the NMDA lethality model. Noteworthy is III with a unique dual activity, displaying in the NMDA receptor binding assay an IC50 of 3.4 nM and in the glycine binding assay an IC50 of 0.61 .mu.M.

L4 ANSWER 39 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1993:234087 CAPLUS

DN 118:234087

TI Preparation of azolobenzazine excitatory amino acid receptor antagonists
IN McOuaid Loretta A Mitch Charles W Oracles W

IN McQuaid, Loretta A.; Mitch, Charles H.; Ornstein, Paul L.; Schoepp, Darryle D.; Smith, Edward C. R.

PA Lilly, Eli, and Co., USA

SO U.S., 12 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

TTHV. CIVI	· -				
PA 	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
	5153196 2070055	A AA	19921006 19921206	US 1991-710649 CA 1992-2070055	19910605 19920529
EP	518530 518530 518530	A2 A3 B1	19921216 19930120 19961009	US 1991-710649 EP 1992-304887	19910605 19920529
	R: AT, E	BE, CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, PT, SE
JP	05163147	A2	19930629	US 1991-710649 JP 1992-138986	19910605 19920529
AT	143806	E	19961015	US 1991-710649 AT 1992-304887	19910605 19920529
ES	2092639	Т3	19961201	US 1991-710649 ES 1992-304887	19910605 19920529

US 1991-710649 19910605 US 5196421 A 19930323 US 1992-904358 19920625 US 1991-710649 19910605

OS MARPAT 118:234087

IT 143007-16-3P 143007-19-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for azolobenzazine excitatory amino acid
 antagonist)

RN 143007-16-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6,7-dichloro-, hydrazone (9CI) (CA INDEX NAME)

RN 143007-19-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX NAME)

GI

AB Title compds. [I and II; A1-A3 = C, N; .gtoreq.1 of A1-A3 = N; one of A4, A5 = C, the other = N; R1, R2 = H, halo, cyano, NO2, alkyl, (substituted) Ph, (substituted) fused benzo, azido, CF3, NHSO2R4, SO2NR5R6; R3 = H, alkyl, aryl, CF3; R4 = alkyl, (substituted) Ph; R5, R6 = H, alkyl], were prepd. Thus, 4,5-dichloro-1,2-phenylenediamine ws refluxed with HO2CCHO/H2O/EtOH to give 6,7-dichloroquinoxalin-2-one. This was refluxed with POCl3 to give 2,6,7-trichloroquinoxaline which was refluxed with hydrazine to give 2-hydrazino-6,7-dichloroquinoxaline. This was refluxed with MeC(OEt)3 to give 1-methyl-7,8-dichloro-1,2,4-triazolo[4,3-a]quinoxaline. I at 10 .mu.m displaced 3H-kainate from excitatory amino

Patel

acid receptor prepns. by -6.4 to 34.7%.

```
ANSWER 40 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
    1993:96275 CAPLUS
AN
   118:96275
DN
TI
    Antidotes reducing pesticidal interactions with herbicides in crops
    Bussler, Brett Hayden; Hakes, Harrison Ross; Mayonado, David James
IN
    Monsanto Co., USA
PΑ
SO
    PCT Int. Appl., 331 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 2
                                       APPLICATION NO. DATE
    PATENT NO.
                   KIND DATE
    _____
                                        --------
ΡI
    WO 9211761
                    A1 19920723
                                       WO 1991-US9783 19911230
        W: AU, BG, BR, CA, CS, FI, HU, JP, KR, PL, RO, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
                                        US 1990-636360 19901231
                                        US 1991-808590
                                                        19911220
    US 5484760
                    Α
                          19960116
                                        US 1991-808590
                                                        19911220
                                        US 1990-636360
                                                        19901231
    AU 9191521
                    A1 19920817
                                        AU 1991-91521
                                                        19911230
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
                                        WO 1991-US9783
                                                        19911230
    EP 565593
                                        EP 1992-902922
                     A1
                          19931020
                                                        19911230
                    Bl
    EP 565593
                          19990303
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
                                        WO 1991-US9783
                                                        19911230
    BR 9107199
                    Α
                                        BR 1991-7199
                          19940405
                                                        19911230
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
                                        WO 1991-US9783
                                                       19911230
PATENT FAMILY INFORMATION:
FAN 1996:106676
    PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
                         -----
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                                        ------
PΙ
    US 5484760
                    A
                          19960116
                                        US 1991-808590
                                                        19911220
                                        US 1990-636360
                                                        19901231
    CA 2096527
                    AA 19920701
                                        CA 1991-2096527 19911230
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
                    A1 19920723
                                        WO 1991-US9783
    WO 9211761
                                                        19911230
        W: AU, BG, BR, CA, CS, FI, HU, JP, KR, PL, RO, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
    AU 9191521
                    A1
                          19920817
                                        AU 1991-91521
                                                        19911230
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
                                        WO 1991-US9783
    ZA 9110204
                     Α
                          19921125
                                        ZA 1991-10204
                                                        19911230
                                        US 1990-636360
                                                       19901231
    EP 565593
                     A1 19931020
                                        EP 1992-902922
                                                        19911230
    EP 565593
                     B1
                          19990303
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Patel <4/4/2003>

R: AT, BE,	CH, D	E, DK, ES, FR,	GB, GR, IT, LI, LI	J, MC, NL, SE
			US 1990-636360	19901231
			US 1991-808590	19911220
BR 9107199	7	1004040-	WO 1991-US9783	19911230
2.0 3107133	Α	19940405	BR 1991-7199	19911230
			US 1990-636360	19901231
			US 1991-808590	19911220
HU 65077	A2	10040400	WO 1991-US9783	19911230
130 03077	AZ	19940428	HU 1993-1897	19911230
			US 1990-636360	19901231
AT 176987	E	10000315	US 1991-808590	19911220
	Е	19990315	AT 1992-902922	19911230
			US 1990-636360	19901231
ES 2130166	Т3	19990701	US 1991-808590	19911220
== ======	13	19990/01	ES 1992-902922	19911230
			US 1990-636360	19901231
MADDATI 110 OCONE			US 1991-808590	19911220

OS MARPAT 118:96275

ΙT 3495-42-9, Chlorquinox

RL: BIOL (Biological study)

(neg. synergism of, with herbicides, antidote for suppression of)

3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

The neg. synergism in crops induced by the interaction of an herbicide, AΒ such as micosulfuron, primisulfuron, or NC-319, with an insecticide (phorate, terbufos, chlorpyrifos, etc.), fungicide, or nematocide is suppressed by an antidote, such as dichlormid, R 29148, or AD 67. Injury to corn from the joint application of 0.23 kg Counter/305-m furrow and 0.14 kg NC-319/ha was almost totally suppressed by MON-13900 [3-dichloroacetyl)-2,2-dimethyl-5-(2-furanyl)oxazolidine] (0.14 kg/ha).

- ANSWER 41 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- AN 1992:592207 CAPLUS
- DN 117:192207
- Fluorine-19 NMR studies on the mechanism of riboflavin synthase. TISynthesis of 6-(trifluoromethyl)-7-oxo-8-(D-ribityl)lumazine and 6-(trifluoromethyl)-7-methyl-8-(D-ribityl)lumazine
- Cushman, Mark; Patel, Hemantkumar H.; Scheuring, Johannes; Bacher, ΑU Adelbert
- Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, IN, 47907, USA CS Journal of Organic Chemistry (1992), 57(21), 5630-43 SO CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LΑ English
- IT 143309-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

Page 89

(prepn. of)

RN 143309-87-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX CN

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title oxo-(D-ribityl)lumazine I was synthesized by reaction of Me AΒ trifluoropyruvate with 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)dione hydrochloride and utilized as a 19F NMR probe of the light riboflavin synthase of Bacillus subtillis. I was found to be an inhibitor of riboflavin synthase with an inhibition const. KI = 55 .mu.M. The enzyme-bound ligand gave rise to several broad 19F NMR signals which were shifted to low field. The bound ligand I could be displaced from the enzyme by the enzyme product, riboflavin (II), and the product analog, 5-nitroso-6-(ribitylamino)-2,4(1H,3H)-pyrimidinedione. Title methyl-(D-ribityl) lumazine III was synthesized by reaction of 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)-dione hydrochloride with 1,1,1-trifluorobutane-2,3-dione. Three mols. of III can be bound relatively tightly per mol of riboflavin synthase, i.e., one ligand mol. per protein subunit. A scheme for the catalytic cycle of riboflavin synthase is proposed.
- ANSWER 42 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- ΑN 1992:550961 CAPLUS
- DN 117:150961
- Synthesis and excitatory amino acid pharmacology of a series of ΤI heterocyclic-fused quinoxalinones and quinazolinones
- McQuaid, Loretta A.; Smith, Edward C. R.; South, Kimberly K.; Mitch, AU Charles H.; Schoepp, Darryle D.; True, Rebecca A.; Calligaro, David O.; O'Malley, Patrick J.; Lodge, David; Ornstein, Paul L.
- Lilly Res. Lab., Indianapolis, IN, 46285, USA CS
- Journal of Medicinal Chemistry (1992), 35(18), 3319-24 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LΑ English
- TT 143007-19-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and intramol. cyclocondensation of)

- RN143007-19-6 CAPLUS
- 2-Quinoxalinamine, 6,7-dichloro-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX CN NAME)

IT 143007-16-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and sequential reaction with orthoesters, and oxidn. by peroxides or trifluoroacetic acid)

RN 143007-16-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6,7-dichloro-, hydrazone (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & N-NH_2 \\ \hline \\ C1 & N & \end{array}$$

GI

As series of substituted 1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-ones I (R1 = R2 = Cl, F, R3 = H, alkyl, Ph; R1 = NO2, R2 = H, NO2, R3 = H), tetrazolo[1,5-a]quinoxalin-4(5H)-ones II (R = R2 = Cl, H, NO2; R1 = NO2, R2 = H; R1 = H, R2 = NO2), pyrazolo[1,5-c]quinazolin-5(6H)-ones III, and an imidazo[1,2-a]quinoxalin-4(5H)-one, was synthesized as potent amino acid antagonists. In general, the same heterocycles which demonstrated the best affinity for the AMPA receptor also demonstrated the best affinity for the glycine site on the NMDA receptor complex.

1-Propyl-7,8-dichloro-1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-one, was found to bind with the greatest affinity to the AMPA receptor with an IC50 of 0.83 .mu.M and antagonized 40 .mu.M AMPA-induced depolarization in the cortical slice prepn. with an IC50 of 44 .mu.M. 7,8-Dichloro-1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-one and 7,8-dichloroimidazo[1,2-a]quinoxalin-4(5H)-one possessed the best affinity for the glycine site

with IC50 values of 0.63 and 1.25 $.\,\text{mu.M.}$ resp. The structure-activity relationship for the heterocyclic compds. did not directly parallel that of known quinoxalinediones (e.g. DNQX and DCQX) at the AMPA receptor nor that of the kynurenic acids at the glycine site on the NMDA receptor complex.

ANSWER 43 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1992:544877 CAPLUS

DN 117:144877

Simple and sensitive determination of diacetyl and acetoin in biological TIsamples and alcoholic drinks by gas chromatography with electron-capture detection

AU Otsuka, Masato; Ohmori, Shinji

Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan CS

Journal of Chromatography (1992), 577(2), 215-20 SO CODEN: JOCRAM; ISSN: 0021-9673

DTJournal

LΑ English

ΙT 52736-71-7P, DCDMQ

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for acetoin and diacetyl detn. in biol. samples and alc. beverages by GC)

RN52736-71-7 CAPLUS

Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME) CN

Acetoin was quant. oxidized into diacetyl by Fe3+ in 1M perchloric acid. AB The reaction of diacetyl with 4,5-dichloro-1,2-diaminobenzene afforded 6,7-dichloro-2,3-dimethylquinoxaline (DCDMQ), which was extd. by benzene contg. aldrin (25 ng/mL) as an internal std., and detd. by gas chromatog. with electron-capture detection. The method is very simple and sensitive. The detection limit of DCDMQ (either diacetyl or acetoin) was 10 fmol/.mu.L of the benzene ext., and the detn. limit of DCDMQ (either diacetyl or acetoin) was 50 fmol/.mu.L of the ext. Both acetoin and diacetyl could be detd. in 0.1 mL of normal human urine or blood, and both were found in rat liver, kidney, and brain. The method was also applied to the detn. of acetoin and diacetyl in alc. drinks.

ANSWER 44 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1992:531561 CAPLUS

DN 117:131561

Preparation of (phosphonoalkyl)(aminocarboxyalkyl)quinoxalines as TIN-methyl-D-aspartate (NMDA) antagonists IN

Jirkovsky, Ivo L.; Baudy, Reinhardt B.; Greenblatt, Lynne P. PΑ

American Home Products Corp., USA

SO U.S., 9 pp. CODEN: USXXAM

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

Patel

-----ΡI US 5118675 Α 19920602 US 1991-656894 WO 9214740 19910215 A1 19920903 WO 1992-US1080 W: AU, CA, JP, KR 19920211 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE US 1991-656894 AU 9214320 19910215 A1 19920915 AU 1992-14320 19920211 US 1991-656894 19910215 WO 1992-US1080 OS MARPAT 117:131561 19920211 IT 143154-00-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as NMDA antagonist) RN 143154-00-1 CAPLUS 2-Quinoxalinepropanoic acid, .alpha.-amino-6,7-dichloro-3-CN (phosphonomethyl) - (9CI) (CA INDEX NAME)

C1 NH2 $CH_2-CH-CO_2H$ $CH_2-PO_3H_2$

IT 3298-96-2P 143154-11-4P 143154-12-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for NMDA antagonist)
RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

Cl N CH2Br

RN 143154-11-4 CAPLUS
CN Phosphonic acid, [[3-(bromomethyl)-6,7-dichloro-2-quinoxalinyl]methyl]-,
dimethyl ester (9CI) (CA INDEX NAME)

 $\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{N} & \text{CH}_2-\text{P-OMe} \\ \text{OMe} & \text{CH}_2\text{Br} \end{array}$

RN 143154-12-5 CAPLUS
CN Propagedicia agid

Propanedioic acid, (acetylamino)[[6,7-dichloro-3-[(dimethoxyphosphinyl)methyl]-2-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

GI

$$N$$
 NH_2
 PO_3H_2
 I

H2N(HO2C)CH(CH2)mQ(CH2)n PO3H2 (Q = quinoxaline nucleus; m = 0-3; n = AB 1-3), and salts and esters thereof, were prepd. Thus, 1,2-phenylenediamine and 1,4-dibromo-2,3-butanedione were refluxed in C6H6 with removal of H2O to give 2,3-bis(bromomethyl)quinoxaline. The latter was refluxed with P(OMe)3 in PhMe to give di-Me 3-bromomethylquinoxaline-2methylphosphonate. The latter in THF was added to a -78.degree. mixt. of N-benzylideneglycine Et ester and KOCMe3 in THF followed by warming to room temp. over 4 h to give Et N-benzylidene-.alpha.-amino-3-[(dimethoxyphosphinyl)methyl]-2-quinoxaline propanoate. Deprotection of the latter gave title compd. I. L-I inhibited NMDA-induced mortality in mice with ED50 = 1.52 mg/kg i.p.

ANSWER 45 OF 100 CAPLUS COPYRIGHT 2003 ACS L_4

AN 1990:160459 CAPLUS

DN 112:160459

ΤI The variant-rich chemistry of quinoxalines to quinoid and indigoid chromophores. IV. The chemistry of naphtho-, quinolino-, and anthracenophenazinones AU Schelz, Dieter

Inst. Farbenchem., Univ. Basel, Basel, CH-4056, Switz. CS SO

Dyes and Pigments (1990), 12(1), 1-20

CODEN: DYPIDX; ISSN: 0143-7208

DT Journal

LΑ German

OS CASREACT 112:160459

18225-81-5, 5,6,7,8-Tetrachloro-2,3-dimethylquinoxaline IT RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with dichloronaphthoquinones) RN

18225-81-5 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX CN

Dihydronaphtho[1,2-b]phenazinones and dihydroquinolino[1,2-b]phenazinones AB were prepd. by treating quinoxalinium perchlorates with dihalonaphthoquinones and dihaloquinoline quinones, resp.

ANSWER 46 OF 100 CAPLUS COPYRIGHT 2003 ACS L4ΑN

1990:139053 CAPLUS

DN 112:139053

Preparation of N-substituted 2-(aminomethyl)quinoxalines as ΤI antiinflammatories and analgesics IN

Sarodnick, Gerhard; Kempter, Gerhard; Goeres, Erhard; Dove, Baerbel; PΑ

Institut fuer Pharmakologische Forschung der Pharmazeutischen Industrie, Ger. Dem. Rep.

SO Ger. (East), 6 pp. CODEN: GEXXA8

DT Patent

LΑ German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE ·
PI	DD 269620	A1	 19890705	DD 1005 050501	
OS	CASREACT 112.120	050		DD 1985-272581	19850115

CASREACT 112:139053; MARPAT 112:139053 OS

IT125989-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, an analgesic and antiinflammatory)

RN 125989-05-1 CAPLUS

Quinoxaline, 6,7-dichloro-2-phenyl-3-[(4-phenyl-1-piperidinyl)methyl]-CN (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R = NR1R2; R1 = H, R2; R2 = (un)substituted(cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl, aryl; R4 .gtoreq.1 of H, halo, NO2, cyano, CF3] or their pharmaceutically acceptable salts, useful as analgesics and inflammation inhibitors in the human and veterinary medicine, were prepd. by a substitution reaction of HNR1R2 with 2-(halomethyl)quinoxaline analogs or with their precursors R3C(:Y)COCH2X (X = Cl, Br; Y = 0, NOH; R3 as above), which were subsequentlycyclocondensed with optionally R4-substituted o-phenylenediamines to form quinoxalines. Morpholine was added dropwise to a boiling soln. of 2-(bromomethyl)quinoxaline in heptane and the mixt. was refluxed 1 h to give 80% I (R = 4-morpholino, R3 = R4 = H) (II). In the acetic acid writhing test in mice, the mean values of ED50 were 4.4 .times. 10-5 for II, 2.2 times. 10-5 for analgin, and 1.2 times. 10-5 mol/kg for morphine. In rats, 5 .times. 10-5 mol II/kg orally gave 40% and 20% redn. after 3 and 5 h of carrageenan-induced paw edema vs. 42% and 46% for

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ANSWER 47 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
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1989:594793 CAPLUS

DN 111:194793

Preparation of chloroquinoxalines as drugs and agrochemicals TI IN

PΑ Tec Chem K. K., Japan

Jpn. Kokai Tokkyo Koho, 15 pp. SO CODEN: JKXXAF

DT Patent

LΑ Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	JP 01075474	A2	19890322	JP 1987-228594	 19870914	
OS	MARPAT 111:19479	3		JP 1987-228594	19870914	

OS MARPAT 111:194793

IT 19853-64-6 123342-15-4

RL: RCT (Reactant); RACT (Reactant or reagent) (dehalogenation of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

RN 123342-15-4 CAPLUS

Quinoxaline, 6,7-dichloro-2-propyl- (9CI) (CA INDEX NAME) CN

GΙ

$$X^2$$
 X^1
 X^2
 X^3
 X^4
 X^2
 X^3
 X^4
 X^2
 X^3
 X^4
 X^4

The title compds. I (R1, R2 = H, alkyl, CO2H, OH, etc.; X1 - X4 = H, OH, AB alkoxy, alkyl, halo, etc.; at least one of X1 - X4 is H or halo), useful as drugs and agrochems. (no data), were prepd. from quinoxalines II (A1 -A4 = H, OH, alkoxy, alkyl, CO2H, NH2, halo; at least one of A1 - A4 is halo). Chlorination of 2-hydroxyquinoxaline (prepn. given) with Cl2 gave 60.5% 6-chloro-2-hydroxyquinoxaline (III) and 7-chloro-2hydroxyquinoxaline (IV). Dehalogenation of IV over 5% Pd-C under H2, followed by oxidn., gave 2-hydroxyquinoxaline which was then chlorinated to give III.

ANSWER 48 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 1988:570378 CAPLUS

DN 109:170378

Synthesis of trifluoromethylated pyrazine-containing nitrogen heterocycles TIfrom trifluoropyruvaldehyde and ortho-diamines: scope and regiochemistry ΑU

Cushman, Mark; Patel, Hemantkumar; McKenzie, Ann

Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, IN, 47907, USA CS

Journal of Organic Chemistry (1988), 53(21), 5088-92 SO CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LΑ English

OS CASREACT 109:170378

IT 115652-57-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMR of)

RN 115652-57-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

GI

The structures of the reaction products, e.g. I and II, obtained from the condensation of trifluoropyruvaldehyde with a variety of ortho-diamines have been investigated in order to det. the scope of the reaction and also to investigate which of the structural isomers is formed in larger amt. in cases in which two products are possible. As a result of intensive 13C-, 19F-, and 1H-NMR studies, as well as x-ray anal. of I it has been obsd. that, in aq. soln., the major product of the reaction is usually derived from reaction of the aldehyde carbonyl of trifluoropyruvaldehyde hydrate with the more reactive amino group of the diamine to give an intermediate imine which then dehydrates and cyclizes by reaction of the remaining amino group with the carbonyl adjacent to the trifluoromethyl group.

L4 ANSWER 49 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1988:221669 CAPLUS

DN 108:221669

TI Synthesis and oral antiallergic activity of carboxylic acids derived from imidazo[2,1-c][1,4]benzoxazines, imidazo[1,2-a]quinolines, imidazo[1,2-a]quinoxalines, imidazo[1,2-a]quinoxalinones, pyrrolo[1,2-a]quinoxalinones, pyrrolo[2,3-a]quinoxalinones, and imidazo[2,1-b]benzothiazoles

AU Ager, Ian R.; Barnes, Alan C.; Danswan, Geoffrey W.; Hairsine, Peter W.; Kay, David P.; Kennewell, Peter D.; Matharu, Saroop S.; Miller, Peter; Robson, Peter; et al.

CS Roussel Lab. Ltd., Covingham/Swindon/Wilts, SN3 5BT, UK

SO Journal of Medicinal Chemistry (1988), 31(6), 1098-115 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 108:221669

IT 76002-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclocondensation reaction of, with bromopyruvate)

RN 76002-68-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

GI

4H-Imidazo[2,1-c][1,4]benzoxazine-2-carboxylic acid (I) possesses potent AΒ activity in the IgE-induced rat passive cutaneous anaphylaxis model, which may be predictive of clin. antiallergic activity. Compared to disodium cromoglycate (DSCG) (II), I was less active following i.v. administration but unlike II showed very significant oral activity. To explore the structural requirements for this activity, a range of tricyclic compds. was prepd. and their activities were measured. Individual 2-carboxylic acids derived from imidazo[1,2-a]quinolines, imidazo[1,2-a]quinoxalines, imidazo[1,2-a]quinoxalinones, pyrrolo[1,2-a]quinoxalinones, pyrrolo[2,3-a]quinoxalinones, and imidazo[2,1-b]benzothiazoles showed i.v. activities up to 103 times as potent as II and many of them showed significant oral activity. From these, imidazo[1,2-a]quinoxaline-2carboxylic acid (III) was chosen for further development.

ANSWER 50 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1988:112392 CAPLUS

108:112392 DN

The four 6-halo-7-nitroquinoxalines TI

Nasielski-Hinkens, Raymonde; Leveque, Pierre; Castelet, Daniel; Nasielski, ΑU CS

Lab. Chim. Org., Univ. Libre Bruxelles, Brussels, B-1050, Belg. SO

Heterocycles (1987), 26(9), 2433-42 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LΑ English

CASREACT 108:112392 OS

ΙT 19853-64-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

GI

$$O_2N$$
 NH_2
 NH_2

AB The cyclocondensation of phenylenediamines I (R1 = F, Cl, Br, iodo) with glyoxal gave quinoxalines II. I were prepd. from 4-halo-1,2-benzenediamines by successive N-tosylation, nitration, and detosylation.

L4 ANSWER 51 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1988:56066 CAPLUS

DN 108:56066

TI A convenient synthesis of new arylethenylquinoxalines

AU Pawlowski, Georg; Frass, Werner; Mohr, Dieter

CS Kalle/Hoechst A.-G., Wiesbaden-Biebrich, D-6200, Fed. Rep. Ger.

SO Synthesis (1987), (7), 638-40 CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

OS CASREACT 108:56066

IT 112331-19-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (Arbuzov reaction of)

RN 112331-19-8 CAPLUS

CN Quinoxaline, 2-(bromomethyl)-6,7-dichloro-3-methyl- (9CI) (CA INDEX NAME)

IT 112331-17-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Horner-Emmons reaction of, with arom. aldehydes)

RN 112331-17-6 CAPLUS

CN Phosphonic acid, [(6,7-dichloro-3-methyl-2-quinoxalinyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)

IT 112331-08-5P 112354-62-8P

> RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectra of)

112331-08-5 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[2-(3,4-dichlorophenyl)ethenyl]-3-[2-[4-CN(trifluoromethyl)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

RN112354-62-8 CAPLUS

Benzoic acid, 4-[2-[6,7-dichloro-3-[2-[4-(diethylamino)phenyl]ethenyl]-2-CNquinoxalinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 112331-14-3P 112331-15-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., spectra, and condensation reaction of, with aldehydes)

RN

112331-14-3 CAPLUS
Quinoxaline, 6,7-dichloro-2-[2-(3,4-dichlorophenyl)ethenyl]-3-methyl-CN (9CI) (CA INDEX NAME)

Patel

RN 112331-15-4 CAPLUS

CN Benzenamine, 4-[2-(6,7-dichloro-3-methyl-2-quinoxalinyl)ethenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

GI

$$R^1$$
 N
 R
 R^1
 N
 $CH = CHR^2$
 R^1
 N
 $CH = CHR^3$
 R^1
 R^2
 R^3
 R^4
 R^4

AB Arbusov reaction of bromomethylquinoxalines I (R = CH2Br, R1 = H, Cl, Me) with P(OEt)3 gave 95-100% phosphonates I [R = CH2P(O) (OEt)2], Horner-Emmons reaction of which, with R2CHO [R2 = 3,4-(MeO)2C6H3, p-tolyl, m-PhOC6H4, p-Et2NC6H4, 2-methoxynaphthyl, 3,4-Cl2C6H4], condensation of which, with R3CHO [R3 = p-NCC6H4, Ph, m-anisyl, 3,4-Cl2C6H3, styryl, p-, m-O2NC6H4, p-F3CC6H4, p-(MeO2C)C6H4] in Ac2O gave 40-84% 10 II.

L4 ANSWER 52 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1987:403514 CAPLUS

DN 107:3514

TI Simple and sensitive determination of methylglyoxal in biological samples by gas chromatography with electron-capture detection

AU Ohmori Shinii Kawasa Minki Markatan detection

AU Ohmori, Shinji; Kawase, Michi; Mori, Mie; Hirota, Takashi CS Fac. Pharm Sci. Okayama Unit.

CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan SO Journal of Chromatography (1997)

ODEN: JOCRAM; ISSN: 0021-9673

DT Journal

LA English

IT 108653-55-0

RL: FORM (Formation, nonpreparative)
(formation of, detn. of, by gas chromatog. with electron-capture detection)

RN 108653-55-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl- (9CI) (CA INDEX NAME)

AB Methylglyoxal was allowed to react with 4,5-dichloro-1,2-phenylenediamine, and the 6,7-dichloro-2-methylquinoxaline formed was detd. by gas chromatog. with electron-capture detection. The std. curve of the quinoxaline was linear up to 160 pmol/mL. The recoveries of methylglyoxal from coffee and rat liver homogenate were 84.1 and 77.6%, resp. This procedure was very selective and so sensitive that >9 fmol of the quinoxaline could be measured in biol. and food samples.

L4 ANSWER 53 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1987:119845 CAPLUS

DN 106:119845

TI Synthesis of bis(trifluoromethylated) pyrazine-containing nitrogen heterocycles from hexafluorobiacetyl and ortho-diamines. Stabilization of the covalent dihydrates of pteridines and pyrido[3,4-b]pyrazines by trifluoromethyl groups

AU Cushman, Mark; Wong, Wai Cheong; Bacher, Adelbert

CS Sch. Pharm. Pharmacal Sci., Purdue Univ., West Lafayette, IN, 47907, USA

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1986), (6), 1043-50 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

OS CASREACT 106:119845

IT 107210-64-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 107210-64-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

GI

- AB An investigation of the structures of the reaction products derived from F3CCOCOCF3 (I) and a variety of o-diamines has been undertaken with the aim of detg. the extent to which trifluoromethyl groups stabilize covalent hydrates. The substituted quinoxalines II (R = H, Me, CO2H, Cl, Bz; Rl = H, Me, Cl) as well as the pyrido[2,3-b]pyrazine III and the lumazines IV (R2 = H, Me; X = O, S) exist as completely dehydrated arom. species. Depending on the reaction conditions, both the arom. form and the stable, neutral covalent dihydrate form could be obtained from the reaction of I with 4,5-diamino-6-hydroxypyrimidinium sulfate. The pyrido[3,4-b-]pyrazine system V (X1 = CH) and the pteridine V (X1 = N) exist as stable, neutral covalent dihydrates.
- L4 ANSWER 54 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1987:97911 CAPLUS
- DN 106:97911
- TI Resistance to fungicides of Sphaerotheca fuliginea Pollacci on greenhouse cucumbers
- AU Gancheva, I.; Vitanov, M.
- CS Inst. Plant Protect., Kostinbrod, Bulg.
- SO Pochvoznanie, Agrokhimiya i Rastitelna Zashtita (1986), 21(4), 94-101 CODEN: PARZEP; ISSN: 0205-1931
- DT Journal
- LA Bulgarian
- IT 3495-42-9

RL: BIOL (Biological study)

(Sphaerotheca fuliginea resistance and cross-resistance to)

- RN 3495-42-9 CAPLUS
- CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

AB Spraying greenhouse cucumbers with recommended and reduced rates of Afugan [13457-18-6], Morestan [2439-01-2], Karathane [39300-45-3], and Lucel [3495-42-9] decreased powdery mildew infection. However, the

pathogen S. fuliginea was resistant to Benlate (I) [17804-35-2], Bavistin [10605-21-7], and methyltopsin (II) [23564-05-8]. During subsequent selection, the resistance to II increased more rapidly than to I. The selection finally induced resistance to the above fungicides and Acrex [973-21-7]. Studies of cross-resistance development showed that alternating I, II, and Bavistin with Afugan, Lucel, Bayleton [43121-43-3] and the contact fungicides Karathane and Morestan, as well as alternating Afugan with Karathane, Morestan, Acrex, Lucel, and Bayleton will prevent development of resistance in S. fuliginea. Within 7 days of selection, S. fulginea failed to develop resistance to triadimefon, dinocap, and Rubigan [60168-88-9].

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L4 ANSWER 55 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1986:79157 CAPLUS

DN 104:79157

TI 2,3-Bis(arylethenyl)quinoxalines and their use as photoconductive compounds

IN Pawlowski, Georg

PA Hoechst A.-G., Fed. Rep. Ger.

SO Ger. Offen., 33 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PAT	PATENT NO.		KIN	1D	DATE			API	PLICATION I	. 00	DATE	
PI		3346 1498			A1 A2		1985 1985				1983-3346 1984-1155		19831221 19841215
	EP	1498	02		A3	3	1986	0416		EP	1964-1155.	10	19041213
	EP	1498 R:	02 BE,	CH,	B1 DE,		1990 , GB,		LI,	NL			
										DE	1983-33463	177	19831221
	CA	1256	436		A1	L	1989	0627		CA	1984-47026	67	19841217
										DE	1983-33463	177	19831221
	BR	8406	571		Α		1985	1015		BR	1984-6571		19841219
										DE	1983-33463	177	19831221
	JР	6017	8868		A2	2	1985	0912		JP	1984-2676	04	19841220
										DE	1983-3346	177	19831221

IT 99577-26-1P 99577-27-2P 99577-28-3P

RL: PREP (Preparation)

(prepn. and electrophotog. photoconductor applications of)

RN 99577-26-1 CAPLUS

CN Benzenamine, 4,4'-[(6,7-dichloro-2,3-quinoxalinediyl)di-2,1-ethenediyl]bis[N,N-dimethyl- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

$$CH_3$$
 H_3C-N
 $CH=CH$
 CH
 CH_3
 $N-CH_3$
 CH_3

RN 99577-27-2 CAPLUS

CN Benzenamine, 4,4'-[(6,7-dichloro-2,3-quinoxalinediyl)di-2,1-ethenediyl]bis[N,N-diethyl- (9CI) (CA INDEX NAME)

RN 99577-28-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis[2-(4-methylphenyl)ethenyl]- (9CI) (CA INDEX NAME)

IT 99565-80-7P

Patel

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with dimethoxybenzaldehyde)

RN 99565-80-7 CAPLUS

Phosphonic acid, [(6,7-dichloro-2,3-quinoxalinediyl)bis(methylene)]bis-, CN tetraethyl ester (9CI) (CA INDEX NAME)

ΙT 3298-96-2

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with tri-Et phosphite)

RN3298-96-2 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) CN (CA INDEX

GI

RCH= CH
$$\sim$$
 R1

RCH= CH \sim R2 \sim I

$$Ph_2N$$
 $CH = CH$
 N
 N
 Me

2,3-Bis(arylethenyl)quinoxalines (I; R = an optionally substituted Ph, AB naphthyl, styryl, anthracenyl, phenanthrenyl, pyrenyl, ferrocenyl, a higher aggregated hydrocarbon, or an optionally substituted heterocycle; R2, R3 = H, halogen, NO2, CN, NH2, monoalkylamino, dialkylamino, alkyl, alkoxy, alkenyl, OH, CO2H, carboalkoxy, PhO, or together form an uncondensed carbocyclic or heterocyclic arom. ring) are described for use

ΙI

L4

as electrophotog. photoconductors. The compds. are easily prepd. in good yield. Thus, a soln. contg. a maleic anhydride-styrene copolymer (av. mol. wt. of 80,000) 3.3, II 2.2, Rhodamine FB 0.1, Astrazon Orange 0.6, THF 22.0, and Me glycol mono-Me ether 18.8 g was coated on a electrochem. grained and poly(vinylphosphonic acid)-treated Al foil at 5.6 .mu.m (dry) thickness. The resultant material was then corona charged to -450 V, exposed in a repro camera, toner developed, and thermally fixed to give a sharp image. After treatment with a soln. contg. Na2SiO3 50, 85% glycerin 250, ethylene glycol 390, and MeOH 310 g, a printing plate capable of producing many thousands of good prints was obtained.

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ANSWER 56 OF 100 CAPLUS COPYRIGHT 2003 ACS
 AN
      1983:4563 CAPLUS
 DN
      98:4563
 TI
      Quinoxaline derivatives
 IN
      Issidorides, Costas H.; Haddadin, Makhluf J.
 PΑ
      Research Corp. , USA
      U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 691,252, abandoned.
 SO
      CODEN: USXXAM
 DΤ
      Patent
 LΑ
      English
 FAN.CNT 3
      PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
                      ----
                                           -----
 ΡI
     US 4343942
                       Α
                            19820810
                                           US 1969-883577 19691209
                                           US 1966-592729 A219661108
                                           NL 1967-14882 A 19671102
                                           US 1967-691252 A219671218
     CA 923131
                       A1
                            19730320
                                           CA 1967-4478
                                                           19671107
                                          US 1966-592729 A 19661108
                                          US 1969-883577 A 19691209
                                          CA 1970-923131 A519701118
     GB 1308370
                       A
                            19730228
                                          GB 1970-47202
                                                           19701005
                                          US 1969-883577 A 19691209
     NL 157302
                       В
                            19780717
                                          NL 1972-8887
                                                           19720628
                                          US 1966-592729 A 19661108
                                          NL 1967-14882 A319671102
     DK 7800142
                           19780112
                                          DK 1978-142
                                                           19780112
                                          US 1966-592729 A 19661108
                                          DK 1967-5535 A 19671107
     US 4866175
                      Α
                           19890912
                                          US 1979-29344
                                                           19790412
                                          US 1966-592729 A219661108
                                          US 1967-691252 A219671218
                                          US 1969-883577 A319691209
                                          US 1977-843510 Al19771008
PATENT FAMILY INFORMATION:
FAN 1969:57899
    PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO.
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ΡI
    GB 1134729
                      Α
                           19681127
                                         GB 1967-28313
                                                          19670620
                                         US 1966-592729 A 19661108
    DK 137493
                      С
                           19780828
                                         DK 1967-5535
                                                          19671107
                                         US 1966-592729 A 19661108
    SE 402289
                     С
                           19781005
                                         SE 1973-11829
                                                          19730830
                                         US 1966-592729 A 19661108
    DK 7800142
                    Α
                          19780112
                                         DK 1978-142
                                                          19780112
                                         US 1966-592729 A 19661108
                                         DK 1967-5535 A 19671107
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FAN	1973:147994 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	GB 1308370	A	19730228	GB 1970-47202 19701005
	US 4343942	A	19820810	US 1969-883577 A 19691209 US 1969-883577 19691209 US 1966-592729 A219661108 NL 1967-14882 A 19671102
OS IT	CASREACT 98:4563 31683-03-1P 3168: RL: SPN (Synthet: (prepn. of)	3-07-5 ic pre	P 31683-12-2P paration); PREP	US 1967-691252 A219671218 (Preparation)

RN 31683-03-1 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

RN 31683-07-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

RN 31683-12-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

GI

AB Bactericidal quinoxaline dioxides I (R, R1 = H, alkyl; R2 = F3C, H2NSO2, MeNHSO2, Me2NSO2) and II [R3 = alkoxy, aryloxy, PhCH2O, NR4R5 (R4, R5 = H, alkyl, Ph); R2 = H, Cl, F, Me, MeO, F3C, H2NSO2, MeNHSO2] and III (R2 = as before) were prepd. Thus, condensation of benzofuroxan with Me2CO in refluxing MeCN contg. pyrrolidine gave 2-methylquinoxaline dioxide which possessed a min. inhibitory concn. of 50 .mu.g/mL against Pasteurella multocida.

L4 ANSWER 57 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1982:87009 CAPLUS

DN 96:87009

TI Cross-conjugated cyanines and merocyanines, obtained from salts of 1-substituted 2,3-dimethylquinoxalines. Part 1. Isolation of the dye bases from spontaneous transformation or oxidation of the reactants with copper(II) acetate or silver oxide

AU Schelz, Dieter

CS Inst. Farbenchem., Univ. Basel, Basel, CH-4056, Switz.

SO Helvetica Chimica Acta (1981), 64(8), 2665-80 CODEN: HCACAV; ISSN: 0018-019X

Journal

LA German

DT

IT 52765-68-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidative dimerization of)

RN 52765-68-1 CAPLUS

CN Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CL) (CA INDEX NAME)

CM 1

Patel

<4/4/2003>

CRN 52765-67-0 C11 H11 C12 N2 CMF

CM2

CRN 14797-73-0 CMF Cl 04

GI

AB Quaternary salts I (R = Me, Ph, p-ClC6H4; R1 = H, electron acceptor or donor; R2 = Me, Ph; X = CH, N), in some cases in the presence of the corresponding II, undergo spontaneous conversion to III (all groups as defined for I) when dissolved in DMSO or DMF. Yields are 24-47%. Higher yields (up to 66%) are obtained by oxidn. of I, II, or I-II mixts. with Cu(OAc)2 or Ag20. Visible and 1H-NMR spectra data for the dyes are given, and their structural relationship to S. Huenig's (1980) two-step redox systems is discussed.

ANSWER 58 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 1981:569132 CAPLUS

DN 95:169132

Preparation of some functionalized quinoxaline 1,4-dioxides ΤI ΑU

Usta, J. A.; Haddadin, M. J.; Issidorides, C. H.; Jarrar, A. A.

Chem. Dep., Am. Univ. Beirut, Beirut, Lebanon CS

Journal of Heterocyclic Chemistry (1981), 18(4), 655-8 SO CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LΑ English

IT 79441-11-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 79441-11-5 CAPLUS

Carbonic acid, 2-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)ethyl CN methyl ester (9CI) (CA INDEX NAME)

GI

The prepn. of some functionalized quinoxaline 1,4-dioxides is described AB from the reaction of benzofurazan oxides with 2-acetylbutyrolactone, Et acetopyruvate, and acetylacetaldehyde dimethyl acetal. Thus, reaction of I with 2-acetylbutyrolactone gave 38-81% II (R = H, Me, R1 = H; R = Cl, R1 = CO2Me).

ANSWER 59 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1981:462262 CAPLUS AN

DN 95:62262

Quinoxalinylaminophenoxyalkane carboxylic acid derivatives, their use as TIherbicides and intermediates

Serban, Alexander; Watson, Keith Geoffrey; Farquharson, Graeme John IN

ICI Australia Ltd. , Australia PA

SO Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE PΙ EP 26622 A2 19810408 EP 1980-303315 19800922

ΕP	2662	2		A.	3	1981	0513				
	R:	ΑT,	BE,	CH,	DE,	FR,	GB,	IT,	NL		
							•		AU	1979-702	19791002
ΑU	8062	027		A:	1	1981	0409		AU	1980-62027	19800903
ΑU	5342	52		B	2	1984	0112				
									AU	1979-702	19791002
US	4358	307		Α		1982	1109		US	1980-184973	19800908
									AU	1979-702	19791002
ZA	8005	646		Α		1981	0930		ZA	1980-5646	19800912
									AU	1979-702	19791002
CA	1169	065		A:	1	1984	0612		CA	1980-360356	19800916
									AU	1979-702	19791002
JP	5605	7770		A2	2	1981	0520		JP	1980-135940	19801001
									AU	1979-702	19791002

IT 78470-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and herbicidal activity of)

RN 78470-97-0 CAPLUS

CN Propanoic acid, 2-[4-[(6,7-dichloro-2-quinoxalinyl)methylamino]phenoxy]-,
 methyl ester (9CI) (CA INDEX NAME)

IT 78470-96-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with bromopropionate)

RN 78470-96-9 CAPLUS

CN Phenol, 4-[(6,7-dichloro-2-quinoxalinyl)methylamino]- (9CI) (CA INDEX NAME)

IT 78471-00-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 78471-00-8 CAPLUS

CN Propanoic acid, 2-[4-[(6,7-dichloro-2-quinoxalinyl)methylamino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

GI

The title compds. I (X = optionally substituted phenylene; X1 = 0, S; n = 0-2; R, R1 = H, halogen, NO2, cyano, thiocyano, optionally substituted alkyl, amino, alkoxy, alkylthio, sulfonyl, carboxy, Ph, PhO, PhS; R2 = H, optionally substituted alkyl, acyl, Ph, Bz; R3 = H, optionally substituted alkyl, acyl; R4 = H, optionally substituted alkyl; R3R4 = alkylene; R5 = cyano, CSNH2, optionally esterified CO2H, acyl, substituted Me) were prepd. Thus, 2,6-dichloroquinoxaline was treated with 4-MeNHC6H4OH and BrCHMeCO2Et to give II which at 1 kg/ha post-emergence gave 100% kill of, e.g., wild oats and ryegrass.

ΙI

L4 ANSWER 60 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1981:121600 CAPLUS

DN 94:121600

TI Microbiocidal 2-sulfonylquinoxalines

IN Sasse, Klaus; Haller, Ingo; Plempel, Manfred; Zeiler, Hans Joachim; Metzger, Karl Georg; Haberkorn, Axel

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 38 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2913728 EP 18493 EP 18493	A1 A1 B1	19801016 19801112 19821201	DE 1979-2913728 EP 1980-101525	19790405 19800322
	R: AT, BE,	CH, DE		, NL, SE	
	AT 1904	E	19821215	DE 1979-2913728 AT 1980-101525 DE 1979-2913728	19790405 19800322 19790405
	JP 55133363	A2	19801017	EP 1980-101525 JP 1980-42928	19800322 19800403

DE 1979-2913728 19790405

IT 76647-40-0P 76672-13-4P 76672-14-5P 76672-15-6P 76672-16-7P 76685-37-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidn. of, to sulfone)

RN 76647-40-0 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \text{SMe} \\ \text{Cl} & \text{N} \end{array}$$

RN 76672-13-4 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(ethylthio)- (9CI) (CA INDEX NAME)

RN 76672-14-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(propylthio)- (9CI) (CA INDEX NAME)

RN 76672-15-6 CAPLUS

CN Quinoxaline, 2-(butylthio)-6,7-dichloro- (9CI) (CA INDEX NAME)

RN 76672-16-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$C1$$
 N $S-CH_2-Ph$ $C1$

RN 76685-37-5 CAPLUS CN Quinoxaline, 6,7-dichloro-2-(methylthio)- (9CI) (CA INDEX NAME)

GI

$$R_n \xrightarrow{N}_{ZR^2} R^1$$

The title compds. I (R = halo, NO2, CF3; n = 1-4; R1 = alkyl, H, cycloalkyl, optionally substituted Ph; R2 = alkyl, cycloalkyl, aryl, aralkyl; Z = SO2), useful as antimycotics and bactericides (no data), were prepd. by oxidn. of the corresponding I (Z = S). Thus, I (Rn = 6-Cl, Rl = H, R2 = PhCH2, Z = S) was oxidized with KMnO4 in aq. HOAc to give 81.5% I

L4 ANSWER 61 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1981:47354 CAPLUS

DN 94:47354

TI Antiallergic heterocyclic compounds

IN Ramm, Peter John; Barnes, Alan Charles

PA Roussel Laboratories Ltd., UK

SO Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2027707 GB 2027707	A B2	19800227 19821117	GB 1979-26597	19790731
	SE 7906011 SE 439308 SE 439308	A B C	19800203 19850610 19850919	GB 1978-31934 SE 1979-6011	19780802 19790710
	IL 57785	A1	19840131	GB 1978-31934 IL 1979-57785	19780802 19790712
	FR 2432520	A1	19800229	GB 1978-31934 FR 1979-18216	19780802 19790713

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0,0000000000000000000000000000000000000		

FR	2432520	В1	19821112			
				GB	1978-31934	19780802
AT	7905143	Α	19820915	AT	1979-5143	19790725
AΤ	370734	В	19830425			
				GB	1978-31934	19780802
ZA	7903843	A	19800924	ZA	1979-3843	19790726
				GB	1978-31934	19780802
US	4254123	Α	19810303	US	1979-61626	19790730
				GB	1978-31934	19780802
JΡ	55022682	A2	19800218	JP	1979-96869	19790731
JΡ	01041637	B4	19890906			
				GB	1978-31934	19780802
HU	20356	0	19810728	HU	1979-RO1033	19790731
HU	178089	P	19820328			
				GB	1978-31934	19780802
ΒE	878028	A1	19800201	BE	1979-196568	19790801
				GB	1978-31934	19780802
DK	7903249	Α	19800203	DK	1979-3249	19790801
					1978-31934	19780802
	7949462	A1	19800207	AU	1979-49462	19790801
ΑU	528158	B2	19830414			٠
					1978-31934	19780802
ES	483039	A1	19800901	ES	1979-483039	19790801
					1978-31934	19780802
CA	1121353	A1	19820406	_	1979-333014	19790801
					1978-31934	19780802
NL	7905956	A	19800205	NL	1979-5956	19790802
					1978-31934	19780802
	2931418	A1	19800228	DE	1979-2931418	19790802
DE	2931418	C2	19890629			
		_		GB	1978-31934	19780802
CH	641806	A	19840315	CH	1979-7105	19790802
				GB	1978-31934	19780802

IT 76002-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and addn. reaction of, with Et bromopyruvate)

RN 76002-68-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^3$$
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2

$$\mathbb{R}^3$$
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^2
 \mathbb{R}^3
 \mathbb{R}^3
 \mathbb{R}^1
 \mathbb{R}^1

AB Imidazoquinoxalines I (R = H, C1-5 alkyl; R1 = C1-5 alkoxy, carbamoyl; R2, R3 = H, halo) and I salts, which possess antiallergic activity, were prepd. E.g., 2-aminoquinoxaline on reaction with Et bromopyruvate followed by intramol. cyclocondensation reaction gave I (R = Et, R1-3 = H), which on hydrolysis gave I (R-R3 = H). The antiallergic activities of I were assessed for the treatment of passive cutaneous anaphylaxis in rats. Compns. contg. I are described.

L4 ANSWER 62 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1979:593335 CAPLUS

DN 91:193335

TI Improvements in and relating to herbicidal compositions containing phenylquinoxaline compounds

IN Clark, Michael Thomas

PA Shell Internationale Research Maatschappij B. V., Neth.

SO Brit., 8 pp. CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1543560	Α	19790404	GB 1975-17748	19760427
				GB 1975-17748	19760427

IT 71896-95-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (herbicide, prepn. of)

RN 71896-95-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GI

$$R$$
 R
 N
 R
 R
 R
 R
 R
 R

The prepn. is described of herbicidal compns. contg. phenylquinoxalines I AB [R and R1 (same or different) are H, halo, alkyl, NO2, CO2H; R2 = H, halo, OH, alkyl, alkoxy, alkylthio, NO2, optionally substituted amino), their salts, 1-oxide derivs., 1,4-dioxide derivs., or 1,2-dihydro derivs.; I were synthesized. Thus, I (R = R1 = C1, R2 = H) was prepd. (90%) by the reaction of 4,5,2-Cl2(H2N)C6H2NH2 with PhCOCHO in EtOH (reflux, 30 min).

ANSWER 63 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1979:168546 CAPLUS

DN 90:168546

TIQuinoxaline 1,4-dioxides

ΑU Mahajanshetti, C. S.; Balse, Mukta N.

CS Dep. Chem., Karnatak Univ., Dharwad, India

Indian Journal of Chemistry, Section B: Organic Chemistry Including SO Medicinal Chemistry (1978), 16B(9), 830-2 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LΑ English

ΙT 70071-20-4P 70071-21-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMR spectrum of, oxide group anisotropic effect in)

RN 70071-20-4 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-phenyl-, 1,4-dioxide (9CI) (CA INDEX CN

RN70071-21-5 CAPLUS

Quinoxaline, 6,7-dichloro-2-(4-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

IT 70071-10-2P 70071-11-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidn. of)

RN 70071-10-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-phenyl- (9CI) (CA INDEX NAME)

RN 70071-11-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(4-chlorophenyl)-3-methyl- (9CI) (CA INDEX NAME)

GI

III

AB Cyclocondensation of diaminobenzenes I (R = Rl = Me, Cl; R = NO2, Rl = H) with 4-R2C6H4COCOMe (R2 = H, Cl) gave the methylquinoxalines II (R = Rl = Me, Cl; R, Rl = H, NO2; R2 = H, Cl), which were oxidized by MeC(0)O2H to give quinoxaline dioxides III. The anisotropic effect of the oxide groups in III on the NMR chem. shift of the C-5 and C-8 H in III was discussed.

L4 ANSWER 64 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1977:440743 CAPLUS

DN 87:40743

TI Chromogenic furoquinoxalines

IN Farber, Sheldon

PA NCR Corp., USA

SO U.S., 9 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4020068	A	19770426	US 1975-554257 US 1974-468112	19750228 19740508
	GB 1458178	A	19761208	GB 1975-13887 US 1974-468112	19750404 19740508
	JP 51010835 JP 55031757	A2 B4	19760128 19800820	JP 1975-50191	19750424
	01 33031737	D4	19000020	US 1974-468112	19740508
	NT FAMILY INFORMA	TION:		US 1975-554257	19750228
FAN	1976:137227 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2520148 DE 2520148	A1 C2	19760122 19870903	DE 1975-2520148	19750506
	GB 1458178	A	19761208	US 1974-468112 GB 1975-13887	19740508 19750404

IT 58824-88-7P

RL: IMF (Industrial manufacture); PREP (Preparation) (prepn. and condensation with arom. amines)

RN 58824-88-7 CAPLUS

CN 2,3-Quinoxalinedicarboxylic acid, 6,7-dichloro- (9CI) (CA INDEX NAME)

GΙ

US 1974-468112

19740508

AΒ Title compds. I (R, Rl = aminophenyl, indolyl; R = H, Cl, Me), givinggreen to purple colors in contact with an acidic material, were prepd. for use in pressure-sensitive record systems. I were prepd. by condensing 2,3-quinazolinedicarboxylic anhydrides (II) with 1 mol arom. amine to give the keto acid and then with a 2nd mol of amine, or (R = R1) by condensing II with 2 mol arom. amine in a single step. Typical compds. are I [R =R1 = 2,4-Me(Et2N)C6H3, R2 = H] [58824-92-3], green in contact with acid, and I [R = 1-isopentyl-2-methylindol-3-yl, R1 = 2,4-EtO(Et2N)C6H3, R2 = 1H] [58824-82-1], deep blue.

ANSWER 65 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1977:190008 CAPLUS AN

DN 86:190008

Substituted alkyl esters of quinoxaline-di-N-oxide-2-carboxylic acid ΤI

Cronin, Timothy H.; Richardson, Kenneth

PΑ

Pfizer Inc., USA U.S., 28 pp. Division of U.S. 3,915,975. SO

CODEN: USXXAM

DT Patent

LΑ English

FAN. CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4007184	A	19770208	US 1975-621219 US 1970-20841 US 1971-135792	19751009 19700318 19710420
	US 3818007	A	19740618	US 1973-397162 US 1971-135792	19730913 19710420
	BE 781363	A4	19720929	US 1970-20841 BE 1972-3905 BE 1971-764088	19700318 19720329 19710311
	US 3841254	A	19741015	US 1971-135792 US 1973-325354	19710420 19730122
	DK 135718	В	19770613	GB 1972-4505 DK 1973-4320 US 1970-20841 US 1970-20842	19720131 19730807 19700318 19700318
	DK 137958 DK 137958	B C	19780612 19781106	DK 1971-999 DK 1973-4321	19710304 19730807
	US 3915975	A	19751028	US 1970-20841 US 1970-20842 DK 1971-999 US 1973-397162 US 1970-20841	19700318 19700318 19710304 19730913 19700318
PATE FAN	NT FAMILY INFORMAT	'ION:		US 1971-135792	19710420

PATENT NO. KIND DATE APPLICATION NO. DATE

	_				
ΡI	DE 2111710		1001000		
	DE 2111710	A C3	19710930	DE 1971-2111710	19710311
	DE 2111710	B2	19790913 19790125		
	- · - ·	22	19790125	IIC 1070 000 c	
				US 1970-20841	19700318
	US 3671521	A	19720620	US 1970-20842	19700318
	GB 1330151	A	19730912	US 1970-20842 GB 1970-52312	19700318
			13.30312	US 1970-20841	19701103
		`		US 1970-20841	19700318
	ZA 7101022	A	19711229	ZA 1971-1022	19700318
				US 1970-20841	19710217
				US 1970-20841	19700318
	ES 388787	A1	19740201	ES 1971-388787	19700318
				US 1970-20841	19710302 19700318
				US 1970-20842	
	DK 131677	В	19750818	DK 1971-999	19700318 19710304
				US 1970-20841	19700318
	VI 51000			US 1970-20842	19700318
	NL 7102953	Α	19710921	NL 1971-2953	19710318
				US 1970-20841	19700318
	እጥ <u>21</u> ርዕረር	_		US 1970-20842	19700318
	AT 315865	В	19740610	AT 1971-1915	19710305
				US 1970-20841	19700318
	IT 1019008	-		US 1970-20842	19700318
	11 1019006	A	19771110	IT 1971-48832	19710305
				US 1970-20841	19700318
	JP 54034756	B4	10701000	US 1970-20842	19700318
	01 01001700	D4	19791029	JP 1971-11361	19710305
				US 1970-20841	19700318
	BE 764088	A1	19710913	US 1970-20842	19700318
		A1	19/10913	BE 1971-2940	19710311
				US 1970-20841	19700318
	FR 2085717	A 5	19711231	US 1970-20842	19700318
	FR 2085717	B1	19750606	FR 1971-8799	19710312
	•			US 1970-20842	100000
	CH 535242	A	19730515	CH 1972-4176	19700318
				US 1970-20841	19710312
				US 1970-20841	19700318
	CH 539061	A	19730831	CH 1972-3708	19700318 19710312
				US 1970-20841	19700318
	Ou ceases			US 1970-20842	19700318
	CH 557356	A	19741231	CH 1971-3667	19710312
				US 1970-20841	19700318
	US 3841254	_		US 1970-20842	19700318
	05 3641254	A	19741015	US 1973-325354	19730122
	DK 135718		10000	GB 1972-4505	19720131
•	D.C 155716	В	19770613	DK 1973-4320	19730807
				US 1970-20841	19700318
				US 1970-20842	19700318
1	OK 137958	В	19780612	DK 1971-999	19710304
	OK 137958	C	19780612		19730807
		-	T) / 0 T T / 0	HG 1070 05-11	•
					19700318
					19700318
Ţ	JS 3870718	A	19750311		19710304
				05 17/3-405114	19731010

				US 1970-20842	19700318
	JP 53127487	A2	10701105	US 1971-207534	19711213
	JP 55004749	B4	19781107 19800131	JP 1978-48319	19780422
		2.	17000131	US 1970-208417	10700310
				US 1970-208417	19700318
	JP 53127486	A2	19781107	JP 1978-48318	19700318 19780422
	JP 55004748	B4	19800131	== 10 10010	13700422
				US 1970-208417	19700318
	NL 7808009	75	105011	US 1970-20842	19700318
	7000009	A	19781130	NL 1978-8009	19780728
				US 1970-20841	19700318
	NL 7808008	A	19781130	US 1970-20842	19700318
			17/01130	NL 1978-8008	19780728
				US 1970-20841 US 1970-20842	19700318
FAI				05 1970-20842	19700318
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2215224				
<i>P</i> 1	DE 2215231	A	19721207	DE 1972-2215231	19720329
	US 3818007	70	100.000	US 1971-135792	19710420
	05 3010007	A	19740618	US 1971-135792	19710420
	GB 1377306	А	10741011	US 1970-20841	19700318
		A	19741211	GB 1972-4505	19720131
	SE 394279	В	19770620	US 1971-135792	19710420
			13770620	SE 1972-3794	19720323
	ZA 7202025	A	19721227	US 1971-135792 ZA 1972-2025	19710420
	•			US 1971-135792	19720324
	CA 982133	A1	19760120	CA 1972-138047	19710420 19720324
				US 1971-135792	19710420
	DK 142849	В	19810209	DK 1972-1493	19720328
	DK 142849	C	19810928		10,200
	BE 781363	7.4	1070000	US 1971-135792	19710420
	22 701303	A4	19720929	BE 1972-3905	19720329
				BE 1971-764088	19710311
	AT 318617	В	19741111	US 1971-135792	19710420
		_	10/41111	AT 1972-2749	19720329
	ES 401333	A2	19750316	US 1971-135792 ES 1972-401333	19710420
				US 1971-135792	19720329
	FI 54473	С	19781211	FI 1972-883	19710420 19720329
	NT - 500 - 10 - 1			US 1971-135792	19710420
	NL 7204391	A	19721024	NL 1972-4391	19720330
	FR 2133597			US 1971-135792	19710420
	FR 2133597 FR 2133597	A6	19721201	FR 1972-11322	19720330
	rk 2133397	B2	19751226		
	US 3841254	A	1074101	US 1971-135792	19710420
	3011254	A	19741015	US 1973-325354	19730122
	JP 55062074	A2	19900510	GB 1972-4505	19720131
	JP 56000431	B4	19800510 19810108	JP 1979-117177	19790912
_			->010100	US 1971-135792	10710.55
FAN	1975:428285			03 19/1-135/92	19710420
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	λm 215166				DATE
E.T	AT 315188	В	19740510	AT 1973-1056	19710305
				US 1970-20841	19700318

09483504	. 7	Page	124

0,10	3301.7		1090 101		
	CA 942309	A1 ·	19740219	CA 1971-107113	
	US 3841254	A	19741015	US 1970-20841 US 1973-325354	19700318 19730122
				GB 1972-4505	19720131
	DK 13571.8	В	19770613	DK 1973-4320	19730807
				US 1970-20841	19700318
				US 1970-20842 DK 1971-999	19700318 19710304
	DK 137958	В	19780612	DK 1971-333 DK 1973-4321	19730807
	DK 137958	Ċ	19781106	J. 19.0 1001	23,3000,
				US 1970-20841	19700318
				US 1970-20842	19700318
			•	DK 1971-999	19710304
FAN	1976:17427	KIND	DAMD	A DDI T CAMITONI NO	DAME
		KIND	DATE	APPLICATION NO.	DATE
ΡI		Α			19730913
				US 1970-20841 US 1971-135792	
				US 1971-135792	19710420
	US 3818007	Α	19740618	US 1971-135792	
				US 1970-20841	
	BE 781363	A4	19720929	BE 1972-3905	19720329
				BE 1971-764088	19710311
	110 2041254	7	10741015	US 1971-135792	19710420
	US 3841254	A	19741015 .	US 1973-325354 GB 1972-4505	19730122 19720131
	DK 135718	В	19770613	DK 1973-4320	19730807
	DR 155710	D	17//0013	US 1970-20841	19700318
				US 1970-20842	19700318
				DK 1971-999	19710304
	DK 137958	В	19780612	DK 1973-4321	19730807
	DK 137958	С	19781106		
				US 1970-20841	19700318
				US 1970-20842	19700318
FAN	1076.50564			DK 1971-999	19710304
LAM	1976:59564 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 3915975	Α	19751028	US 1973-397162	19730913
				US 1970-20841	
	110 2010007	7.	10740610	US 1971-135792	19710420
	US 3818007	A	19740618	US 1971-135792 US 1970-20841	19710420 19700318
	BE 781363	A4	19720929	BE 1972-3905	19720329
	DB 701303	71-1	17/20727	BE 1971-764088	19710311
•				US 1971-135792	19710420
	US 3841254	Α	19741015	US 1973-325354	19730122
			,	GB 1972-4505	19720131
	DK 135718	В	19770613	DK 1973-4320	19730807
				US 1970-20841	19700318
				US 1970-20842	19700318
	DV 120050	_	10700670	DK 1971-999	19710304
	DK 137958	В	19780612	DK 1973-4321	19730807
	DK 137958	С	19781106	HC 1070 20041	10700210
				US 1970-20841 US 1970-20842	19700318 19700318
				DK 1971-999	19710318
	US 4007184	Α	19770208	US 1975-621219	19751009
				 	

US 1970-20841 19700318 US 1971-135792 19710420 US 1973-397162 19730913

IT 62730-73-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of)

62730-73-8 CAPLUS RN

2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, 2-(acetyloxy)ethyl CN ester, 1,4-dioxide (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^{1} \xrightarrow{\stackrel{O}{N}} \mathbb{C}^{O_{2}R}$$

Quinoxalinecarboxylates I (R = substituted alkyl, R1 = H, Cl) (30 compds.) AB were prepd. Thus, benzofuroxan was condensed with AcOCH2CH2O2CCH2COMe to give I (R = AcOCH2CH2, R1 = H), which had min. inhibitory concns. against Staphylococcus aureas and EScherichia coli 12.5 and 50, resp., and at 50 g/ton in swine feed gave 53% wt. gain over controls.

ANSWER 66 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1977:114992 CAPLUS

DN 86:114992

ΤI Nitrones. 7. .alpha.-Quinoxalinyl-N-substituted nitrone 1,4-dioxides

ΑU Kim, Hyun K.; Miller, Laird F.; Bambury, Ronald E.; Ritter, Harry W.

Merrell-Natl. Lab. Div., Richardson-Merrell, Inc., Cincinnati, OH, USA CS

Journal of Medicinal Chemistry (1977), 20(4), 557-60 SO

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LΑ English

ΙT 52736-71-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidn. of)

RN 52736-71-7 CAPLUS

Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} \text{Cl} & \text{Me} \\ \\ \text{Cl} & \text{Me} \end{array}$$

IT 32020-58-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and bactericidal activity of)

RN 32020-58-9 CAPLUS

Methanamine, N-[(6,7-dichloro-3-methyl-1,4-dioxido-2-CN quinoxalinyl) methylene] -, N-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O & O \\ \hline & N & CH & N-Me \\ \hline & N & Me \\ \hline & O & Me \\ \end{array}$$

IT 62018-39-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN62018-39-7 CAPLUS

Quinoxaline, 6,7-dichloro-2,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{\text{N}}{\bigvee}} \text{Me} \\ \\ \text{Cl} & \overset{\text{N}}{\underset{\text{O}}{\bigvee}} \text{Me} \end{array}$$

ΙT 62018-44-4

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with methyl hydroxylamine, nitrone from)

RN 62018-44-4 CAPLUS

2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

GΙ

$$\begin{array}{c} R3 & O \\ N & CH = N(O)R1 \\ N & R2 \end{array}$$

A series of 25 title compds. (I : R1 = Me, Et, Ph, substituted alkyl or AΒ aryl, cyclohexyl, heterocycle; R3 = H, Me; R3 = H, Me, OMe, CF3, Cl, NO2; R4 = H, C1) were prepd. by condensation of the appropriate carboxaldehyde with an N-substituted hydroxylamine. The compds. had weak in vitro activity against gram-neg. and gram-pos. bacteria compared to in vivo activity. The most active compd., in vivo, was .alpha.-(3-methyl-2quinoxalinyl)-N-methylnitrone 1,4-dioxide (II) [32160-34-2], with activity comparable to or greater than chloramphenicol or nifuratrone in most cases and lower toxicity. All variations from the structure of II led to decreased activity expecpt for .alpha.-(3,7-dimethyl-2-quinoxalinyl)-Nmethylnitrone 1,4-dioxide [62018-32-0], which had activity comparable to II. The compds. required the 1,4-dioxide substituents for activity. Only II showed exceptional activity against Proteus vulgaris and Salmonella schottmuelleri.

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ANSWER 67 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
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T

AN 1976:560164 CAPLUS

DN 85:160164

ΤI Improvements in or relating to 1-hydroxy-3-oxo-benzimidazoles, quinoxaline-di-N-oxides and benzimidazole-mono- and di-N-oxides PA

Research Corp., USA

Brit. Amended, 35 pp. Addn. to Brit. 1,215,815. SO CODEN: BSXXAH

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE -------------------PΙ GB 1308370 19760122

US 1969-883577 19691209 IT 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (antimicrobial agent, prepn. of)

RN 31683-03-1 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{\text{N}}{\bigcup}} & \overset{\text{O}}{\underset{\text{C-NH}_2}{\bigcup}} \\ \text{Cl} & \overset{\text{N}}{\underset{\text{O}}{\bigcup}} & \overset{\text{O}}{\underset{\text{N}}{\bigcup}} \\ \text{Me} \end{array}$$

RN 31683-07-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \bigcirc & \bigcirc \\ \parallel & \parallel \\ \text{N} & \square \\ \text{Cl} & \parallel \\ & \bigcirc \\ \text{Me} & \parallel \\ & \bigcirc \\ \end{array}$$

RN 31683-12-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

GI

Nineteen 1-hydroxy-3-oxobenzimidazoles I [R = H, alkyl, (CH2)2CONH2, AB CO2Et; R1 = C1, F, OMe, Me, CF3, SO2NH2, SO2NHMe, SO2NMe2], 213 quinoxaline di-N-oxides II [R = Me, alkoxycarbonyl, CO2Ph, CO2C7H7 (C7H7 = cycloheptatrienyl), CN, Ph, dialkoxymethyl; R1 = COMe, alkoxycarbonyl, N-substituted carbamoyl, CONH2, OH, NH2, sulfoalkyl; RR1 = monosubstituted alkylene, (CH2)nX(CH2)m (n = 0, 1; m = 2, 3; X = NH, NMe, NBu, NPh, NC7H7,O, S); R2, R3 = H, Me, alkoxy, halo, SO2NH2, SO2NHMe, SO2NMe2; R3 = CF3], and 19 benzimidazole di-N-oxides III [R = Me, Et; R1 = Me, Et, CH2Cl, CH2Br, CH2OH, CH2NEt2; RR1 = (CH2)5; R2 = H, halo, OMe, CF3; SO2NH2, SO2NHMe, SO2NMe2], useful as antimicrobial agents, were prepd. from benzofuroxans by treatment with RCH2NO2, RCOCH2R1, and RCHR1NO2, resp. Thus, II (R = Me, R1 = COMe, R2 = R3 = H) was prepd. by stirring benzofuroxan with equimolar (MeCO) 2CH2 and PrNH2 in THF overnight at room temp. The antimicrobial activities of I, II, and III were assessed in vivo and in vitro.

ANSWER 68 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1976:559031 CAPLUS AN

DN 85:159031

Photolysis of some quinoxaline 1,4-dioxides. A method of structural ΤI assignment

Jarrar, Adil A.; Halawi, Safi S.; Haddadin, Makhluf J. ΑU

Dep. Chem., Am. Univ. Beirut, Beirut, Lebanon CS

SO Heterocycles (1976), 4(6), 1077-82 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LΑ English

IT 60680-42-4

RL: RCT (Reactant); RACT (Reactant or reagent) (photolytic rearrangement of, structure in relation to)

RN 60680-42-4 CAPLUS

Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) CN(CA INDEX NAME)

GI

AB Structural assignment of I (R = Me, Et, Ph; R1 = Et, Ph, Me2CH, Me3C; R2 = H, Me, Cl, CF3; R3 = H, Me, MeO, Cl, CF3) was made on the basis of the NMR spectra of the photolytic rearrangement products II.

L4 ANSWER 69 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1976:181595 CAPLUS

DN 84:181595

TI Cyclization of quinonylmethane dyes and analogous merocyanines. 4. Dihydroanthracenophenazinones

AU Schelz, Dieter; Priester, Martin

CS Inst. Farbenchem., Univ. Basel, Basel, Switz.

SO Helvetica Chimica Acta (1976), 59(2), 688-92 CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA German

IT 52765-68-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with chloroanthracenedione derivs. in presence of base)

RN 52765-68-1 CAPLUS

CN Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 52765-67-0 CMF C11 H11 C12 N2

CM 2

CRN 14797-73-0 Cl 04 CMF

GI

$$\begin{array}{c} R3 \\ R4 \\ R1 \\ R2 \\ C1 \end{array}$$

Dihydroanthraceno[1,2-b]phenazinones (I, R, R1 = H, Me, Cl; R2 = Me, Et, AΒ cyclohexyl, p-O2NC6H4CH2; R3, R4 = H, Cl) were prepd. by reaction of 1-R2-2,3-dimethylquinoxalinium perchlorate derivs. with 2,3-dichloro-1,4-anthraquinone [14681-17-5] or 2,3,5,8-tetrachloro-1,4anthraquinone (II) [59118-01-3] and cyclization of the intermediate (quinoxalinylidenemethyl)anthraquinones. Visible, mass, and NMR spectra of I were given. II was prepd. by chlorination of 1,4-anthraquinone [635-12-1] in boiling HOAc in the presence of iodine.

Ι

ANSWER 70 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1976:137227 CAPLUS

DN 84:137227

ΤI Chromogenic quinoxaline compounds

IN Farber, Sheldon

PA NCR Corp., USA

Ger. Offen., 26 pp. Addn. to Ger. Offen. 2,259,409. SO

CODEN: GWXXBX

DT Patent

LΑ German

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2520148 DE 2520148	A1 C2	19760122 19870903	DE 1975-2520148	19750506
	GB 1458178	Α	19761208	US 1974-468112 GB 1975-13887 US 1974-468112	19740508 19750404 19740508

PATENT FAMILY INFORMATION:

FAN	1977:440743 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4020068	A	19770426	US 1975-554257	 19750228
	GB 1458178	A	19761208	US 1974-468112 GB 1975-13887	19740508 19750404
	JP 51010835 JP 55031757	A2 B4	19760128 19800820	US 1974-468112 JP 1975-50191	19740508 19750424
ד ידי	50004 00			US 1974-468112 US 1975-554257	19740508 19750228

IT 58824-88-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with trimethylpyrrole)

RN 58824-88-7 CAPLUS

2,3-Quinoxalinedicarboxylic acid, 6,7-dichloro- (9CI) (CA INDEX NAME) CN

$$C1$$
 N
 $C0_2H$
 $C1$
 N
 $C0_2H$

GI

- Furoquinoxalines (I, R, R1 = H, Me, C1; R2, R3 = 1-isopentyl-2-methylindol-AΒ 3-yl; 4-Me2NC6H4 derivs., 1,2,5-trimethylpyrr-3-yl) were prepd. and were used as color formers for pressure-sensitive copying paper giving orange to blue shades in contact with an acidic substrate. Thus, 2,3-quinoxalinedicarboxylic anhydride [5660-34-4] was condensed with m-MeC6H4NEt2 (II) [91-67-8] in CH2Cl2 in the presence of AlCl3 to give 2-[2-methyl-4-(diethylamino)benzoyl]-quinoxalinecarboxylic acid [58824-81-0] which was condensed with II in HOAc to give I(R = R1 = H, R2)= R3 = 2,4-Me(Et2N)C6H3) [58824-92-3], brilliant green in contact with an acidic substrate. The other I were similarly prepd.
- ANSWER 71 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- 1975:589141 CAPLUS AN
- DN 83:189141
- ΤI Fungicidal composition
- ΑU
- CS Fisons Ltd., Ipswich/Suffolk, UK
- SO Research Disclosure (1974), 127, 23 CODEN: RSDSBB; ISSN: 0374-4353

DT Journal LA English IT 3495-42-9

RL: BIOL (Biological study) (cereal fungicide)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ C1 \end{array}$$

GI For diagram(s), see printed CA Issue.

AB A compn. of manganese ethylenebis(dithiocarbamate) [12427-38-2] and/or zinc ethylenebis(dithiocarbamate) [12122-67-7] with 5,6,7,8-tetrachloroquinoxaline (I) [3495-42-9] is a fungicide suitable for cereals.

L4 ANSWER 72 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1975:57737 CAPLUS

DN 82:57737

TI Pesticidal 2-[(trifluoromethyl)imino]-1,3-dithiolo[4,5-b]quinoxalines

IN Buettner, Gerhard; Sasse, Klaus; Hammann, Ingeborg; Kaspers, Helmut

PA Bayer, A.-G.

SO Ger. Offen., 27 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN CNT 1

FAN.	CNT I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2322434	A1	19741121	DE 1973-2322434	19730504
	US 3932406	A	19760113	US 1974-463642	19740423
				DE 1973-2322434	19730504
	BE 814386	A1	19741030	BE 1974-143774	19740430
				DE 1973-2322434	19730504
	NL 7405846	Α	19741106	NL 1974-5846	19740501
				DE 1973-2322434	19730504
	BR 7403564	· A0	19741126	BR 1974-3564	19740502
				DE 1973-2322434	19730504
	JP 50013396	A2	19750212	JP 1974-48914	19740502
				DE 1973-2322434	19730504
	JP 50013532	A2	19750213	JP 1974-48915	19740502
				DE 1973-2322434	19730504
	DD 113546	C	19750612	DD 1974-178253	19740502
				DE 1973-2322434	19730504
	CH 562825	Α	19750613	CH 1974-6009	19740502
				DE 1973-2322434	19730504
	FR 2228066	A1	19741129	FR 1974-15474	19740503
				DE 1973-2322434	19730504
	GB 1411213	Α	19751022	GB 1974-19533	19740503

DE 1973-2322434 19730504

IT 55295-04-0

RL: PROC (Process)

(cycloaddn. of, with perfluoroazapropene)

RN 55295-04-0 CAPLUS

CN 2,3-Quinoxalinedithione, 6,7-dichloro-1,4-dihydro- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Thirteen imines I (Rn = e.g. H, 5- or 6-Me or -Cl, 6-F3C, 6-MeCO, 6-O2N, 6-MeO, 6,7- or 6,8-Cl2, or 6,8-Me2) were prepd. and(or) used as acaricides, fungicides, and insecticides. Thus, 6-chloro-2,3-dimercaptoquinoxaline in DMF contg. Et3N reacted with F2C:NCF3 at room temp. to give 75% I (Rn = 6-Cl).

L4 ANSWER 73 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1975:16786 CAPLUS

DN 82:16786

TI Reaction of benzofurazan oxides with benzofuran-3(2H)-ones, and a new synthesis of benzofuro[2,3-b]quinoxalines

AU Zamet, Jean J.; Haddadin, Makhluf J.; Issidorides, Costas H.

CS Dep. Chem., Am. Univ. Beirut, Beirut, Lebanon

Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (14), 1687-91 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

IT 54450-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

RN 54450-25-8 CAPLUS

CN Phenol, 2-(6,7-dichloro-4-oxido-2-quinoxalinyl)- (9CI) (CA INDEX NAME)

IT 54450-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 54450-26-9 CAPLUS

CN Phenol, 2-(6,7-dichloro-4-oxido-2-quinoxalinyl)-, acetate (ester) (9CI) (CA INDEX NAME)

GΙ For diagram(s), see printed CA Issue.

Benzofuran 1-oxide (I) with benzofuran-3(2H)-ones gave 55-80% quinoxaline AB oxides which cyclized to benzofuroquinoxalines. E.g., I with benzofuranone II gave 80% III which cyclized to give 70% IV. The benzofurazan oxides V and VI reacted similarly. The benzofuranones were substrates and reductants.

ANSWER 74 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 1975:5346 CAPLUS

DN 82:5346

ΤI Ring closing in quinonylmethane dyes and merocyanine analogs. 1. Substituted dihydronaphtho[1,2-b]phenazinones as a new type of percyclic merocyanine

ΑU Schelz, Dieter

Inst. Farbenchem., Univ. Basel, Basel, Switz. CS

Helvetica Chimica Acta (1974), 57(4), 1075-85

CODEN: HCACAV; ISSN: 0018-019X

DTJournal

LΑ German

IΤ 52765-68-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 52765-68-1 CAPLUS

Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CI) (CA INDEX CN

CM1

CRN 52765-67-0 CMF C11 H11 C12 N2

CM

CRN 14797-73-0 CMF Cl O4

IT 52736-71-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(quaternization of)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Dihydronaphtho[1,2-b]phenazinone dyes [I R = H, Me, Cl; R1 = Me, Et; (RR) = benzo] were pred. by cyclization of the corresponding [(1-alkyl-3-methyl-2-quinoxalinylidene)methyl]naphthoquinones. The visible and the H NMR spectra were discussed. Thus, 1,2,3-trimethylquinoxalinium perchlorate was treated with 2,3-dichloro-1,4-naphthoquinone in the presence of 1,4-diazabicyclo[2.2.2]octane to give 2-chloro-3-[(1,3-dimethyl-1,2-dihydro-2-quinoxalinylidene)methyl]-1,4-naphthoquinone and cyclization in the presence of HOAc and pyridine gave naphthophenazinone dye I(R = H, R1 = Me) [52736-89-7].

L4 ANSWER 75 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1974:491475 CAPLUS

DN 81:91475

TI 2-Methyl-3-phenylquinoxalines and their styryl derivatives

AU Mahajanshetti, C. S.; Bhat, G. A.

CS Dep. Chem., Karnatak Univ., Dharwar, India

SO Indian Journal of Chemistry (1974), 12(1), 54-6 CODEN: IJOCAP; ISSN: 0019-5103

DT Journal

LA English

IT 53399-28-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and condensation with benzaldehydes)

RN 53399-28-3 CAPLUS

CN Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-methyl- (9CI) (CA INDEX NAME)

ΙT 53399-30-7P 53399-32-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 53399-30-7 CAPLUS

Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-(2-phenylethenyl)-, (E)-CN(CA INDEX NAME)

Double bond geometry as shown.

RN 53399-32-9 CAPLUS

Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-[2-(4-nitrophenyl)ethenyl]-(9CI) (CA INDEX NAME)

$$C1$$
 N
 R
 CH
 CH
 NO_2

GI For diagram(s), see printed CA Issue.

2-Methyl-3-(4-bromophenyl) quinoxalines I (R = Me; R1 = H, Cl, Me; R2 = H,AΒ Cl, Me, NO2; R3 = Br) were prepd. by condensation of appropriate 1,2-diaminoben-zenes with 1-phenyl-1,2-propanediones. 1,2-Diamino-4-nitro-benzene gave a mixt. of I (R = Me, R1 = H, R2 = NO2, R3 = Br; R = Me, R1 = NO2, R2 = H, R3 = Br). 2-Styryl derivs. I (R = PhCH2:CH2, p-O2NC6H4CH:CH2) were prepd. by con-densation of I (R = Me) with PhCHO and p-O2NC6H4CHO, resp. The 2-styryl derivs. possess a trans configuration.

ANSWER 76 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1974:400487 CAPLUS

DN 81:487

Use of fungicides to control powdery mildew on spring barley ΤI

AU Mundy, E. J.; Page, R. A.

CS Norfolk Agric. Stn., Motley St. Botolph/Wymondham/Norfolk, UK SO

Experimental Husbandry (1973), No. 24, 94-104 CODEN: EXHUAU; ISSN: 0071-3414

DT Journal T.A English

ΙT 3495-42-9

RL: BIOL (Biological study)

(powdery mildew control by, on barley)

RN 3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

The powdery mildew of barley, caused by Erisiphe graminis was controlled AΒ in field expts. by seed dressing with ethirimol [23947-60-6] or benomyl (I) [17804-35-2], or by foliar sprays of ethirimol, I, tridemorph [24602-86-6], chloraniformethan [20856-57-9] or tetrachloroquinoxaline [3495-42-9]. Ethirimol was more effective as a seed dressing than it was as a foliar spray. The fungicides improved the grain size of 1 variety, but had no effect on the grain N content.

ANSWER 77 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1974:104869 CAPLUS

DN 80:104869

Fungicidal tetrachloroquinoxaline preparations ΤI

Barker, Christopher Holroyd; Evans, Elfeld; Gillings, Christopher IN

PA Fisons Ltd.

Ger. Offen., 10 pp. SO

CODEN: GWXXBX

DT Patent

LΑ German

FAN CNT 1

FAN	.CNT I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2324113				
LI	DE 2324113	A1	19731213	DE 1973-2324113	19730512
				GB 1972-23229	19720517
	FR 2184831			GB 1972-23230	19720517
	FR 2104031	A1	19731228	FR 1973-17456	19730515
				GB 1972-23229	19720517
	BE 799625			GB 1972-23230	19720517
	DE 799625	A1	19731116	BE 1973-131194	19730516
				GB 1972-23229	19720517
	NL 7306808			GB 1972-23230	19720517
	MD /306606	A	19731120	NL 1973-6808	19730516
				GB 1972-23229	19720517
ΙT	3495_42_0			GB 1972-23230	19720517

IΤ

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicide)

RN 3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ C1 \end{array}$$

AB Fungicidal prepns. contg. 10-80% 5,6,7,8-tetrachloroquinoxaline [3495-42-9] as active component and 2.5-40% Pluronic L 61 (ethylene oxide-polypropylene glycol condensation product) [9003-11-6] as wetting agent and solid carrier (Ca silicate, kaolin, and Na cresolsulfonateformaldehyde condensation product) were reported. Thus, spraying of barley, in the greenhouse, with a suspension made from a wettable powder contg. 5,6,7,8-tetrachloroquinoxaline 25, Pluronic L 61 12.5, Ca silicate 12.5, Na cresolsulfonate-H2CO condensation product 5, and kaolin 45%, at 560 g active ingredient/ha, 97% controlled Erysiphe graminis.

ANSWER 78 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1974:27291 CAPLUS AN

80:27291 DN

ΤI Antimicrobial 2-quinoxalinecarboxamide 1,4-dioxides

ΙN Abu El-Haj, Marwan J.

PΑ Pfizer Inc.

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DTPatent

LΑ German

FAN.	CNT 1			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	DE 2316765	7 1	19731115	DE 1072 2216765 10720404
PI	DE 2310/03	A1	19/31115	DE 1973-2316765 19730404 US 1972-249373 19720501
	SE 405853	С	19790419	SE 1973-4084 19730322
	SE 405853	В	19790108	3E 1973-4004 19730322
	3E 403033	ь	19/90106	US 1972-249373 19720501
	GB 1432443	Α	19760414	GB 1973-14518 19730326
	GD 1432443	Λ.	19700414	US 1972-249373 19720501
	CA 1002047	A1	19761221	CA 1973-167369 19730328
	CA 1002047	N.	19/01221	US 1972-249373 19720501
	FI 55505	С	19790810	FI 1973-1052 19730405
	FI 55505	В	19790430	11 1973-1032 19730403
	11 33303	ט	17/70430	US 1972-249373 19720501
	ZA 7302418	Α	19740227	ZA 1973-2418 19730409
	221 7502110	21	17/1022/	US 1972-249373 19720501
	IN 139311	Α	19760605	IN 1973-CA829 19730409
	11. 13,551	••	19.00003	US 1972-249373 19720501
	BE 797983	A1	19731010	BE 1973-1004953 19730410
		•••	17/31010	US 1972-249373 19720501
	NL 7305048	Α	19731105	NL 1973-5048 19730411
				US 1972-249373 19720501
	FR 2182957	A1	19731214	FR 1973-13108 19730411
				US 1972-249373 19720501
	ES 413579	A1	19760116	ES 1973-413579 19730411
	_ · · · · ·			

AT 7303210	7	10760455		1972-249373	19720501
	A	19760415	AT	1973-3210	19730411
AT 333767	В	19761210			
			US	1972-249373	19720501
DK 143336	В	19810810		1973-1966	19730411
DK 143336	С	19811207	2.0	17/3 1700	13/30411
	_	17011207			
JP 49024980	• •		US	1972-249373	19720501
	A2	19740305	JP	1973-40917	19730412
JP 57026275	B4	19820603			
			HS	1972-249373	19720501
CH 568307	Α	19751031			
	••	17/31031		1975-7074	19730412
CH 568988				1972-249373	19720501
Cn 366988	A	19751114	CH	1973-5263	19730412
			US	1972-249373	19720501
PL 96591	P	19780131		1973-161873	19730412
				1972-249373	
NO 139173	С	19790117			19720501
NO 139173			NO	1973-1525	19730412
140 1391/3	В	19781009			
			US	1972-249373	19720501
51168_80_0D E1160	00 01		_	· - · -	0001

IT 51168-89-9P 51168-90-2P 51168-91-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 51168-89-9 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 51168-90-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 51168-91-3 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Twenty quinoxaline derivs. [I; R = C1-4 alkyl, (CH2)2OH, (CH2)2NMe2; X = C1-4 alkyl, (CH2)2NMe2; AB H, Cl; Y = H, Cl, F, Br], useful as antimicrobial agents, were prepd. in 5-60% yield by reaction of the benzofuroxans II with MeCOCO2Me and RNH2.

ANSWER 79 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1973:432009 CAPLUS ΑN

DN 79:32009

Quinoxaline derivatives. XI. Reaction of quinoxaline 1,4-dioxide and TIsome of its derivatives with acetyl chloride

Ahmad, Yusuf; Habib, M. S.; Qureshi, M. Ikram; Faroogi, M. A. ΑU CS

Chem. Res. Div., Pakistan Counc. Sci. Ind. Res. Lab., Karachi, Pak. SO

Journal of Organic Chemistry (1973), 38(12), 2176-9 CODEN: JOCEAH; ISSN: 0022-3263

DTJournal

LΑ English

IT 19853-64-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

Quinoxaline 1,4-dioxide with AcCl gives 6-chloroquinoxaline 1-oxide (I). AB On heating, and progressively increasing the time of reaction, the yield of I increases, and 3-chloroquinoxaline 1-oxide, and 6.7dichloroquinoxaline appear as addnl. products. 7-Ethoxy-, 7-methoxy-, 7-methylquinoxaline 1,4-dioxides show a similar behavior, giving corresponding 6-chloro, and 3-chloro derivs. as main products. Further increase in the reaction time results in the formation of 2,6-dichloro and 2,3-dichloro compds. as addnl. products. However, none of the 2-chloro 4-oxide derivs. were isolated. The mechanisms for these transformations were proposed and discussed.

ANSWER 80 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1973:159667 CAPLUS AN

DN 78:159667

TIPesticidal 2-aminoquinoxaline derivatives

Sasse, Klaus; Hammann, Ingeborg; Unterstenhoefer, Guenter; Frohberger, IN

Paul Ernst

PA Bayer A.-G.

SO Ger. Offen., 25 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN	.CNT 1 PATENT NO.	WEND			
	FAIENI NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2144879	A1	19730315	DE 1971-2144879	10510000
	US 3850925	A	19741126	US 1971-2144879	19710908
	_		10/11/20	DE 1971-2144879	19720828
	DD 101402	С	19731112	DD 1972-165391	19710908
		_	10,01112	DE 1971-2144879	19720901
	NL 7212079	А	19730312	NL 1972-12079	19710908
			17,50512	DE 1971-2144879	19720905
	IL 40298	A1	19750625	IL 1972-40298	19710908
				DE 1971-2144879	19720905
	BE 788451	A1	19730306	BE 1972-121718	19710908
				DE 1971-2144879	19720906
	IT 967203	A	19740228	IT 1972-28881	19710908
				DE 1971-2144879	19720906 19710908
	AU 7246367	A1	19740314	AU 1972-46367	19710908
				DE 1971-2144879	19720908
	ZA 7206117	A	19730530	ZA 1972-6117	19720907
				DE 1971-2144879	19710908
	HU 165297	P	19740828	HU 1972-BA2801	19720907
				DE 1971-2144879	19710908
	DK 131414	В	19750714	DK 1972-4420	19720907
	TD 045000			DE 1971-2144879	19710908
	FR 2152232	A 5	19730420	FR 1972-31960	19720908
	TD 4000.44-			DE 1971-2144879	19710908
	JP 48034185	A2	19730516	JP 1972-89636	19720908
	TD 4000=040			DE 1971-2144879	19710908
	JP 48035040	A2	19730523	JP 1972-89637	19720908
	CD 1247610	_		DE 1971-2144879	19710908
	GB 1347613	A	19740220	GB 1972-41812	19720908
	AT 321642	_		DE 1971-2144879	19710908
	VI 271047	В	19750410	AT 1972-7748	19720908
Т	41213-20-1P 412	112 21 2-		DE 1971-2144879	19710908

IT 41213-20-1P 41213-21-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 41213-20-1 CAPLUS

CN· 2-Quinoxalinamine, 6,7-dichloro-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 41213-21-2 CAPLUS

CN 2-Quinoxalinamine, N,N-dibutyl-6,7-dichloro- (9CI) (CA INDEX NAME)

For diagram(s), see printed CA Issue. GI

Twenty-two title compds. [I, Rn = H, 6-Cl, 6-CF3, or 6,7-Cl2; R1 = NHEt, NHCHMe2, NEt2, NBu2, NPr2, or N(CH2CH:CH2)2] their salts, used as fungicides, acaricides, and insecticides were prepd. by reaction of I (R1 = Cl) with the appropriate amines. Thus, heating I (Rn = H, Rl = Cl) and Pr2NH in dioxane 3 hr at 150.degree. gave 86% I (Rn = H, R1 = NPr2).

ANSWER 81 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1973:147994 CAPLUS

DN78:147994

1-Hydroxy-3-oxobenzimidazoles, quinoxaline di-N-oxides, and benzimidazole ΤI mono- and di-N-oxides

Research Corp. PΑ

Brit., 36 pp. Addn. to Brit. 1,215,815 (CA 74; 141873b). SO CODEN: BRXXAA

DTPatent

LΑ English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1308370	 А	19730228	GB 1970-47202	19701005
	US 4343942	A	19820810	US 1969-883577 A US 1969-883577 US 1966-592729 A2	19691209
PATE	NT FAMILY INFORMA	TET ON		NL 1967-14882 A US 1967-691252 A2	19671102

PATENT FAMILY INFORMATION.

FAN	1969:57899	4.1.1 ON:		
	DAMESTE	KIND	DATE	APPLICATION NO. DATE
ΡI	GB 1134729	A	19681127	GB 1967-28313 19670620
	DK 137493	С	19780828	US 1966-592729 A 19661108 DK 1967-5535 19671107
	SE 402289	С	19781005	US 1966-592729 A 19661108 SE 1973-11829 19730830
	DK 7800142	A	19780112	US 1966-592729 A 19661108 DK 1978-142 19780112
FAN	1983:4563			US 1966-592729 A 19661108 DK 1967-5535 A 19671107
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 4343942	A	19820810	US 1969-883577 19691209 US 1966-592729 A219661108
	CA 923131	A1	10720220	NL 1967-14882 A 19671102 US 1967-691252 A219671218
		ΑI	19730320	CA 1967-4478 19671107 US 1966-592729 A 19661108
	GB 1308370	A	19730228	US 1969-883577 A 19691209 CA 1970-923131 A519701118 GB 1970-47202 19701005

NL 157302	В	19780717	US 1969-883577 A 19691209 NL 1972-8887 19720628
			US 1966-592729 A 19661108 NL 1967-14882 A319671102
DK 7800142	Α	19780112	DK 1978-142 19780112
			US 1966-592729 A 19661108
US 4866175	7	10000010	DK 1967-5535 A 19671107
00 1000175	Α	19890912	US 1979-29344 19790412
			US 1966-592729 A219661108
			US 1967-691252 A219671218
			US 1969-883577 A319691209
24.600			US 1977-843510 A119771008

ΙT 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 31683-03-1 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} \\ \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} \\ \text{Me} & \overset{\circ}{\underset{N}{\bigvee}} \end{array}$$

RN31683-07-5 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, CN 9CI) (CA INDEX NAME)

RN 31683-12-2 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide CN (8CI, 9CI) (CA INDEX NAME)

GΙ For diagram(s), see printed CA Issue.

AB The title compds., useful in the control of pathogenic microorganisms, were prepd. from benzofuroxans and compds. contq. activated methylene groups. Specific bases used for certain reactants were described. E.g. stirring 6.8 g benzofuroxan, 5.0 g MeCOcH2C:OMe, and 2.96 g PrNH2 in THF overnight gave 0.33 g 2-methyl-3-acetylquinoxaline di-N-oxide. Forty-nine of the quinoxaline oxides (I, R, R1 = H, OMe, CF3, Me, halogen, SO2NH2 and derivs.; R2, R3 = H, alkyl) were similarly prepd. from equimolar amts. of benzofuroxan and MeCOCH2- CONR2R3 in THF contg. Et2NH.

L4ANSWER 82 OF 100 CAPLUS COPYRIGHT 2003 ACS

1973:68233 CAPLUS AN

78:68233 DN

5,6,7,8-Tetrachloroquinoxaline-containing fungicides ΤI

PA Fisons Ltd.

Fr. Demande, 7 pp. SO

CODEN: FRXXBL

DTPatent

		KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2115204		19720707	FR 1971-41077	
				GB 1970-54763	19701118
	ZA 7107512	A	19720830	ZA 1971-7512	19711109
				GB 1970-54763	19701118
	BE 775312	A1	19720512	BE 1971-110483	19711112
				GB 1970-54763	
	NL 7115662	Α	19720523	NL 1971-15662	19711115
				GB 1970-54763	19701118
	IT 943656	Α	19730410	IT 1971-3	
				GB 1970-54763	19701118
	CH 546036	A	19740228	CH 1971-16704	•
				GB 1970-54763	
	DD 101275	С	19731112	DD 1971-159011	
		_		GB 1970-54763	
	HU 164603	P	19740328		
	~~	_		GB 1970-54763	
	CS 161052	Р	19750504		
PATE FAN	NT FAMILY INFORMA 1972:560986	TION:		GB 1970-54763	`19701118
	PATENT NO.		DATE		DATE
ΡI	DE 2157050		10720002		10711117
	DE 2137030	A	19/20003	GB 1971-2157050	
	ZA 7107512	Δ	19720830		
	AA /10/J12	^	17/20030	74 13/1-/217	13/11103

Patel

			GB 1970-54763	19701118
BE 775312	A1	19720512	BE 1971-110483	19711112
			GB 1970-54763	19701118
NL 7115662	Α	19720523	NL 1971-15662	19711115
			GB 1970-54763	19701118
IT 943656	Α	19730410	IT 1971-3	19711115
			GB 1970-54763	19701118
CH 546036	Α	19740228	CH 1971-16704	19711117
			GB 1970-54763	19701118
DD 101275	C	19731112	DD 1971-159011	19711118
			GB 1970-54763	19701118
HU 164603	P	19740328	HU 1971-FI499	19711118
			GB 1970-54763	19701118
CS 161052	P	19750504	CS 1971-8076	19711118
			GB 1970-54763	19701118

IT 3495-42-9

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(as fungicide, polymer synergists and stabilizer for)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ C1 \end{array}$$

AB A condensation product between ethylene oxide and poly(oxypropylene), such as Pluronic L61 [9003-11-6], enhanced the fungicidal effect and lengthened the shelf life of 5,6,7,8-tetrachloroquinoxaline (I) [3495-42-9]. Thus Erysiphe graminis on barley plants was controlled by a formulation contg. 5,6,7,8-tetrachloroquinoxaline 25, Pluronic L61 2.5, Na salt of a sulfonated condensation product between H2CO and an alkylphenol 5, and Kaolin 67.5% applied at 1.12 kg/ha.

L4 ANSWER 83 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1973:43525 CAPLUS

DN 78:43525

TI 2-(Dihalonitromethyl)quinoxalines

IN Gum, Wilson F., Jr.; Goralski, Christian T.

PA Dow Chemical Co.

SO U.S., 2 pp.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 3703515	Α	19721121	US 1970-94625	19701202
				US 1970-94625	19701202

IT 39481-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 39481-60-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(dibromonitromethyl) - (9CI) (CA INDEX NAME)

IT 39250-46-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with hypobromite)

RN 39250-46-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(nitromethyl)- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB 2-(Nitromethyl)quinoxalines (I, X = Cl, Br; R = H, Et, OMe, CF3, Me, CO2Na, Cl, OEt, Br; R1 = H, OMe, CF3, Me, Et, Cl) were prepd. Thus, 2-(nitromethyl)quinoxaline in CH2ClCH2Cl was treated with 4% NaOCl to give I (X = Cl, R = R1 = H). I have antimicrobial activity and are useful as germicides.

- L4 ANSWER 84 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1972:560986 CAPLUS
- DN 77:160986
- TI Wettable fungicidal compositions
- IN Barker, Christopher Holroyd; Evans, Elfed; Gillings, Christopher
- PA Fisons Ltd.
- SO Ger. Offen., 10 pp.

CODEN: GWXXBX

- DT Patent
- LA German

FAN. CNT 2

LWIN.	CN1 Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2157050	Α	19720803	DE 1971-2157050	19711117
				GB 1970-54763	19701118
	ZA 7107512	Α	19720830	ZA 1971-7512	19711109
				GB 1970-54763 [.]	19701118
	BE 775312	A1	19720512	BE 1971-110483	19711112
				GB 1970-54763	19701118
	NL 7115662	Α	19720523	NL 1971-15662	19711115
				GB 1970-54763	19701118
	IT 943656	Α	19730410	IT 1971-3	19711115
				GB 1970-54763	19701118
	CH 546036	Α	19740228	CH 1971-16704	19711117

Patel <4/4/2003>

	DD 1010=-			GB 1970-54763	19701118
	DD 101275	С	19731112	DD 1971-159011	19711118
	III. 164600			GB 1970-54763	19701118
	HU 164603	P	19740328	HU 1971-FI499	19711118
	00 161050			GB 1970-54763	19701118
	CS 161052	P	19750504	CS 1971-8076	19711118
מיזי אַ כו	NIT DANTI V INDONE			GB 1970-54763	19701118
FAN	ENT FAMILY INFORMA 1973:68233	TION:			
I.WIA	D.1	*****			
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2115204	A5	10700707		
	IN 2115204	AS	19720707	FR 1971-41077	
	ZA 7107512	A	10720020	GB 1970-54763	
	21 /10/512	А	19720830	ZA 1971-7512	19711109
	BE 775312	A1	19720512	GB 1970-54763	19701118
		AI	19/20512	BE 1971-110483	19711112
	NL 7115662	A	19720523	GB 1970-54763	19701118
	122002		19/20523	NL 1971-15662	19711115
	IT 943656	A	19730410	GB 1970-54763	19701118
		••	17/20410	IT 1971-3	19711115
	CH 546036	Α	19740228	GB 1970-54763	19701118
			19,10220	CH 1971-16704 GB 1970-54763	19711117
	DD 101275	С	19731112	DD 1971-159011	19701118
		•		GB 1970-54763	19711118
	HU 164603	P	19740328	HU 1971-FI499	19701118
				GB 1970-54763	19711118
	CS 161052	P	19750504	CS 1971-8076	19701118 19711118
				GB 1970-54763	19711118
IT	3495-42-9			- 12,0 J4,0J	13/01118

ΙT 3495-42-9

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicides, wettable formulations of)

3495-42-9 CAPLUS RN

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

- The title compns. contg. 5,6,7,8-tetrachloroquinoxaline (I) [AB 3495-42-9] were prepd. and used at 1.12 kg/224 1. H2O/ha as fungicides against mildew in barley fields. Thus, a mixt. contg. I 25.0, pluronic L 61 (ethylene oxide-polypropylene glycol copolymer of mol. wt. .sim.1750 contg. .sim.10% ethylene oxide) [9003-11-6] 2.5, Na salt of sulfonated alkylphenol-HCHO condensate 5.0, and kaolin 67.5% was ground, stored 3 months at 25.deg., and suspended in H2O to give a homogeneous dispersion.
- ANSWER 85 OF 100 CAPLUS COPYRIGHT 2003 ACS

ΑN 1972:419675 CAPLUS

DN 77:19675

Fungicidal 2,3-bis(bromomethyl)quinoxalines and their 1,4-dioxides ΤI

IN Lamb, Glentworth

American Cyanamid Co. PΑ

SO Ger. Offen., 21 pp. CODEN: GWXXBX

DT Patent

LΑ German

ፑልክ ርክጥ 1

FAN	.CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2140743	A	19720217	DE 1971-2140743	19710813
	ZA 7104758	A	19720426	US 1970-63594 ZA 1971-4758	19700813 19710719
	AU 7131478	A1	19730125	US 1970-63594 AU 1971-31478	19700813 19710721
	GB 1307204	Α	19730214	US 1970-63594 GB 1971-35801	19700813 19710729
	NL 7110997	A	19720215	US 1970-63594 NL 1971-10997	19700813 19710810
	FR 2104313	A5	19720414	US 1970-63594 FR 1971-29620	19700813 19710812
	BR 7105193	A0	19730410	US 1970-63594 BR 1971-5193	19700813 19710812
	BE 771315	A1	19720214	US 1970-63594 BE 1971-107052	19700813 19710813
ΙT	31030-64-5D			US 1970-63594	19700813

IΤ 31030-64-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN31030-64-5 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-5,6,7,8-tetrachloro- (8CI, 9CI) (CA CNINDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{CH}_2\text{Br} \\ \hline \\ \text{Cl} & \text{CH}_2\text{Br} \end{array}$$

GI For diagram(s), see printed CA Issue.

Five title compds. (I, Rn = H, 6-NO2, 6-MeO, 6-Cl, or 5,6,7,8-Cl4, Q = NAB or NO) were prepd. by reaction of RnC6H4-n(NH2)2-o with BrCH2COCOCH2Br (II) followed optionally by oxidn. and 8 I were used as fungicides in plants. Thus, II reacted with 3,4-(H2N)2C6H3NO2 in DMF at <37.degree. and then for 3 hr at 24 degree. to give I (Q = N, Rn = 6-NO2). I (Q = N, Rn = 6-NO2). 6-MeO) in AcOH was oxidized with 40% AcOOH for 70 hr at 55.degree. to give 67.5% I (Q = NO, Rn = 6-MeO). I (Q = N, Rn = H) (150 ppm) gave total protection of cucumber from Collectotrichum lagenarium, tomato from Phytophthora infestans, rice from Piricularia oryzae, and apple from Venturia inaequalis (apple scab).

09483504.7

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L4 ANSWER 86 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1972:140728 CAPLUS

DN 76:140728

TI Reactions of benzofurazan 1-oxides with enamines

AU Mufarrij, N. A.; Haddadin, M. J.; Issidorides, C. H.; McFarland, J. W.; Johnston, J. D.

CS Dep. Chem., Amer. Univ. Beirut, Beirut, Lebanon

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (7), 965-7 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

IT 35982-68-4P

RN 35982-68-4 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Twenty-three quinoxaline 1,4-dioxides were prepd. from the reaction between benzofurazan 1-oxides and morpholinoenamines; e.g. 80% 6,7,8,9,10,11-hexahydrocycloocta[b]quinoxaline 5,12-dioxide (I) was obtained from benzofurazan 1-oxide and 1-morpholino-1-cyclooctene in MeOH. Four quinoxaline 1,4-dioxides were prepd. from benzofuran 1-oxides and (MeCO) 2CH2 in NaOH-EtOH.

L4 ANSWER 87 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1972:21953 CAPLUS

DN 76:21953

TI 5,6,7,8-Tetrachloroquinoxaline-containing wettable fungicidal powders

IN Barker, Christopher H.

PA Fisons Ltd.

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

KIND	DATE	APPLICATION NO.	DATE
. А	19710902		19710215
			19700216
S A	19711124		19710128
_			19700216
В	19721227		19710202
			19700216
A1	19710809	BE 1971-99532	19710208
1	KIND A A B A A1	A 19711124 B 19721227	B 19721227 AT 1971-851 GB 1970-7248 GB 1970-7248 GB 1970-7248 GB 1970-7248

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3495-42-0			GB 1970-7248 19700216
CII 324309	A	19720630	CH 1971-524309 19710215
CH 524309	70	1000000	GB 1970-7248 19700216
1 K 2000321	A5	19711119	FR 1971-4947 19710215
FR 2080521	2.5	10-11-	GB 1970-7248 19700216
MD /101208	A	19710818	NL 1971-1968 19710215
NL 7101968		10-11-	GB 1970-7248 19700216
DK 120040	В	19730730	DK 1971-626 19710211
DK 126545	Б	10000	GB 1970-7248 19700216
KO 37316	P	19741211	RO 1971-65895 19710210
RO 57316	Б	1004444	GB 1970-7248 19700216

IT 3495-42-9

RL: BIOL (Biological study)

(stabilizers for, chloronaphthalenes as)

RN 3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

Chloronaphthalenes (1-2.5%), e.g. 1,4-dichloronaphthalene (I) [1825-31-6], AB were added to fungicidal powders contg. 5,6,7,8-tetrachloroquinoxaline (II) [3495-42-9], useful against e.g. mildew, to prevent nonhomogeneous distribution on plants and the blocking of spray nozzles due to the recrystn. of II. Thus, a milled mixt. contg. II 52.6, monoand dichloronaphthalenes mixt. 2.5, wetting and dispersing agent 9.0, and sepiolite [18307-23-8] 35.9% was dispersed easily in water after storage for 12 months whereas a chloronaphthalene-free powder could not be dispersed due to formation of long I crystals.

- ANSWER 88 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- 1971:435921 CAPLUS AN
- DN 75:35921
- Synthesis of esters of o-dicarboxylic acids of the quinoxaline series ΤI
- Gal'pern, M. G.; Luk'yanets, E. A. ΑU
- CS Nauchno-Issled. Inst. Org. Poluprod. Krasitelei, Moscow, USSR SO
- Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(2), 280-1 CODEN: KGSSAQ; ISSN: 0132-6244
- DT Journal
- LΑ Russian
- IT 33158-53-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 33158-53-1 CAPLUS

2,3-Quinoxalinedicarboxylic acid, 5,6,7,8-tetrachloro-, diethyl ester CN (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ & C \\ \hline \\ C1 & N \\ \hline \\ C-OEt \\ \\ C \\ \hline \\ C \\ O \end{array}$$

By the condensation of aromatic o-diamines with Me or Et dioxosuccinates 9 AB title compds. were prepd.

ANSWER 89 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1971:125729 CAPLUS

DN 74:125729

Antibacterial 2-(iminomethyl)quinoxaline N,1,4-trioxides TI

IN Kim, Hyun Koo

PΑ Richardson-Merrell Inc.

SO Ger. Offen., 27 pp. CODEN: GWXXBX

DT Patent

LΑ German

FAN CNT 1

FAN	.CNT 1		•		
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2043532	Α	19710318	DE 1970-2043532	19700902
	US 3644363 CA 958414	A A1	19720222 19741126	US 1969-854796 US 1969-854796 CA 1970-90591	19690902 19690902 19700812
	ZA 7005735	A	19710428	US 1969-854796 ZA 1970-5735	19690902 19700820
	GB 1313689	A	19730418	US 1969-854796 GB 1970-40259	19690902 19700820
	IL 35159	A1	19740314	US 1969-854796 IL 1970-35159	19690902 19700824
	FR 2070664 FR 2070664	A1 A5	19710917 19710917	US 1969-854796 FR 1970-31941	19690902 19700902
ΙT	32020-58-00			US 1969-854796	19690902

IT 32020-58-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 32020-58-9 CAPLUS

Methanamine, N-[(6,7-dichloro-3-methyl-1,4-dioxido-2-CN quinoxalinyl)methylene]-, N-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & \\ N \\ C1 & \\ N \\ & \\ N \\ & \\ N \\ & \\ Me \\ & \\ O \\ \end{array}$$

GI For diagram(s), see printed CA Issue.

The antibacterial title compds. (I) were prepd. by reaction of 2-formylquinoxaline 1,4-dioxides with R1NHOH. Thus, 0.01 mole 2-formyl-3-methylquinoxaline 1,4-dioxide and 0.012 mole NaHCO3 in warm 95% EtOH was stirred 1 hr with 0.005 mole powd. HONHCH2CH2OH oxalate to give 66% I (R = Me, Rl = HOCH2CH2, R2 = R3 = H). Among 26 other compds. prepd. were I (R2 = R3 = H) (R and R1 given): Me, Me; Me, CH2CHClMe; Me, CH2CHMeOH; Me, Ph; H, Me.

L4 ANSWER 90 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1971:112057 CAPLUS

DN 74:112057

TI Antibacterial 3-methyl-2-quinoxalinecarboxamide di-N-oxides

IN Abuel-Haj, Marwan J.; Cronin, Timothy H.

PA Pfizer Inc.

SO Ger. Offen., 53 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

1711	PATENT NO.	KIND	DATE	AP	PLICATION NO.	DATE
PI	DE 2035480	A	19710211	US	1970-2035480 1969-843775 1969-843810	19700717 19690722
	US 3635972	7	10720110	US	1970-6550	19690722 19700128
	BR 6915087	A A0	19720118 19730419		1969-843810 1969-215087 1969-843775	19690722 19691215
	BR 6915238	A0	19730213	BR	1969-215238 1969-843810	19690722 19691217
	GB 1325581	A	19730801	GB US		19690722 19700709 19690722 19690722
	FR 2059542	A5	19710604	US	1970-6550 1970-26396	19700128 19700717
	FR 2059542	B1	19751128	US	1969-843775	19690722
	CA 978949	A1	19751202	CA	1970-88694 1969-843775	19700721
	CA 979455	A1	19751209	CA US	1970-88695 1969-843810	19690722 19700721 19690722
ΤT	31674-02 OD 21602	00.1	D. 21602 AD DD	US	1970-6550	19700128

IT 31674-02-9P 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 31674-02-9 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)

RN 31683-03-1 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{C-NH_2}{\bigvee}} \\ \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} \\ & & \\ & & \\ & & \\ \end{array}$$

RN 31683-07-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O & O \\ \parallel & \parallel & C- NHMe \\ \hline \\ C1 & & Me \\ \hline \\ O & & \end{array}$$

RN 31683-12-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

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GI For diagram(s), see printed CA Issue.

AB Antibacterial and growth-promoting title compds. (I) were prepd. by reaction of benzofuroxans (II) with diketene and HNRR1. Thus, reaction of 4.2 g diketene in Et2O, DMF satd. with MeNH2, and 6.8 g II (R2 = R3 = H) 12 hr at room temp. gave 4.5 g I (R = Me, R1 = R2 = R3 = H). Among apprx.130 compds. similarly prepd. were I (R, R1, R2, and R3 given): H, Me, Cl, Cl; H, Et, H, OMe; Et, Et, H, Cl; (RR1N =) morpholino, H, H.

L4 ANSWER 91 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1971:110756 CAPLUS

DN 74:110756

TI Fungicidal activity of halomethylquinoxalines

AU Huffman, Clarence W.; Krajewski, John J.; Kotz, Phillip J.; Traxler, James
T.; Ristich, Samuel S.
CS Growth Sci Cent Int. Mineral

CS Growth Sci. Cent., Int. Minerals and Chem. Corp., Libertyville, IL, USA
SO Journal of Agricultural and Road Chamitan (1997)

SO Journal of Agricultural and Food Chemistry (1971), 1(2), 298-301 CODEN: JAFCAU; ISSN: 0021-8561

DT Journal

LA English

IT 3298-85-9 3298-96-2 31030-64-5
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); BIOL (Biological study);

(fungicides)

RN 3298-85-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{CH}_2\text{I} \\ \\ \text{Cl} & \text{CH}_2\text{I} \end{array}$$

RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 31030-64-5 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-5,6,7,8-tetrachloro- (8CI, 9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Quinoxalines with one or more haloalkyl groups, such as AΒ 2,3-bis(iodomethyl)quinoxaline (I) and 2,3-bis(bromomethyl)quinoxaline (II), were prepd. and evaluated as foliar fungicides. In greenhouse tests, some of these compds. were very active against early and late tomato blights, cucumber anthracnose, bean mildew, apple scab, and rice blast. The highest antifungal activity was contributed by I and II. This activity in some cases was eliminated by the presence of other groups on the carbocyclic portion of the quinoxaline mol., as in 5,6,7,8-tetrachloro-2,3-bis(bromomethyl)-quinoxaline. Some 2-bromomethyl and 2-iodomethylquinoxa-lines also showed high activity.

ANSWER 92 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN1970:68222 CAPLUS

DN 72:68222

Cyanine dyes having an imidazo[4,5-b]quinoxaline nucleus ΤI

ΙN Brooker, Leslie G. S.; Van Lare, Earl J.

PA Eastman Kodak Co.

U.S., 17 pp. SO

CODEN: USXXAM

DT Patent

LΑ English

FAN.	CNT 2 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3431111	A	19690304	US 1967-609791	19670117
	US 3492123	Α	19700127	US 1967-609740	19670117
	US 3501310	Α	19700317	US 1967-609761	19670117
	SE 345170	В	19720515	SE 1967-3251	19670309
				US 1966-533455	19660311
				US 1967-609761	19670117
	BE 695368	Α	19670911	BE 1967-695368	19670310
				US 1966-533455	19660311
				US 1966-573184	19660818
				US 1967-609791	19670117
	BE 695364	Α	19670911	BE 1967-695364	19670310
				US 1966-533455	19660311
	DD 605060			US 1967-609761	19670117
	BE 695360	Α	19670911	BE 1967-695360	19670310
				US 1966-533455	19660311
	DE 605365	_		US 1967-609792	19670117
	BE 695367	Α	19670911	BE 1967-695367	19670310
				US 1966-533455	19660311
				US 1966-571695	19660811
	ES 337856			US 1967-609740	19670117
	23/030	A1	19680816	ES 1967-337856	19670310
				US 1966-533455	19660311
				US 1967-609761	19670117

09483504.7		Page 157			
CH 474086	А	19690615	CH 1967-474086 US 1966-533455	19670310 19660311	
GB 1186714	A	19700402	US 1967-609761 GB 1967-1186714 US 1966-533455	19670117 19670310 19660311	
BR 6787702	A0	19730118	US 1967-609761 BR 1967-187702 US 1966-533455	19670117 19670310 19660311	
NO 129424	В	19740408	US 1967-609761 NO 1967-167226 US 1966-533455 US 1967-609761	19670117 19670310 19660311	
GB 1186720	A	19700402	GB 1967-1186720 US 1966-571695 US 1967-609740	19670117 19670505 19660811	
GB 1190031	A	19700429	GB 1967-1190031 US 1967-609792	19670117 19670505	
JP 52001300	B4	19770113	JP 1967-50902 US 1966-573183 US 1967-609791	19670117 19670809 19660818 19670117	
GB 1199796	A	19700722	GB 1967-1199796 US 1967-609791	19670117 19670818 19670117	
GB 1199797	A	19700722	GB 1967-1199797 US 1967-609791	19670818	
GB 1199795	Α	19700722	GB 1967-1199795	19670117 19670818	
GB 1199794	A	19700722	US 1966-573183 GB 1967-1199794	19660818 19670818	
PATENT FAMILY INFORMATION: US 1966-573183 196608					
	TION:			_,000010	
FAN 1974:38259 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
FAN 1974:38259		DATE 19730828	APPLICATION NO	DATE 19710226 19660818	
FAN 1974:38259 PATENT NO.	KIND		APPLICATION NO. US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311	
FAN 1974:38259 PATENT NO PI US 3754964 SE 345170 BE 695364	KIND A	19730828	APPLICATION NO. US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311 19670117 19670310 19660311	
FAN 1974:38259 PATENT NO PI US 3754964 SE 345170 BE 695364 BE 695360	KIND A B	19730828	APPLICATION NO. US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311 19670310 19660311 19670117 19670310	
FAN 1974:38259 PATENT NO PI US 3754964 SE 345170 BE 695364	KIND A B	19730828 19720515 19670911	APPLICATION NO. US 1971-119044 US 1966-573184 US 1966-573184 US 1966-533455 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1966-533455 US 1967-609792 BE 1967-695367 US 1966-533455 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310	
FAN 1974:38259 PATENT NO PI US 3754964 SE 345170 BE 695364 BE 695360	KIND A B A	19730828 19720515 19670911	APPLICATION NO	DATE 19710226 19660818 196901105 19670309 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117	
FAN 1974:38259 PATENT NO PI US 3754964 SE 345170 BE 695364 BE 695360 BE 695367	KIND A B A A	19730828 19720515 19670911 19670911	APPLICATION NO. US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455 US 1966-533455 US 1967-609792 BE 1967-695367 US 1966-571695 US 1966-571695 US 1966-5737856 US 1967-609740 ES 1967-609740 ES 1967-609761 CH 1967-474086 US 1966-533455	DATE 19710226 19660818 19660818 19670309 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117	
PAN 1974:38259 PATENT NO	KIND A B A A A	19730828 19720515 19670911 19670911 19670911	APPLICATION NO. US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455 US 1967-609792 BE 1967-695367 US 1966-533455 US 1966-533455 US 1966-533455 US 1967-609740 ES 1967-337856 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455	DATE 19710226 19660818 196901105 19670309 19660311 19670117 19670310 19660311 19670117 19670310 19660311 19670117 19670310 19660811 19670117 19670310 19660811 19670117	

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			US 1966-533455 19660311
			US 1967-609761 19670117
NO 129424	В	19740408	NO 1967-167226 19670310
			US 1966-533455 19660311
			US 1967-609761 19670117
GB 1186720	Α	19700402	GB 1967-1186720 19670505
			US 1966-571695 19660811
			US 1967-609740 19670117
GB 1190031	Α	19700429	GB 1967-1190031 19670505
			US 1967-609792 19670117
GB 1199795	Α	19700722	GB 1967-1199795 19670818
			US 1966-573183 19660818
GB 1199794	Α	19700722	GB 1967-1199794 19670818
			US 1966-573183 19660818

IT 25983-15-7P

RN 25983-15-7 CAPLUS

CN Quinoxaline, 2,3-dianilino-6,7-dichloro- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Dyes I-IV, useful as photographic desensitizers which can be bleached by developing agents, were prepd. Thus, a mixt. of 71.5 g 3,4-(H2N)2C6H3Cl and 675 ml (CO2Et)2 was refluxed for 1 hr to give 88% V (R4 = OH, R1 = Cl, R2 = R3 = H) (VI), m. >300.degree.. Similarly prepd. were other V (R4 = OH), m. >320.degree. (R1, R2, R3 given): Cl, Cl, H; H, (R2R3 =) CH:CHCH:CH; NO2, H, H. A suspension of 98 g VI in 200 ml POCl3 was treated with 208 g PCl5 to give 92% V (R4 = R1 = Cl, R2 = R3 = H), m. 143-4.degree.. Similarly prepd. were other V (R4 = C1) (R1-R3 and m.p. given): Cl, Cl, H, 170-1.degree.; H, (R2R3 =) CH:CHCH:CH, -; NO2, H, H, 252-3.degree. (decompn.). V (R4 = C1, R1-R3 = H) (25 g) was added to 31 g HOCH2CH2NH2 and heated for 4 hr on a steam bath to give V (R4 = NHCH2CH2OH, R1-R3 = H), m. 180-2.degree.. Similarly prepd. were other V (R1-R4 and m.p. given): H, H, H, PhNH, 73-80.degree.; Cl, Cl, H, PhNH, 195-200.degree.; H, H, H, CH2:CHCH2NH, 86-8.degree.. A soln. of 32.4 g V (R4 = NHEt, R1-R3 = H) in 125 ml AcNMe2 was treated with 24 g AcCl to give 71% VII (R = Et, R1-R3 = H, X = Cl) (VIII), m. 198-200.degree. (decompn.). VII were also prepd. from V (R4 = C1) without isolating V (R4 = N hR). Similarly prepd. were VII [X = p-MeC6H4SO3 (Ts)] [R-R3 and m.p. (decompn.) given]: CH2CH2OH, H, H, H, -; CH2CH:CH2, H, H, H, 157-9.degree.; Ph, H, H, E75-85.degree.; Ph, Cl, H, H, 278-80.degree.; CH2CH:CH2, Cl, H, H, 173-5.degree.; Ph, Cl, Cl, H, 210-45.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, -; Ph, NO2, H, H, 284-5.degree. A mixt. of 2.8 g VIII and 1.5 g AcOCH(OEt)2 in 10 ml pyridine was refluxed for 10 min to give 34% I (R = Et, R1-R3 = H, n = 1, X = C1), m. 250-2.degree.. Similarly prepd. were other I [R-R3, n, X, and m.p. (decompn.) given]: Et, H, H, H, 2, Cl, 231-2.degree.; CH2CH2OH, H, H, H, 1, iodide, 254-5.degree.; CH2:CHCH2, H, H, H, 1, Ts, 245-6.degree.; Ph, H, H, H, 1, Ts, 286-8.degree.; Ph, H, Cl, H, 1, Ts, 293-4.degree.; CH2CH:CH2, H, Cl, H, 1, Ts, 251-2.degree.; Ph, Cl, Cl, H, 1, Ts, 312-13.degree.; Ph, H,

<4/4/2003>

Patel

(R2R3 =) CH:CHCH:CH, 1, Br, 305-7.degree.; Ph, NO2, H, H, 1, Ts, 206-7.degree.. An unsym. I, 1,3-diallyl-6' - nitro-1',3' diphenylimidazo[4,5-b]qu-inoxazolinocarbocyanine p-toluenesulfonate, m. 180-3.degree. (decompn.), was also prepd. A mixt. of 1.4 g VIII and 1.2 g 2-(2-acetanilidovinyl) - 3-ethylbenzoxazolium iodide in 10 ml EtOH and 0.5g Et3N was refluxed for 15 min to give 33% II [R = Et, R1-R3 = H, R4 = $\frac{1}{2}$ 3-ethyl-2-benzoxazolinylidene (Q), X = iodine], m. 282-3 degree. (decompn.). Similarly prepd. were other II [R-R4, X, and m.p. (decompn.) given]: Et, H, H, H, 3-ethyl-2-benzothiazolinylidene (Q1), iodide, 284-5.degree.; et, H, H, H, 1,3,3-trimethyl - 2-indolinylidene (Q2), iodide, 273-4.degree.; Et, H, H, H, 3-methyl-2-thiazolidinylidene, iodide, 281-2.degree.; Et, H, H, H, 1-ethyl-2(1H)-quinolylidene (Q3), iodine, 291-2.degree.; CH2CH2OH, H, H, Q2, iodide, 273-4.degree.; CH2CH:CH2, H, H, H, Q, iodide, 253-4.degree.; CH2CH:CH2, H, H, H, Q1, iodide, 250-1.degree.; CH2CH:CH2, H, H, H, Q2, iodide, 246-7.degree.; CH2CH:CH2, H, H, H, Q4, Ts, 243-4.degree; CH2CH:CH2, H, H, H, Q3, iodide, 261-2.degree.; Ph, H, H, H, Q, iodide, 289-90.degree.; Ph, H, H, H, Q1, iodide, 288-9.degree.; Ph, H, H, H, Q2, iodide, 299-300.degree.; Ph, H, H, H, Q3, iodide, 284-5.degree.; Ph, H, Cl, H, Q2, iodide, 283-4.degree.; Ph, Cl, Cl, H, Q2, iodide, 310-11.degree.; Ph, Cl, Cl, H, Q3, Ts, 185-7.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, Q2, iodide, 320-1.degree.; Ph, NO2, H. H, 6-nitro-3-ethyl - 2-benzothiazolinylidene, Ts, 250-2.degree.; Ph, NO2, H, H, Q2, iodide, 285-6.degree.. A mixt. of 1.4 g VIII and 1 g p-Me2NC6H4CHO in 10 ml EtOH and 3 drops piperidine was refluxed for 1 hr to give 20% III [R = Et, R1-R3 = H, R4 = p-Me2NC6H4 (Q5), X = iodide], m. 262-3.degree.. Similarly prepd. were other III (X = Ts) [R-R4 and m.p. (decompn.) given]: CH2CH2OH, H, H, H, Q5, 280-1.degree.; CH2CH:CH2, H, H, H, Q5, 238-9.degree.; Ph, H, H, H, Q5, 250-1.degree.; Ph, H, Cl, H, 2-phenyl-1-methyl - indol-3-yl (Q6), 288-9.degree.; Ph, H, Cl, H, 9-methylcarbazol-3-yl (Q7), 287-8.degree.; Ph, H, Cl, H, Q5, 280-1.degree.; CH2CH:CH2, H, Cl, H, Q6, 240-1.degree.; Ph, Cl, Cl, H, Q7, 312-13.degree.; Ph, Cl, Cl, H, Q6, 300-1.degree.; Ph, Cl, Cl, H, Q5, 293-4.degree.; Ph, Cl, Cl, H, 2-methyl-3-phenyl-5-oxo - 4-isoxazolyl, 274-5.degree.; Ph, Cl, Cl, H, 2-(3-sulfopropyl)-3-phenyl -5-oxo-4-isoxazolyl (anhydro salt), 247-50.degree ; Ph, H, (R2R3 =) CH: CHCH: CH, Q7, 215-18.degree.; Ph, H, (R2R3 =) CH: CHCH: CH, Q6 (X = Br), >310.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, Q5 (X = Br), 262-3.degree.; Ph,NO2, H, H, Q7, 291-2.degree.; Ph, NO2, H, H, Q6, 303-4.degree.; Ph, NO2, H, H, Q5, 257-8 degree. A mixt. of 2.8 g VIII and 3 g 5-acetanilidomethylene - 3-ethylrhodanine in 15 ml pyridine and 1 g Et3N was refluxed for 45 min to give 29% IV [R = Et, R1 = R2 = H, R3 = 3-ethyl-5-rhodaninylidene ($\tilde{Q}8$)], m. 285-6.degree.. Similarly prepd. were other IV (R-R3 and m.p. given): Et, H, H, 1,3-diethylhexahydro -4,6-dioxo-2-thioxo-5-pyrimidylidene, >320.degree.; CH2CH:CH2, H, H, Q8, 227-8.degree.; Ph, H, H, Q8, >320.degree.; Ph, Cl, Cl, 3-phenyl-5-oxo-2-isoxazolin - 4-ylidene, >320.degree. A mixt. of 2.6 g VII (R = Ph, R1-R3 = H, X = Ts), 2 g 3-ethyl-2-(phenylthio)benzothiazoliumiodide, 15 ml EtOH, and 0.5 g Et3N was refluxed for 15 min to give 23% IX, m. 288-9.degree. (decompn.).

- ANSWER 93 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- AN 1969:421425 CAPLUS
- DN 71:21425
- Quinoxalines. VI. Kinetics of the condensation of 2,3-ΤI dimethylquinoxaline with benzaldehyde
- ΑU Kavalek, Jaromir
- CS Vys. Skola Chem. Technol., Pardubice, Czech.
- Collection of Czechoslovak Chemical Communications (1969), 34(6), 1819-23 SO

CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

IT 25606-79-5P 25606-80-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 25606-79-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-styryl- (8CI) (CA INDEX NAME)

RN 25606-80-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-distyryl- (8CI) (CA INDEX NAME)

AB In connection with studies of the properties of 2,3-dimethylquinoxaline (I) and its 6-substituted derivs. (P. Vetesnik, J. Kavalek, V. Beranek, and O. Exner, 1968) the reaction of I with BzH was studied and kinetics of formation of 2-methyl-3-styryl-quinoxaline was investigated chromatographically. The reaction is first order with respect to both reaction components. Thus, I condensed with BzH with intermediate formation of alc. substance. This is present in the reaction mixt. due to kinetic relations only in very low concns. High reactivity of the hydrogen in the methylene group vicinal to the heterogeneous nucleus is in agreement with the fact that N.M.R. spectra display larger chem. shifts of protons of these groups in I than in 2-picoline.

L4 ANSWER 94 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1968:402932 CAPLUS

DN 69:2932

TI Halo o-phenylenediamines and derived heterocyles. Hydrodechlorination of chloroquinoxalines

AU Burton, D. E.; Hughes, D.; Newbold, G. T.; Elvidge, J. A.

CS Chesterford Park Res. Sta., Saffron Walden, UK

SO Journal of the Chemical Society [Section] C: Organic (1968), (10), 1274-80

CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

IT 3495-42-9P 19853-64-6P 19853-65-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and N.M.R. of)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

Patel <4/4/2003>

RN 19853-64-6 CAPLUS

CN Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME)

RN 19853-65-7 CAPLUS

CN Quinoxaline, 5,6,7-trichloro- (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Treatment of 5,6,8-trichloro-7-methylquinoxaline (I) with alkali in aq. EtOH gives 5,8-dichloro-6-methylquinoxaline (II) cleanly in good yield. 5,6,7,8-Tetrachloroquinoxaline similarly, though less satisfactorily, yields 5,6,8-trichloroquinoxaline and 5,8-dichloroquinoxaline. Further expts. with a bearing on the course of these reactions are described and a possible mechanism is discussed. The prepn. of 5,8-dichloro-6-ethoxy-7-methylquinoxaline, a possible product from the reaction I .fwdarw. II, and unambiguous syntheses of III and 5,7-dichloro-6-methylquinoxaline are described. Ir and 1H N.M.R. data for several quinoxalines and their intermediates are also given.

L4 ANSWER 95 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1968:402607 CAPLUS

DN 69:2607

TI Halo-o-phenylenediamines and derived heterocycles. I. Reductive fission of benzotriazoles to o-phenylenediamines

AU Burton, D. E.; Lambie, A. J.; Lane, D. W. J.; Newbold, G. T.; Percival, A.

CS Chesterford Park Res. Sta., Saffron Walden, UK

Journal of the Chemical Society [Section] C: Organic (1968), (10), 1268-73

CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

RN 18225-81-5 CAPLUS CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & Me \\ \hline \\ C1 & Me \\ \hline \\ C1 & Me \\ \end{array}$$

RN 18225-82-6 CAPLUS
CN Quinoxaline, 5,6,7,8-tetrachloro-2-(dichloromethyl)-3-methyl- (8CI) (CA INDEX NAME)

RN 18225-83-7 CAPLUS CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dipropyl- (8CI) (CA INDEX NAME)

RN 18225-84-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-diphenyl- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & Ph \\ \hline \\ Cl & Ph \end{array}$$

RN 18238-04-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ C1 \end{array}$$

RN 18238-05-6 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(trichloromethyl)- (8CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \text{Cl} \\ \text{Cl} & \text{N} \end{array}$$

RN 18238-06-7 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-propyl- (8CI) (CA INDEX NAME)

RN 18238-07-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

$$C1$$
 $C1$
 N
 Ph

RN 18392-43-3 CAPLUS

CN Phenol, p-(5,6,7,8-tetrachloro-2-quinoxalinyl)- (7CI, 8CI) (CA INDEX NAME)

$$C1$$
 $C1$
 N
 OH

RN 18392-45-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-bis(dichloromethyl)- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB 4,5,6,7-Tetrachlorobenzotriazole and its 1-hydroxy deriv. were reduced with Zn and HCl to give 3,4,5,6-tetrachloro-o-phenylenediamine (I, R = Cl) in good yield. The corresponding diamines (I, R = Me or F) were obtained

similarly from 4,5,7-trichloro-6-methyl-(or fluoro)benzotriazole. Alternative syntheses of the tetrachloro- and methyltrichlorophenylenediamines are described. Benzimidazoles, quinoxalines, and other heterocycles derived from the diamines, esp. from tetrachloro-o-phenylenediamine, are reported. 26 references.

L4 ANSWER 96 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1965:416869 CAPLUS

DN 63:16869

OREF 63:2973d-g

TI The dimethyl sulfoxide oxidation of 2,3-bis(bromomethyl)quinoxaline

AU Moriconi, Emil J.; Fritsch, Albert J.

CS Fordham Univ., New York, NY

SO J. Org. Chem. (1965), 30(5), 1542-7

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

IT 3298-85-9, Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)-

3298-89-3, 2,3-Quinoxalinedicarboxaldehyde, 6,7-dichloro-

3298-91-7, Quinoxaline, 6,7-dichloro-2,3-bis(dibromomethyl)-

3298-96-2, Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro-

3299-00-1, 2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-

(dibromomethyl) -

(prepn. of) RN 3298-85-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)- (7CI, 8CI) (CA INDEX NAME)

RN 3298-89-3 CAPLUS

CN 2,3-Quinoxalinedicarboxaldehyde, 6,7-dichloro- (7CI, 8CI) (CA INDEX NAME)

RN 3298-91-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(dibromomethyl) - (7CI, 8CI) (CA INDEX NAME)

RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 3299-00-1 CAPLUS

CN 2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-(dibromomethyl)- (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

The reaction of 2,3-bis(bromomethyl)quinoxaline (I) with dimethyl sulfoxide produced in varying amounts 3-methyl-(II), 3-bromomethyl- (III), and 3-dibromomethyl-2-quinoxalinecarboxaldehyde (IV), in addition to 2,3-bis(dibromomethyl)quinoxaline (V), and 2,3-(quinoxalinedicarboxaldehyde) (VI) isolated as the intramolecular hemihydrate (VII). A similar oxidation of 2,3-bis(iodomethyl)quinoxaline (VIII) led to II and 3-iodomethyl-2-quinoxalinecarboxaldehyde (IX). The Hunsberger and Tien general mechanism of dimethyl sulfoxide oxidation can account for the formation of all these products, whose structures and mode of formation were independently verified by the chemical interconversion of I, III-IV, VI-IX, and 2,3-dimethylquinoxaline (X). In the presence of the nonalkaline, hydrogen bromide scavenger, 1,2-epoxy-3-phenoxypropane, dimethyl sulfoxide oxidation of I and VIII led to compounds tentatively identified as dl-1,2-dibromo- (XI) and dl-1,2-diiodo-1,2-bis(3-methyl-2quinoxalyl)ethane (XII). Both XI and XII were dehalogenated to trans-1,2-bis(3-methyl-2-quinoxalyl)ethylene (XIII) whose structure was determined by ozonolysis to II and by synthesis from X and II. Bromination of XIII led to meso-1,2-dibromo-1,2-bis(3-methyl-2quinoxalyl)ethane (XIV). Dimethyl sulfoxide oxidation of $\overline{\text{XI}}$, $\overline{\text{XII}}$, and $\overline{\text{XIV}}$ led to the same product, bis(3-methyl-2-quinoxalyl)glyoxal. A number of 6-chloro-, 6-methyl-, 6,7-dichloro-, and 6,7-dimethyl derivatives of I, IV-VI, VIII, and XV are reported.

L4 ANSWER 97 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1965:406452 CAPLUS

DN 63:6452

OREF 63:1175b-c

TI Gel fungicides, herbicides, and insecticides

PA Fisons Pest Control Ltd.

SO 13 pp.

DT Patent

LA Unavailable

FAN.CNT 1

Patel

	PATENT NO.	KIND	DATE	APPLICATION N	10.	DATE
D.T.					- -	
ΡI	BE 641214		19640612	BE		
				GB		19621213
	FR 1426882			FR		
	NL 301648			NI		
ΙT	3495-42-9, Quino	xaline	, 5,6,7,8-tetr			
	(pesticidal c	ompn.	conta.)			
RN	3495-42-9 CAPLU		-5.,			
CN	Quinoxaline, 5,6		etrachloro- (7	CI, 8CI, 9CI)	(CA	INDEX NAME)

AB Finely divided basic copper chloride 350 was added to a mixt. of stearic acid (I) 60, NaOH 1.4, and H2O 800 parts which was stirred and heated to 65-70.degree. The mixt. was stirred, cooled to 30.degree., 10 parts Me3N (II) and 100 parts H2O were added, and then allowed to stand until gelled. The gel was dispersed in H2O 1:2 and sprayed on banana plants where it adhered under 10 cm. of artificial rain. Cu chloride, I, and II, were replaced by atrazine, Ca silicate, Calflo E, dieldrin, DDT, N-(p-chlorophenyl)-N'N'-dimethylurea, 4,5,6,7-tetrachloro-quinoxaline, palmitic or arachidic acid, and Bu2NMe, Pr3N, Bu2NH, resp., either sep. or in mixts.

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ANSWER 98 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
     1964:90921 CAPLUS
AN
DN
     60:90921
OREF 60:15891e-h,15892a
TI
     Quinoxaline fungicides
PΑ
     Fisons Pest Control Ltd.
SO
     26 pp.
DT
     Patent
     Unavailable
     PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
     -----
                                          -----
ΡI
     BE 631044
                           19631104
                                          BE
                                          GB
                                                          19620412
     FR 1410969
                                          FR
    GB 1041011
    3495-42-9, Quinoxaline, 5,6,7,8-tetrachloro- 18225-81-5,
ΙT
    Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- 18238-04-5,
    Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- 18238-07-8,
    Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- 18392-43-3, Phenol,
    p-(5,6,7,8-tetrachloro-2-quinoxalinyl) - 19853-65-7, Quinoxaline,
    5,6,7-trichloro- 89939-10-6, Quinoxaline, 5-bromo-6,7,8-
    trichloro-
        (prepn. of)
    3495-42-9 CAPLUS
RN
    Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)
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$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 18225-81-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & Me \\ \hline \\ Cl & N \end{array}$$

RN 18238-04-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- (7CI, 8CI) (CA INDEX NAME)

RN 18238-07-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 18392-43-3 CAPLUS

CN Phenol, p-(5,6,7,8-tetrachloro-2-quinoxalinyl)- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 19853-65-7 CAPLUS CN Quinoxaline, 5,6,7-trichloro- (7CI, 8CI) (CA INDEX NAME)

RN 89939-10-6 CAPLUS CN Quinoxaline, 5-bromo-6,7,8-trichloro- (7CI) (CA INDEX NAME)

Quinoxalines (I) were obtained by the reaction between substituted diamines and substituted diketones or their oximes. Thus, 24.6 g. tetrachloro-o-phenylenediamine was dissolved in 250 cc. EtOH by refluxing, 50 cc. 30% glyoxal added, the mixt. refluxed and dild. with a large amt. of H2O, and the ppt. filtered off and recrystd. from EtOH to obtain 5,6,7,8-tetrachloroquinoxaline, m. 189.5-90.5.degree. Addnl. I prepd. are tabulated. R, R1, R2, R3, R4, R5, m.p.; Me, H, Cl, Cl, Cl, Cl, 174-5.degree.; Me, Me, Cl, Cl, Cl, Cl, Cl, 155-7.degree.; H, H, Br, Cl, Cl, Cl, 199-201.degree.; H, H, Cl, F, Cl, Cl, 155-7.degree.; H, H, Cl, Br, Cl, Cl, 306-8.degree.; H, H, Cl, OMe, Cl, Cl, 153-4.degree.; H, H, H, F, H, H, 35-6.degree.; H, H, H, AcNH, H, H, 196-7.degree.; H, H, H, Me, H, H, Kp, Cl, Cl, 135.degree.); OH, H, Cl, Cl, Cl, Cl, 319.degree.; Cl, H, Cl, Cl, Cl, Cl, 170-2.degree.; OMe, H, Cl, Cl, Cl, Cl, 180-2.degree.; OEt, H, Cl, Cl, Cl, Cl, 171-3.degree.; Ph, H, Cl, Cl, Cl, Cl, H, H, H, NO2, NO2, H, 193-4.degree.; H, H, Cl, Cl, Cl, Cl, Cl, H, H, H, NO2, NO2, H, 193-4.degree.; H, H, Cl, Cl, Cl, Cl, H, 138-9.degree.; H, H, Cl, Cl, H, Cl, Cl, Cl, 178.5-9.5.degree. A mixt. of I (R-R5 given: Me, H, Cl, H, Cl,

introducing in H2O a mixt. of I 50, kaolin 200, and Na dodecyl sulfate 20 parts were tested against Erysiphe cichoracearum, Botrytis fabae, and Uromyces phaseoli.

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ANSWER 99 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     1963:456940 CAPLUS
     59:56940
DN
OREF 59:10497f-h,10498a-b
ΤI
     Quinacillin, a new penicillin with unusual properties
     Richards, H. C.; Housley, J. R.; Spooner, D. F.
ΑU
     Boots Pure Drug Co., Nottingham, UK
CS
SO
     Nature (1963), 199(4891), 354-6
DT
     Journal
LA
     Unavailable
IT
     102032-47-3, 4-Thia-1-azabicyclo[3.2.0] heptane-2-carboxylic acid,
     6,6'-[(6,7-dichloro-2,3-quinoxalinediyl)bis(carbonylimino)]bis[3,3-
     dimethyl-7-oxo-
        (as antibiotic substance)
RN
     102032-47-3 CAPLUS
CN
     4-Thia-1-azabicyclo[3.2.0] heptane-2-carboxylic acid, 6,6'-[(6,7-dichloro-
     2,3-quinoxalinediyl)bis(carbonylimino)]bis[3,3-dimethyl-7-oxo- (7CI)
     INDEX NAME)
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$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ &$$

AB cf. CA 53, 13264c. In search of penicillins resistant to staphylococcal penicillinase hydrolysis, (carboxymethyl)phenylbenzylpenicillin was prepd. with min. inhibitory concn. (.gamma./ml.) against Staphylococcus aureus designated as highly penicillin-resistant >500, mod. penicillin-resistant 33.3, and penicillin-sensitive 0.01. Other semisynthetic penicillins were tested (side chain acid, min. inhibitory concns. as above given, resp.): 2-pyridine carboxylic 500, 11.1, 0.4; 3-pyridinecarboxylic >500, 100, 1.2; 4-pyridinecarboxylic 500, 100, 0.4; 3-methyl-2-pyridinecarboxylic 500, 33.3, 0.4; 6-methyl-2-pyridinecarboxylic 500, 3.7, 0.4; 2-quinolinecarboxylic 500, 1.2, 0.04; 2,3-pyridinedicarboxylic 11.1, 11.1, 3.7; 2,3-pyrazinedicarboxylic 33.3, 11.1, 1.2; 5,6-dimethyl-2,3pyrazinedicarboxylic 33.3, 11.1, 3.7; 2,3quinolinedicarboxylic 0.4, 0.4, 0.4; 2,3-quinoxalinedicarboxylic 0.4, 0.4, 0.4; 6,7-dimethyl-2,3quinoxalinedicarboxylic 11.1, 3.7, 3.7; 6,7-dichloro-2,3quinoxalinedicarboxylic 33.3, 11.1, 3.7. The di-Na salt of 3-carboxy-2-quinoxalinecarbonylpenicillin (quinacillin) (IV) is prepd. by condensation of 2,3-quinoxalinedicarboxylic anhydride with

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6-aminopenicillanic acid in HCONMe2 and Et3N and sepd. from Me2CO as the bis(triethylammonlum) salt monohydrate, m.p. 135-7.degree. (decomp.), [.alpha.]20D + 142 (c 0.376, H2O). An aq. soln. of the salt heated with satd. NaOAc gives IV as cream colored needles dried in vacuo at 40.degree., m. 260.degree. (decomp.) contg. 9% H2O. Anhyd. IV prepd. by drying at 100.degree. at 2 mm. m. 261-2.degree. (decomp.) and [.alpha.]23D + 183.5 (H2O) very hygroscopic and acquiring bright yellow color in sunlight, stable for 2 months at 0.degree., half life 12 days at 37, half life in 50% EtOH 0.1N HCl, 290 min. and deep violet chelate forms with Fe(II) and a red color with Cu(I). Bacteriostatic activity of several dilns. in agar, peptone yeast ext., glucose contg. 10% ox serum at pH 7.0 inoculated with 0.01 ml. culture and incubated for 24 hrs. at 37 gave min. inhibitory concns. in .gamma./ml. as follows: Staphylococcus aureus 0.15-0.62, Streptococcus pyogenes 3.7, Streptococcus (groups, B, C, D, 5 species) 3.7- >100, Diplococcus pneumoniae 3.7, Corynebacterium (4 species) 3.7-11.1, Sarcina lutea 11.1, Bacillus (6 species) 33.3, Lactobacillus (3 species) >100, Bordetella parapertussis >100, Neisseria catarrhalis >100, Escherichia coli >100, Proteus (4 species) >100, Salmonella (6 species) >100, Shigella (3 species) >100, Pseudomonas (2 species) >100. Bacteriostatic activity compared with benzylpenicillin against 50 strains of S. aureus from clin. sources at concns. 1.2 .gamma./ml. or greater at pH 7.0 showed no growth while benzylpenicillin showed growth at 1.2, 50, and 100 .gamma./ml. Min. inhibitory concn. in .gamma./ml. of some ester and amide derivs. against S. aureus were given.

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L4
     ANSWER 100 OF 100 CAPLUS COPYRIGHT 2003 ACS
AN
     1957:25568 CAPLUS
DN
     51:25568
OREF 51:5089a-i,5090a-d
     Quinoxalines of biological interest
TI
     Acheson, R. M.
ΑU
     Oxford Univ., UK
CS
SO
     J. Chem. Soc. (1956) 4731-5
DT
     Journal
LΑ
     Unavailable
IT
     106739-62-2, Quinoxaline, 6,7-dichloro-2-[(3-
     diethylaminopropyl)amino]-
        (and derivs.)
RN
     106739-62-2 CAPLUS
     1,3-Propanediamine, N'-(6,7-dichloro-2-quinoxalinyl)-N,N-diethyl- (9CI)
CN
     (CA INDEX NAME)
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100721-83-3, Quinoxaline, 6,7-dichloro-2-[(2-diethylaminoethyl)amino] - 110441-42-4, Quinoxaline, 6,7-dichloro-2-[(2diethylamino-ethyl)amino]-, methiodide (prepn. of) RN 100721-83-3 CAPLUS Quinoxaline 6,7-dichloro-2-[(2-diethylaminoethyl)amino]- (6CI) (CA INDEX CN

IT

CM 1

CRN 100721-83-3 CMF C14 H18 C12 N4

CM 2

CRN 74-88-4 CMF C H3 I

 H_3C-I

cf. C.A. 42, 1404h). Some quinoxaline analogs of pteroic and AΒ pteroylglutamic acid were synthesized. 2-Chloroquinoxaline (I) (0.82 g.) and 0.69 g. p-H2NC6H4CO2H refluxed 2 hrs. in 6 ml. PrOH gave 1.12 g. yellow powder (needles from PhNO2), which was dissolved in aq. Na2CO3 and pptd. by dil. HCl to give p-2-quinoxalinylaminobenzoic acid, m. 344-5.degree. (decompn.). The latter did not appreciably affect the growth of Streptococcus lactis R. I (0.6 g.) and 1.18 g. Et p-aminobenzoyl-(-)-glutamate refluxed 4 hrs. in 5 ml. EtOH and the ppt. (1.3 g.) crystd. from EtOH in the presence of C gave Et p-2-quinoxalinylaminobenzoyl-(-)-glutamate (II), m. 168.degree.. II (0.72 g.) in 12 ml. EtOH was kept 90 min. at 20.degree. with 0.24 g. NaOH in 2 ml. H2O, the ppt. taken up in H2O and acidified, the pptd. acid taken up in aq. NaHCO3, treated with C and filtered, and the boiling soln. acidified with dil. HCl to yield 86% p-2-quinoxalinylaminobenzoyl-(-)glutamic acid, m. 252.degree. (decompn.), with small growth-inhibitory effect on Lactobacillus casei, prevented by pteroylglutamic acid. For the prepn. of p-2-quinoxalinylmethylaminobenzoic acid (III), the bromination of 2-methylquinoxaline to 2-bromomethylquinoxaline was unsuccessful, p-MeC6H4SO2Cl (59 g.), 15 g. o-phenylenediamine, and 75 ml. pyridine heated 1 hr. at 100 degree, poured into 1 1. H2O, and the ppt. crystd. from EtOH gave 46.2 g. N, N'-di-p-toluenesulfonyl-o-phenylenediamine (IV), m. 204.degree.. IV (88.2 g.) and 46.25 g. BrCH2CHBrCH2OH in 200 ml. EtOH were successively added to alc. NaOEt (9.75 g. Na in 1 l. EtOH), the soln.

refluxed 6 hrs. and evapd., the residue washed with H2O, dried, refluxed with 100 ml. C5H6, and cooled, and the residue taken up in 1 l. boiling EtOH and cooled to give 44.5 g. 1,2,3,4-tetrahydro-2-hydroxymethyl-1,4-dip-toluenesulfonylquinoxaline (V), m. 193.degree. (prisms). V (5.08 g.) in 50 ml. concd. H2SO4 contg. 0.5 ml. H2O was kept warm 2 days, poured onto ice, the mixt. made alk., repeatedly extd. with CHCl3, and the ext. evapd. to give 84% tetrahydroquinoxaline, m. 140-1.degree.; picrate, m. 178-80.degree.. The high yield was not reproducible and this approach was abandoned. Oxidation of V with K3Fe(CN)6 gave only quinoxaline. 2-Tribromomethylquinoxaline (5.6 g.) refluxed 4.5 hrs. with 1.2 g. Na in 30 ml. MeOH, the soln. evapd., the residue solidified by addn. of H2O, and recrystd. from MeOH gave fine needles of Me quinoxaline-2orthocarboxylate, m. 63-5.degree.. AcC(:NOH)CO2Et (3.2 g.), 2.16 g. o-ophenylenediamine, and 1.14 ml. AcOH were refluxed 5 hrs. in 10 ml. EtOH, cooled, and filtered off to give 0.25 g. pale yellow 2-hydroxy-3-methylquinoxaline, m. 245.degree. (from EtOH); the filtrate was made alk., the ppt. taken up in Et2O, the ext. evapd. and the residue converted to 1.8 g. 2-methylbenzlmidazole picrate, m. 211-12.degree.. Quinoxaline-2-aldehyde (0.46 g.) and 0.4 g. p-H2NC5H4CO2H heated 1 hr. at 100.degree. in 5 ml. dioxane gave 89% anil (VI), m. 286-7.degree. (reduced over PtO2, cf. Leese and Rydon, C.A. 49, 13242b); Et ester (VIa), m. 139.degree. VIa (0.527 g.) in 15 ml. dioxane was hydrogenated (equiv. to 1 double bond) at room temp. and 1 atm. in the presence of PtO2, the mixt. filtered, and the filtrate evapd. in vacuo, the residue washed with EtOH, and the crude product crystd. from pyridine to give III Et ester, m. 229-32 degree .. Reduction of VI over Raney Ni with 36% H equiv. to 1 double bond gave 33% III. OHCCBr: CBrCO2H (5.9 g.) and 7.5 g. p-H2NC6H4CO2Et boiled 20 min. in 40 ml. EtOH, kept overnight, and the 7.9 g. orange-red ppt. crystd. from dil. alc. gave p-EtO2CC6H4NHCH:CBrCH:NC6H4CO2Et-p.HBr.2H2O (VII)., m. 249-50.degree. (decompn.). VII (9.7 g.) refluxed 45 min. with 1.5 l. H2O, the ppt. (5.1 g.) filtered off next day, and crystd. from EtOH gave p-EtO2CC6H4NHCH:CBrCHO, m. 159-60.degree., giving intractable black tars with o-phenylenediamine in boiling EtOH alone, in the presence of 1 or 2 moles HCl, or in HOCH2CH2OH at 140.degree.. Na(O2N)C(CHO)2 (1.39 g.) in 5 ml. H2O was added to 1.65 g. p-H2NC6H4CO2Et in 10 ml. H2O and 1 ml. concd. HCl, heated a few min. on a steam bath and the yellow product crystd. from EtOH to yield 95% .beta.-(p-carbethoxyanilino)-.alpha.-nitroacrylaldehyde (VIII), m. 158-9.degree.. VIII (0.88 g.) and 0.36 g. o-phenylenediamine were refluxed in 5 ml. EtOH causing pptn. of red solid, the mixt. refluxed 1 hr. with 15 ml. addnl. EtOH to give 81% 3-nitro-6,7-benzo-1,5-diazepine, m. above 360.degree. (from quinoline). The filtrate contained 67% p-H2NC6H4CO2Et. Reducing 4.74 g. 1,2,4,5-Cl2(O2N)2C6H2 in 30 ml. EtOH over Raney Ni, pouring the O-sensitive mixt. into 20 ml. boiling EtOH contg. 3.8 g. (HO)2C(CO2Et)2, refluxing the mixt. 45 min., treating with C, and filtering, cooling and crystg. the product (3.7 g.) from EtOAc gave Et 6,7-dichloro-2-hydroxyquinoxaline-3-carboxylate, m. 230.degree.; acid, m. 340.degree. (decompn.), converted by refluxing in PhNO2 to 6,7-dichloro-2-hydroxyquinozaline (IX), m. 343.degree. (decompn.) (from PrOH). IX (1.0 g.) refluxed 45 min. with 10 ml. POCl3, the red soin. evapd. in vacuo, the residue dild. with H2O and extd. with Et2O, the washed and dried exts. evapd. and the product crystd. from EtOH gave 1.0 $\,$ g. 2,6,7-trichloroquinoxaline (X), m. 147.degree.. X (0.35 g.) was heated 3 hrs. at 110-40.degree. with 1 ml. H2NCH2CH2NEt2, the mixt. distd. at 100.degree./14 mm., the residual oil taken up in dil. acid, the soln. extd. with Et20, the aq. layer made alk., and extd. with Et20 to give 6,7-dichloro-2,2'-diethylaminoethylaminoquinoxaline (XI), b0.03 168-73.degree.; MeI deriv., m. 196-7.degree. (from EtOH). Similarly 1.2 .

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g. X and 3.2 ml. H2N(CH2)3NEt2 gave 6,7-dichloro-2,3'-diethylaminopropylaminoquinoxaline (XIa), m. 84-6.degree. (from Et2O), b0.05 183-8.degree.; picrate, m. 182.degree. (from EtOH); MeI deriv., m. 212.degree. (from EtOH). The corresponding nonchlorinated compds., 2,2'-diethylaminoethylaminoquinoxaline, b0.02 140.degree. (dipicrate, m. 185.degree.), and 2,3'-diethylaminopropylaminoquinoxaline, b0.1 200-5.degree. [dipicrate, m. 164.degree. (from EtOH)], were similarly prepd. XI, XIa, and the nonchlorinated compds. (cf. Crowther et al., C.A. 44, 3497i) are inactive against Plasmodium gallinaceum in chicks.